```
=> d his

     (FILE 'HOME' ENTERED AT 12:52:29 ON 10 OCT 2007)

     FILE 'REGISTRY' ENTERED AT 12:52:33 ON 10 OCT 2007
L1       1780448 S NC4/ESS (S) C6/ESS
L2               STRUCTURE UPLOADED
L3          2330 S L2 SSS FULL SUB=L1
               SAV TEM L3 BRD523285/A

     FILE 'CAPLUS' ENTERED AT 12:53:16 ON 10 OCT 2007
L4            81 S L3

     FILE 'STNGUIDE' ENTERED AT 12:53:37 ON 10 OCT 2007

     FILE 'REGISTRY' ENTERED AT 12:56:15 ON 10 OCT 2007
L5               STRUCTURE UPLOADED
L6             4 S L5 SAM SUB=L3
L7           174 S L5 SSS FULL SUB=L3

     FILE 'CAPLUS' ENTERED AT 12:56:50 ON 10 OCT 2007
L8             5 S L7
L9             1 S US200!-523285/APPS
L10            4 S L8 NOT L9

     FILE 'REGISTRY' ENTERED AT 12:57:17 ON 10 OCT 2007

=> d l2
L2 HAS NO ANSWERS
L2                STR
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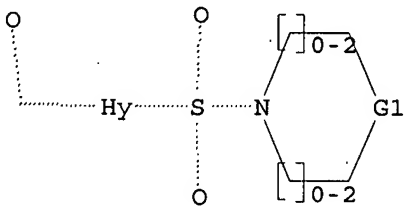


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G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> d l5
L5 HAS NO ANSWERS
L5                STR
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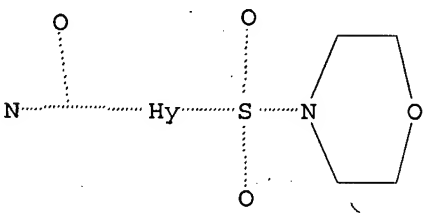


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G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.
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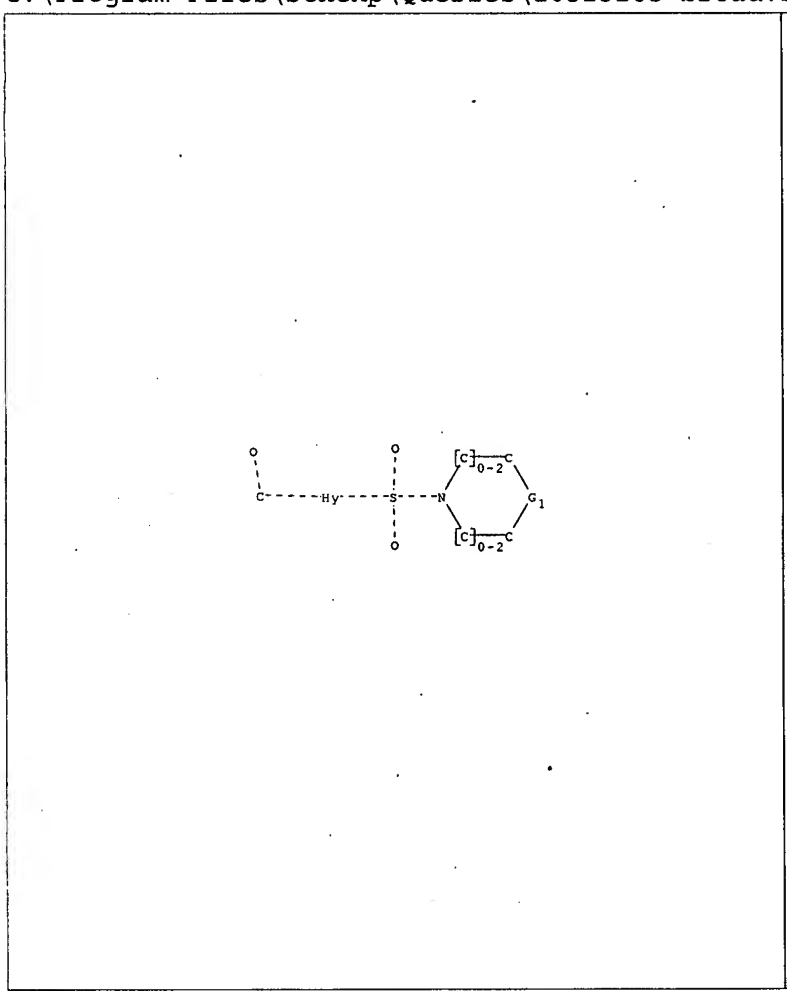
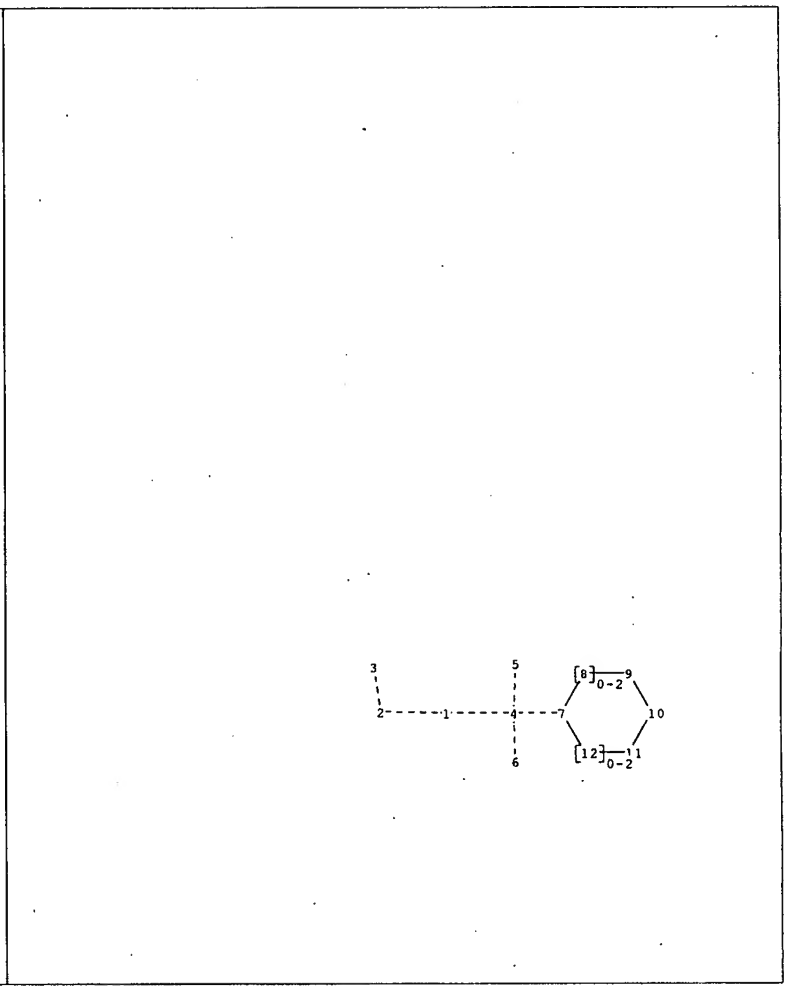


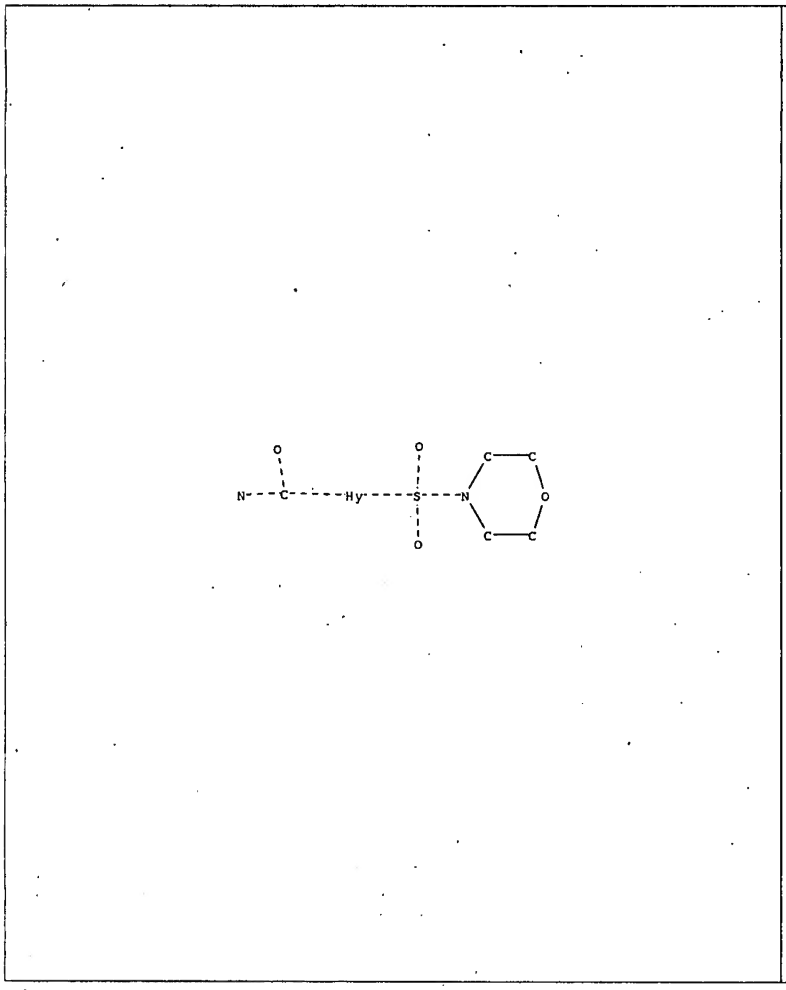


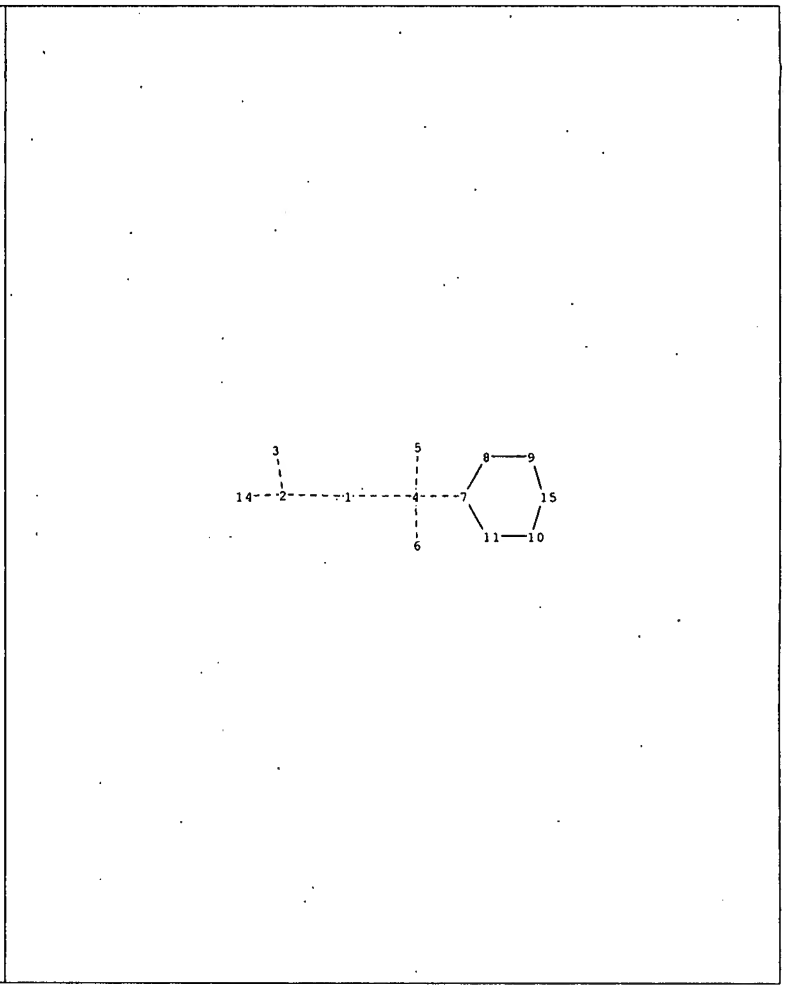
chain nodes :
1 2 3 4 5 6
ring nodes :
7 8 9 10 11 12
chain bonds :
1-2 1-4 2-3 4-5 4-6 4-7
ring bonds :
7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-2 1-4 2-3 4-5 4-6 4-7 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 7 :

G1:C,O,S,N

Match level :
1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom

C:\Program Files\Stnexp\Queries\10523285-electedspecies.str





chain nodes :
1 2 3 4 5 6 14
ring nodes :
7 8 9 10 11 15
chain bonds :
1-2 1-4 2-3 2-14 4-5 4-6 4-7
ring bonds :
7-8 7-11 8-9 9-15 10-11 10-15
exact/norm bonds :
1-2 1-4 2-3 2-14 4-5 4-6 4-7 7-8 7-11 8-9 9-15 10-11 10-15
isolated ring systems :
containing 7 :

G1:C,O,S,N

Match level :
1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 14:CLASS 15:Atom

ELECTED

L10 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:433750 CAPLUS Full-text
DN 141:7131
TI Preparation of quinazolines and analogs as Akt inhibitors and indoles as protein kinase inhibitors for use in synergistic combination therapy for the treatment of cancer
IN Barnett, Stanley F.; Defeo-Jones, Deborah D.; Hartman, George D.; Huber, Hans E.; Stirdivant, Steven M.; Heimbrook, David C.
PA USA
SO U.S. Pat. Appl. Publ., 121 pp., which
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004102360	A1	20040527	US 2003-678565	20031003
PRAI US 2002-422312P	P	20021030		
US 2003-460911P	P	20030407		

OS MARPAT 141:7131
GI

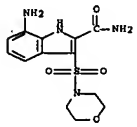
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to methods of treating cancer using a combination of at least two Akt inhibitors I [wherein Q = (un)substituted heterocyclyl, aryl; U, V, W, and X = independently CH, N; Y, Z = independently CH, N, provided that at least one of Y and Z = N; n = 0-3; p = 0-2; q = 0-4; R1, R2, R7 = independently halo, CN, OH, CHO, NO2, or (un)substituted (cyclo)alkyl(oxy), alkenyl(oxy), alkynyl(oxy), heterocyclyl(oxy), acyl, carboxy, carbamoyl(oxy), ureido, sulfamoyl, etc.; R3, R4 = independently H, (perfluoro)alkyl; or CR3R4 = cycloalkyl, heterocyclyl; and pharmaceutically acceptable salts or stereoisomers thereof] or a combination of I and a protein kinase inhibitor II [wherein G = H2, O; X = C, N, SO0-2, O; m = 0-2; n = 0-2; p = 0-6; q = 0-4; R1 = independently H, halo, or (un)substituted (cyclo)alkyl, heterocyclyl, aryl, carbamoyl, amino, acyl, sulfamoyl, carboxy, etc.; R2 = H or (un)substituted (cyclo)alkyl(oxy), amino, aryloxy, heterocyclyloxy, alkenyloxy, alkynyloxy, etc.; R5 = independently H, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkynyl, carboxy, acyl, sulfamoyl, carbamoyl, ureido, amino, etc.; and pharmaceutically acceptable salts or stereoisomers thereof], optionally in combination with a third compound Examples include syntheses for I and II and assays demonstrating Akt inhibitor activity, antitumor activity, and the synergistic effect of combinations of AKT inhibitors and/or protein kinase inhibitors on caspase 3 activity. For instance, III•HCl was prepared in an 8-step reaction sequence culminating with the cycloaddn. of 4-(2-aminoprop-2-yl)benzil and o-phenylenediamine using glacial acetic acid in H2O, followed by work up with chloroform and ethanolic HCl. III•HCl, a selective Akt1 and Akt2 inhibitor, demonstrated a 3.2-fold in caspase 3 activation over control compared to a 1.2-fold increase for a protein kinase inhibitor. Combination treatment produced a 9-fold increase in caspase 3 activation.

IT 661467-82-9P 661468-61-7P 661468-63-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(antitumor agent; preparation of quinazolines and analogs as Akt inhibitors and indoles as protein kinase inhibitors for use in synergistic combination therapy for treatment of cancer)

RN 661467-82-9 CAPLUS
CN 1H-Indole-2-carboxamide, 7-amino-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



RN 661468-61-7 CAPLUS
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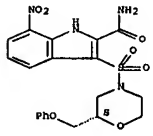
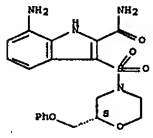
Absolute stereochemistry.



RN 661468-63-9 CAPLUS
CN 1H-Indole-2-carboxamide, 7-amino-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.



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661467-79-4P 661467-80-7P 661467-81-8P
661467-83-0P 661467-93-2P 661467-97-6P
661467-98-7P 661467-99-8P 661468-04-8P
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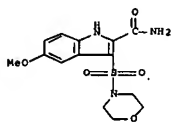
695816-12-7P 695816-13-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor agent; preparation of quinazolines and analogs as Akt inhibitors and indoles as protein kinase inhibitors for use in synergistic combination therapy for treatment of cancer)

RN 660413-14-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-methoxy-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



RN 660413-15-0 CAPLUS
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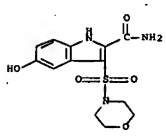

RN 661467-77-2 CAPLUS
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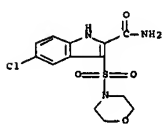

RN 661467-78-3 CAPLUS
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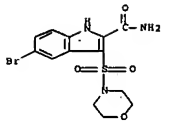

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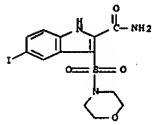

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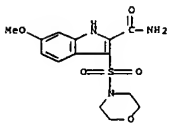

RN 661467-81-8 CAPLUS
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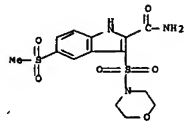

RN 661467-83-0 CAPLUS
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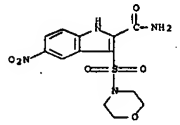

RN 661467-93-2 CAPLUS
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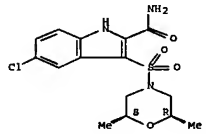
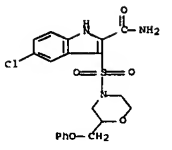
Relative stereochemistry.

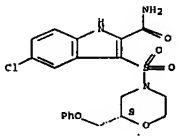


RN 661467-97-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



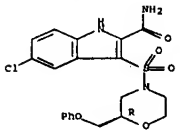
RN 661467-98-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

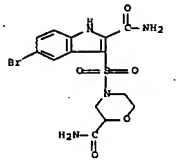


RN 661467-99-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[(2R)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

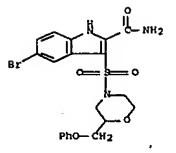
Absolute stereochemistry.



RN 661468-04-8 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[2-(aminocarbonyl)-4-morpholinyl]sulfonyl]-5-bromo- (CA INDEX NAME)



RN 661468-12-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661468-13-9 CAPLUS
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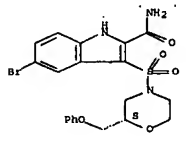
Absolute stereochemistry.



RN 661468-14-0 CAPLUS
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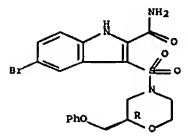
Absolute stereochemistry.



RN 661468-15-1 CAPLUS
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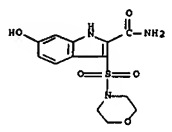

RN 661468-16-2 CAPLUS
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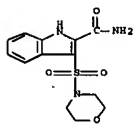
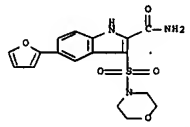
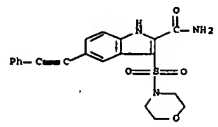


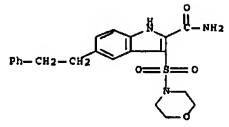
RN 661468-17-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(2-furanyl)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



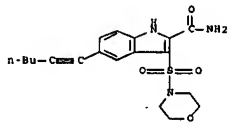
RN 661468-18-4 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



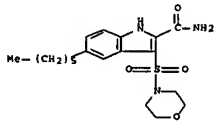
RN 661468-19-5 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(2-phenylethyl)- (CA INDEX NAME)



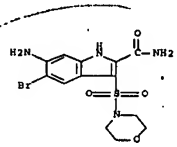
RN 661468-20-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(1-hexynyl)-3-(4-morpholinylsulfonyl)- (9CI) (CA INDEX NAME)



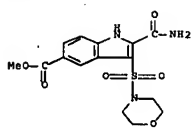
RN 661468-21-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-hexyl-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



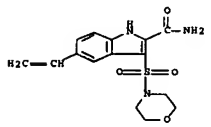
RN 661468-22-0 CAPLUS
CN 1H-Indole-2-carboxamide, 6-amino-5-bromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



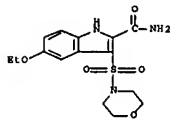
RN 661468-23-1 CAPLUS
CN 1H-Indole-5-carboxylic acid, 2-(aminocarbonyl)-3-(4-morpholinylsulfonyl)-, methyl ester (CA INDEX NAME)



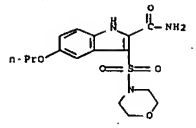
RN 661468-24-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-ethenyl-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



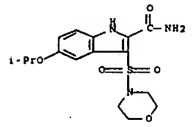
RN 661468-25-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-ethoxy-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



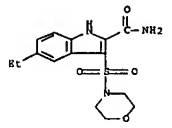
RN 661468-26-4 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-propoxy- (CA INDEX NAME)



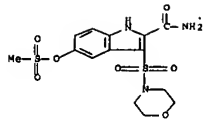
RN 661468-27-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(1-methylethoxy)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



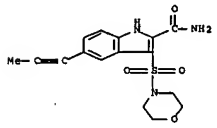
RN 661468-28-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-ethyl-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



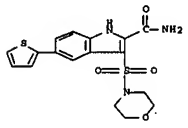
RN 661468-29-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(methylsulfonyl)oxy]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



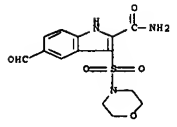
RN 661468-30-0 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(1-propynyl)- (9CI) (CA INDEX NAME)



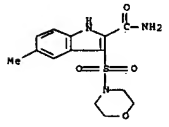
RN 661468-31-1 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(2-thienyl)- (CA INDEX NAME)



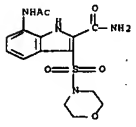
RN 661468-33-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-formyl-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



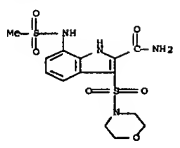
RN 661468-34-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-methyl-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



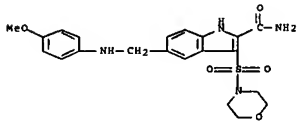
RN 661468-35-5 CAPLUS
CN 1H-Indole-2-carboxamide, 7-(acetylamino)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



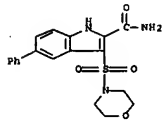
RN 661468-36-6 CAPLUS
CN 1H-Indole-2-carboxamide, 7-[(methylsulfonyl)amino]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



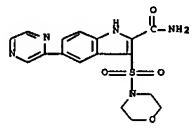
RN 661468-37-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[[(4-methoxyphenyl)amino]methyl]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



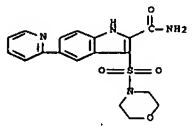
RN 661468-39-9 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-phenyl- (CA INDEX NAME)



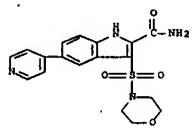
RN 661468-40-2 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-pyrazinyl- (9CI) (CA INDEX NAME)



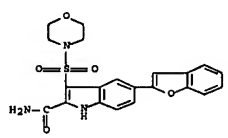
RN 661468-41-3 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(2-pyridinyl)- (CA INDEX NAME)



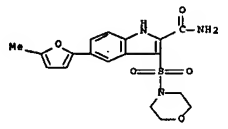
RN 661468-42-4 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(4-pyridinyl)- (CA INDEX NAME)



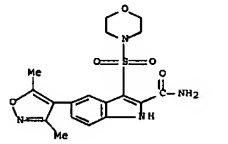
RN 661468-43-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(2-benzofuranyl)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



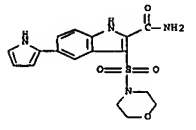
RN 661468-44-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(5-methyl-2-furanyl)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



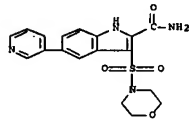
RN 661468-45-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(3,5-dimethyl-4-isoxazolyl)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



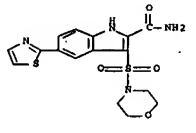
RN 661468-46-8 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(1H-pyrrol-2-yl)- (CA INDEX NAME)



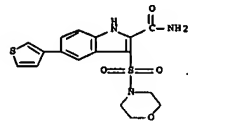
RN 661468-47-9 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(3-pyridinyl)- (CA INDEX NAME)



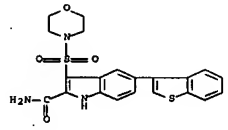
RN 661468-48-0 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(2-thiazolyl)- (CA INDEX NAME)



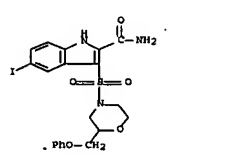
RN 661468-49-1 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(3-thienyl)- (CA INDEX NAME)



RN 661468-50-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-benzo[b]thien-3-yl-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)

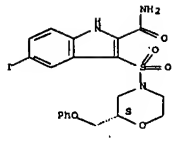


RN 661468-53-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-iodo-3-[[2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



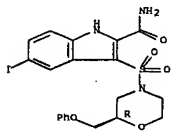
RN 661468-54-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-iodo-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

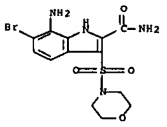


RN 661468-55-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-iodo-3-[[(2R)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

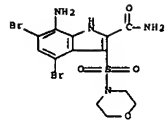
Absolute stereochemistry.



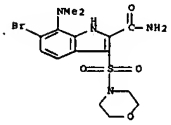
RN 661468-56-0 CAPLUS
CN 1H-Indole-2-carboxamide, 7-amino-6-bromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



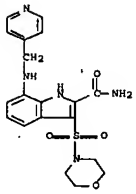
RN 661468-57-1 CAPLUS
CN 1H-Indole-2-carboxamide, 7-amino-4,6-dibromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



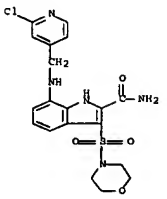
RN 661468-58-2 CAPLUS
CN 1H-Indole-2-carboxamide, 6-bromo-7-(dimethylamino)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



RN 661468-59-3 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-7-[(4-pyridinylmethyl)amino]- (CA INDEX NAME)

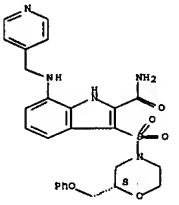


RN 661468-60-6 CAPLUS
CN 1H-Indole-2-carboxamide, 7-[[(2-chloro-4-pyridinyl)methyl]amino]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



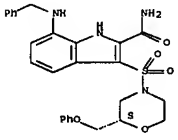
RN 661468-65-1 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-7-[(4-pyridinylmethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

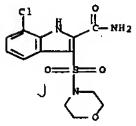


RN 661468-67-3 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-7-[(phenylmethyl)amino]- (CA INDEX NAME)

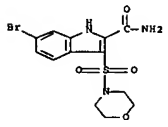
Absolute stereochemistry.



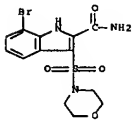
RN 661468-68-4 CAPLUS
CN 1H-Indole-2-carboxamide, 7-chloro-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



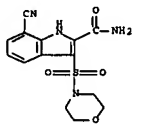
RN 661468-69-5 CAPLUS
CN 1H-Indole-2-carboxamide, 6-bromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



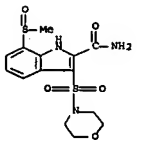
RN 661468-70-8 CAPLUS
CN 1H-Indole-2-carboxamide, 7-bromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



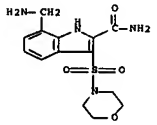
RN 661468-71-9 CAPLUS
CN 1H-Indole-2-carboxamide, 7-cyano-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



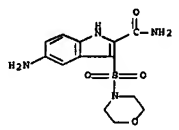
RN 661468-72-0 CAPLUS
CN 1H-Indole-2-carboxamide, 7-(methylsulfinyl)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



RN 661468-73-1 CAPLUS
CN 1H-Indole-2-carboxamide, 7-(aminomethyl)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)

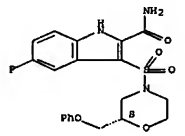


RN 661468-74-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-amino-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



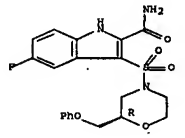
RN 661468-75-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-fluoro-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

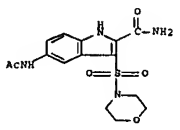


RN 661468-76-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-fluoro-3-[[(2R)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

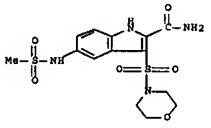
Absolute stereochemistry.



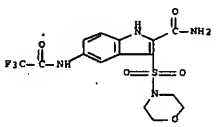
RN 661468-77-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(acetylamino)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



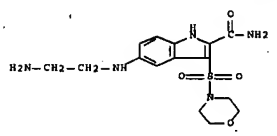
RN 661468-78-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(methylsulfonyl)amino]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



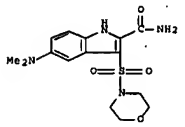
RN 661468-79-7 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



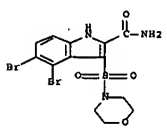
RN 661468-80-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(2-aminoethyl)amino]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



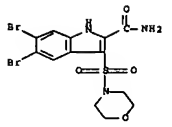
RN 661468-81-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(dimethylamino)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



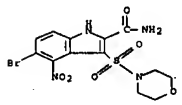
RN 661468-82-2 CAPLUS
CN 1H-Indole-2-carboxamide, 4,5-dibromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



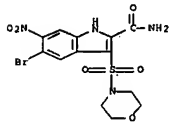
RN 661468-83-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5,6-dibromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



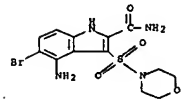
RN 661468-84-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-(4-morpholinylsulfonyl)-4-nitro- (CA INDEX NAME)



RN 661468-85-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-(4-morpholinylsulfonyl)-6-nitro- (CA INDEX NAME)

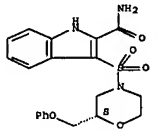


RN 661468-86-6 CAPLUS
CN 1H-Indole-2-carboxamide, 4-amino-5-bromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)

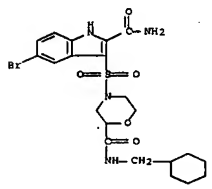


RN 661468-87-7 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

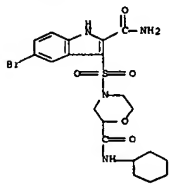
Absolute stereochemistry.



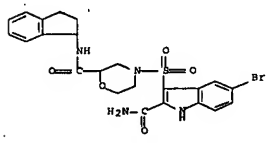
RN 661468-88-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(cyclohexylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



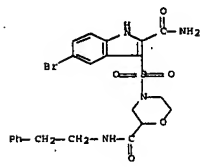
RN 661468-89-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(cyclohexylamino)carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



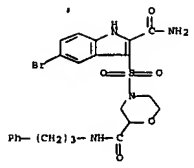
RN 661468-90-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2,3-dihydro-1H-inden-1-yl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



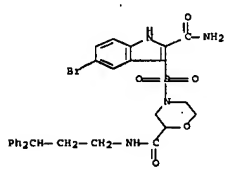
RN 661468-91-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-phenylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



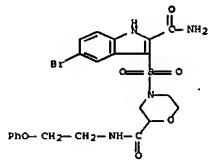
RN 661468-92-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(3-phenylpropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661468-93-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(3,3-diphenylpropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

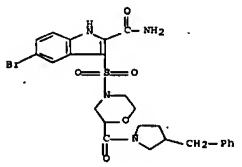


RN 661468-95-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-phenoxyethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

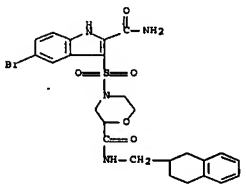


RN 661468-96-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[3-(phenylmethyl)-1-

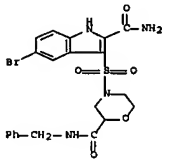
pyrrolidinyl]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



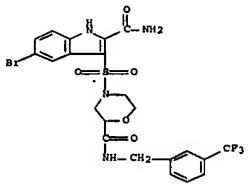
RN 661468-97-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(1,2,3,4-tetrahydro-2-naphthalenyl)methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



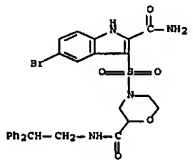
RN 661468-98-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(phenylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



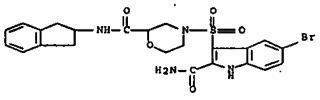
RN 661468-99-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[3-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



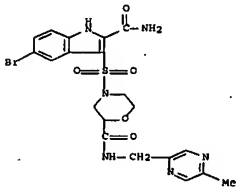
RN 661469-00-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2,2-diphenylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



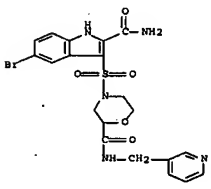
RN 661469-01-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2,3-dihydro-1H-inden-2-yl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



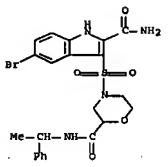
RN 661469-03-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(5-methylpyrazinyl)methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (9CI) (CA INDEX NAME)



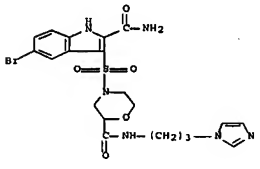
RN 661469-04-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(3-pyridinylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-05-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(1-phenylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

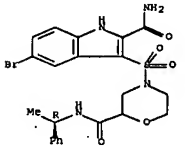


RN 661469-06-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[3-(1H-imidazol-1-yl)propyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

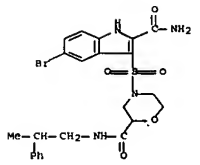


RN 661469-07-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(1R)-1-phenylethyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

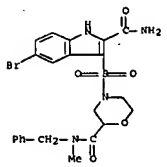
Absolute stereochemistry.



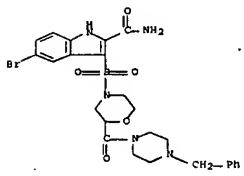
RN 661469-08-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-phenylpropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



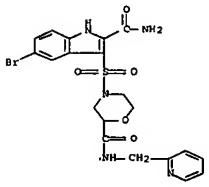
RN 661469-09-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[methyl(phenylmethyl)amino]carbony
l]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



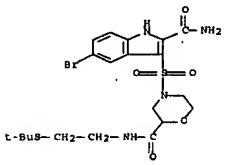
RN 661469-10-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[4-(phenylmethyl)-1-
piperazinyl]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



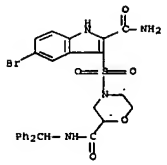
RN 661469-11-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-pyridinylmethyl)amino]carbonyl
]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-12-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[2-[(1,1-
dimethylethyl)thio]ethyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA
INDEX NAME)

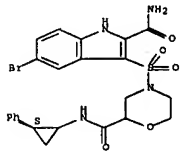


RN 661469-13-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(diphenylmethyl)amino]carbonyl]-4-
morpholinyl]sulfonyl]- (CA INDEX NAME)

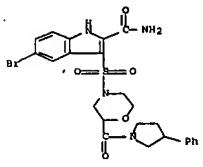


RN 661469-14-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(2S)-2-
phenylcyclopropyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX
NAME)

Absolute stereochemistry.

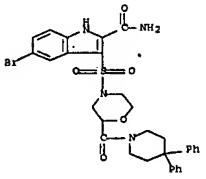


RN 661469-15-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(3-phenyl-1-pyrrolidinyl)carbonyl]-
4-morpholinyl]sulfonyl]- (CA INDEX NAME)

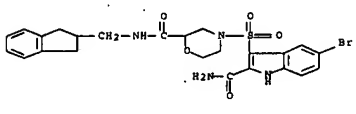


RN 661469-16-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(4,4-diphenyl-1-

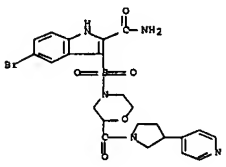
piperidinyl)carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



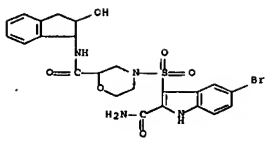
RN 661469-17-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(2,3-dihydro-1H-inden-2-
yl)methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



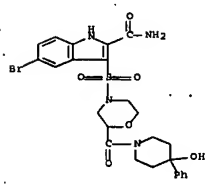
RN 661469-18-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(3-(4-pyridinyl)-1-
pyrrolidinyl]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



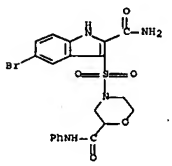
RN 661469-19-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2,3-dihydro-2-hydroxy-1H-inden-1-
yl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



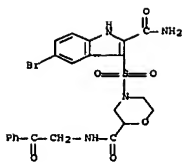
RN 661469-20-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(4-hydroxy-4-phenyl-1-piperidinyl)carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



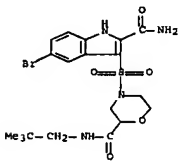
RN 661469-21-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(phenylamino)carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



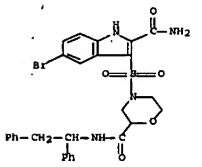
RN 661469-22-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-oxo-2-phenylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-23-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2,2-dimethylpropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

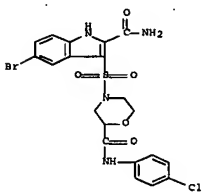


RN 661469-24-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(1,2-diphenylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

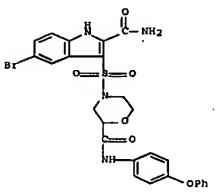


RN 661469-25-6 CAPLUS

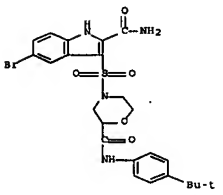
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(4-chlorophenyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



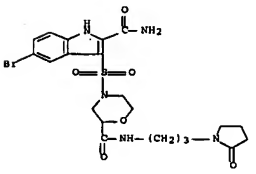
RN 661469-26-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(4-phenoxyphenyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



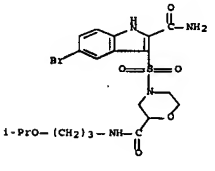
RN 661469-27-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[4-(1,1-dimethylethyl)phenyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



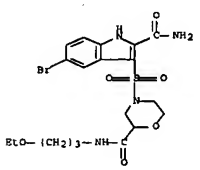
RN 661469-28-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



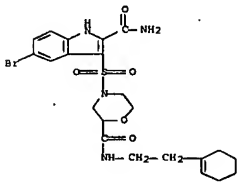
RN 661469-29-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[3-(1-methylethoxy)propyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



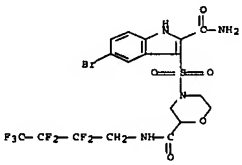
RN 661469-30-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(3-ethoxypropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



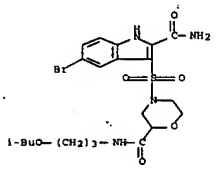
RN 661469-31-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[2-(1-cyclohexen-1-yl)ethyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



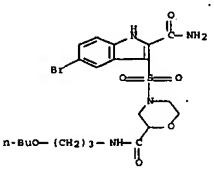
RN 661469-32-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2,2,3,3,4,4,4-heptafluorobutyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-33-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[3-(2-methylpropoxy)propyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

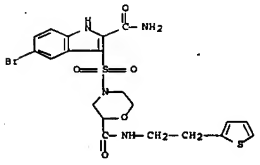


RN 661469-34-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(3-butoxypropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

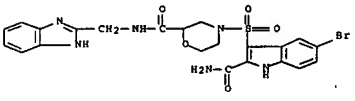


RN 661469-35-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[2-(2-

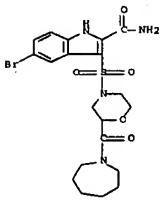
thienyl)ethyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-36-9 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[2-[[(1H-benzimidazol-2-ylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]-5-bromo- (CA INDEX NAME)

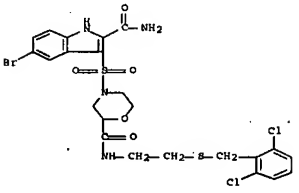


RN 661469-37-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(hexahydro-1H-azepin-1-yl)carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

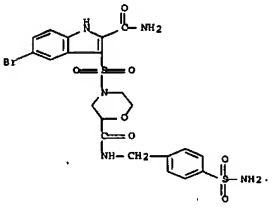


RN 661469-38-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[2-[[(2,6-dichlorophenyl)methyl]thio]ethyl]amino]carbonyl]-4-morpholinyl]sulfonyl]-

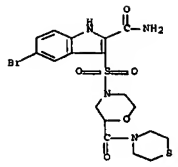
(CA INDEX NAME)



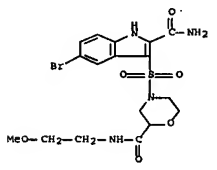
RN 661469-39-2 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[2-[[[[4-(aminosulfonyl)phenyl]methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]-5-bromo- (CA INDEX NAME)



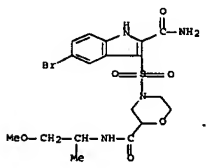
RN 661469-40-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-(4-thiomorpholinylcarbonyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



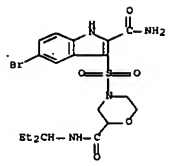
RN 661469-41-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-methoxyethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



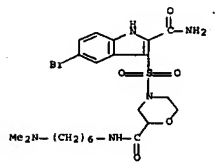
RN 661469-42-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-methoxy-1-methylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



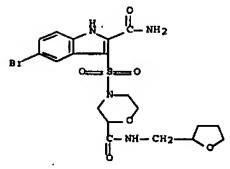
RN 661469-43-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(1-ethylpropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-44-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[6-(dimethylamino)hexyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

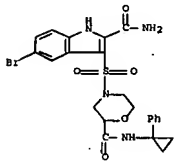


RN 661469-45-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(tetrahydro-2-furanyl)methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

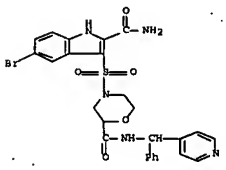


RN 661469-46-1 CAPLUS

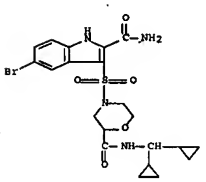
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(1-phenylcyclopropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



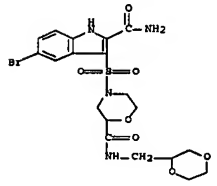
RN 661469-47-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(phenyl-4-pyridinylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



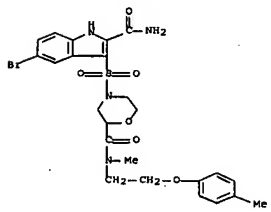
RN 661469-48-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(dicyclopropylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



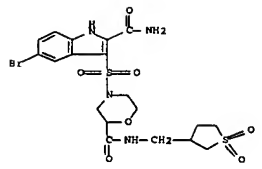
RN 661469-49-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(1,4-dioxan-2-ylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



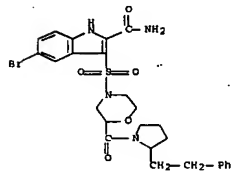
RN 661469-50-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[methyl[2-(4-methylphenoxy)ethyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



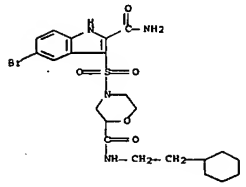
RN 661469-51-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(tetrahydro-1,1-dioxido-3-thienyl)methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-52-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[2-(2-phenylethyl)-1-pyrrolidinyl]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

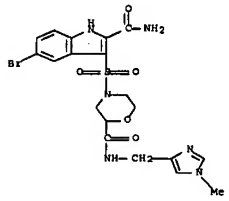


RN 661469-53-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-cyclohexylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

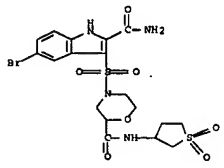


RN 661469-54-1 CAPLUS

CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(1-methyl-1H-imidazol-4-yl)methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

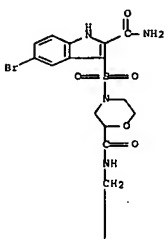


RN 661469-55-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(tetrahydro-1,1-dioxido-3-thienyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



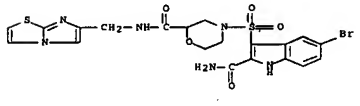
RN 661469-56-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(1-naphthalenylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

PAGE 1-A

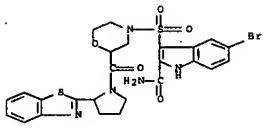


PAGE 2-A

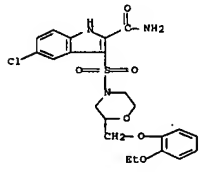
RN 661469-57-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[imidazo[2,1-b]thiazol-6-ylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



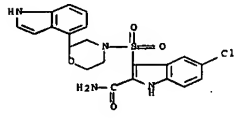
RN 661469-58-5 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[2-[[2-(2-benzothiazolyl)-1-pyrrolidinyl]carbonyl]-4-morpholinyl]sulfonyl]-5-bromo- (CA INDEX NAME)



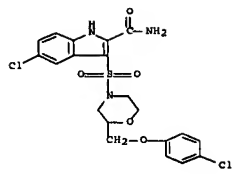
RN 661469-61-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[2-[(2-ethoxyphenoxy)methyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



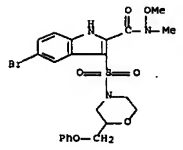
RN 661469-65-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[2-(1H-indol-4-yl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-89-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[2-[(4-chlorophenoxy)methyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

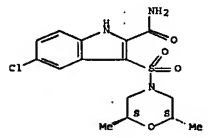


RN 661470-00-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-methoxy-N-methyl-3-[[2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

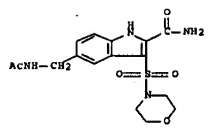


RN 661470-01-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[(2R,6R)-2,6-dimethyl-4-morpholinyl]sulfonyl]-, rel- (CA INDEX NAME)

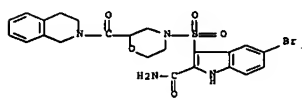
Relative stereochemistry.



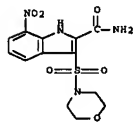
RN 695816-12-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(acetylamino)methyl]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



RN 695816-13-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



IT 661470-11-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinazolines and analogs as Akt inhibitors and
indoles as protein kinase inhibitors for use in synergistic combination therapy for treatment of cancer)
RN 661470-11-7 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-7-nitro- (CA INDEX NAME)

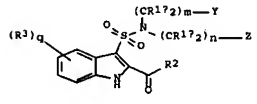


L10 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:142899 CAPLUS Full-text
DN 140:181323
TI Preparation of indolesulfonamides as tyrosine kinase inhibitors, in particular insulin-like growth factor 1 receptor (IGF-1R) inhibitors

IN Dinsmore, Christopher J.; Beshore, Douglas C.; Bergman, Jeffrey M.; Lindsley, Craig W.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004014300	A2	20040219	WO 2003-US24393	20030805
WO 2004014300	A3	20040422		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2493575	A1	20040219	CA 2003-2493575	20030805
AU 2003257170	A1	20040225	AU 2003-257170	20030805
EP 1534268	A2	20050601	EP 2003-784904	20030805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006504668	T	20060209	JP 2004-527739	20030805
US 2006128783	A1	20060615	US 2005-523286	20050203
PRAI US 2002-402482P	P	20020809		
WO 2003-US24393	W	20030805		

OS CASREACT 140:181323; MARPAT 140:181323
GI



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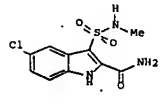
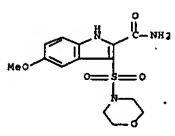
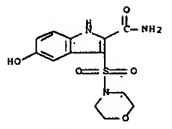


II

AB Title compds. I [wherein R1a, R1b = independently H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl, heterocyclyl; R2 = H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl; R3 = H, halo, (CH2)pOH and derivs., CO2H and derivs., CH:CH2 and derivs., NO2, (CH2)pNH2 and derivs., NHCHO and derivs., NHS(O)oR4, S(O)oR4, S(O)oNH2 and derivs., CN, (CH2)pNH(CH2)pH and derivs., etc.; R4 = (un)substituted cyclo/alkyl, aryl, heterocyclyl; m = 0-6; n = 0-6; q = 0-4; p = 0-6; o = 0-2; and their pharmaceutically acceptable salts, hydrates and stereoisomers] were prepared for inhibiting, modulating and/or regulating signal transduction of both receptor-type and non-receptor type tyrosine kinases. For example, I was prepared in 5 steps via substitution of benzenesulfonyl chloride with Et 5-chloro-1H-indole-2-carboxylate, sulfonation with concentrated H2SO4 in DCM, chlorination with oxalyl chloride in the presence of DCM/DMF, substitution with methylamine hydrochloride in the presence of TEA/DCM, and one-pot amidation with NH3/phenylsulfonyl group deprotection in i-PrOH. I inhibited insulin-like growth factor 1 receptor (IGF-1R) or Insulin receptor kinase with an IC50 ≤ 100 μM. Thus, I and their formulations are useful for treating cancer, diabetes, an autoimmune disorder, a hyperproliferative disorder, aging, acromegaly, and Crohn's disease.
IT 660413-14-9P, 5-Methoxy-3-(morpholin-4-ylsulfonyl)-1H-indole-2-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indolesulfonamides as tyrosine kinase inhibitors)
RN 660413-14-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-methoxy-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



IT 660413-15-0P, 5-Hydroxy-3-(morpholin-4-ylsulfonyl)-1H-indole-2-carboxamide
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indolesulfonamides as tyrosine kinase inhibitors)
RN 660413-15-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-hydroxy-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



L10 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:900609 CAPLUS Full-text
DN 134:56564
TI Indolinylsulfonamide derivatives as virucides
IN Handke, Gabriele; Baumeister, Judith; Bender, Wolfgang; Betz, Ulrich; Brands, Michael; Eckenberg, Peter; Fischer, Rudiger; Hendrix, Martin; Henninger, Kerstin; Jensen, Axel; Keldenich, Jorg; Kleymann, Gerald; Massen, Jutta; Schneider, Udo; Weber, Olaf
PA Bayer Aktiengesellschaft, Germany
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000076966	A2	20001221	WO 2000-EP5114	20000605
WO 2000076966	A3	20010719		
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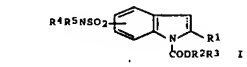
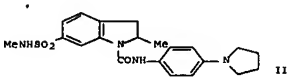
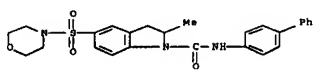
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19927415	A1	20001221	DE 1999-19927415	19990616
PRAI DE 1999-19927415	A	19990616		

OS MARPAT 134:56564
GI





AB Title compds. I [D = N, CH; R1, R2, R5 = H, alkyl; R3 = (un)substituted Ph, alkyl, benzodioxolylmethyl; R4 = H, (un)substituted alkyl; NR4R5 = heterocyclic] were prepared for use as virucides, especially in the treatment of Herpes simplex. Thus, 1-acetyl-2-methyl-6-indolinesulfonyl chloride is converted to the N-methylamide, deacetylated, and converted to the 1-acetyl chloride which is aminated with 4-pyrrolidinoaniline to give the amide II. II had an IC50 against HSV-1 F/Vero of 25 nM, cf. Zovirax 1μM.
IT 313689-92-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolinylsulfonamides as virucides)
RN 313689-92-8 CAPLUS
CN 1H-Indole-1-carboxamide, N-[1,1'-biphenyl]-4-yl-2,3-dihydro-2-methyl-5-(4-morpholinylsulfonyl)- (9CI) (CA INDEX NAME)

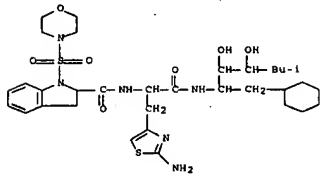


L11 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1993:552120 CAPLUS Full-text
DN 119:152120
TI Tetrahydroisoquinoline-type renin inhibiting peptides
IN Hamilton, Harriet W.; Patt, William C.
PA Warner-Lambert Co., USA
SO U.S., 11 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5219851	A	19930615	US 1991-664916	19910305
PRAI US 1991-664916		19910305		

OS MARPAT 119:152120

AB The title compds. (Markush included) contain a tetrahydroisoquinoline or similar heterocycle at the P3 position. The compds. are useful for treatment of hypertension, congestive heart failure, glaucoma, hyperaldosteronism, and diseases caused by retroviruses, including HTLV-i, -II, and -III. Processes for preparing the compds., compns. containing them, and methods of using them are included. Also included is a diagnostic method which uses the compds. to determine the presence of renin-associated hypertension or hyperaldosteronism. Preparation and renin-inhibitory activity of several of the compds. are presented, as is the in vivo blood pressure lowering effect.
IT 150145-75-8
RL: BIOL (Biological study)
(renin-inhibiting peptide)
RN 150145-75-8 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[(2-amino-4-thiazolyl)methyl]-2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-2-oxoethyl]-2,3-dihydro-1-(4-morpholinylsulfonyl)- (9CI) (CA INDEX NAME)



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CA SUBSCRIBER PRICE	-3.12	-3.12

SESSION WILL BE HELD FOR 120 MINUTES
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L4             81 S L3

     FILE 'STNGUIDE' ENTERED AT 12:53:37 ON 10 OCT 2007

     FILE 'REGISTRY' ENTERED AT 12:56:15 ON 10 OCT 2007
L5              STRUCTURE UPLOADED
L6             4 S L5 SAM SUB=L3
L7           174 S L5 SSS FULL SUB=L3

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L10            4 S L8 NOT L9

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     FILE 'REGISTRY' ENTERED AT 13:11:35 ON 10 OCT 2007

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=> s l4 not l9
L11            80 L4 NOT L9

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L11 ANSWER 1 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:730114 CAPLUS Full-text

DN 147:143291

TI Preparation of quinolonecarboxamides useful in cystic fibrosis transmembrane conductance regulator (CFTR) assays.

IN Singh, Ashvani; Van Goor, Fredrick; Worley, Jennings Franklin, III; Knapp, Thomas

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 281pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007075946	A1	20070705	WO 2006-US48900	20061221
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,			

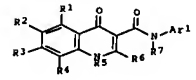
GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2005-754462P P 20051227

OS MARPAT 147:143291

GI



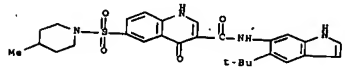
I

AB Title compds. [I; Ar1 = (substituted) (fused) (hetero)aryl; R1-R5 = XR; X = bond, (substituted) (interrupted) alkylene; R = R', halo, NO2, cyano, CF3, OCF3; R6 = H, CF3, OR', SR', (substituted) aliphatyl; R' = H, (substituted) aliphatyl; R7 = H, (substituted) aliphatyl; R' = H, (substituted) aliphatyl, (hetero)cyclyl], were prepared to evaluate the ability of compds. to increase the number of CFTR on a cell (no data). Thus, 4-hydroxyquinoline-3-carboxylic acid (preparation given), HATU, DIEA, and PhNH2 were stirred together for 3 h in DMF to give 45% 4-oxo-N-phenyl-1H-quinoline-3-carboxamide.

IT 873050-68-1P 873052-88-1P
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolonecarboxamides useful in cystic fibrosis transmembrane conductance regulator (CFTR) assays)

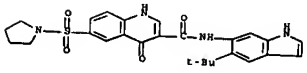
RN 873050-68-1 CAPLUS

CN 3-Quinolinecarboxamide, N-[5-(1,1-dimethylethyl)-1H-indol-6-yl]-1,4-dihydro-6-[(4-methyl-1-piperidinyl)sulfonyl]-4-oxo- (CA INDEX NAME)



RN 873052-88-1 CAPLUS

CN 3-Quinolinecarboxamide, N-[5-(1,1-dimethylethyl)-1H-indol-6-yl]-1,4-dihydro-4-oxo-6-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:485580 CAPLUS Full-text

DN 146:481933

TI Preparation of sulfonamide derivatives as modulators of ion channels

IN Martinborough, Esther; Termin, Andreas P.; Neubert, Timothy D.; Zimmermann, Nicole; Gutierrez, Corey Don

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 85pp.
CODEN: PIXXD2

DT Patent

LA English

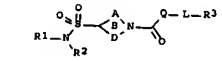
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007050522	A1	20070503	WO 2006-US41304	20061023
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 2007203122	A1	20070830	US 2006-584961	20061023

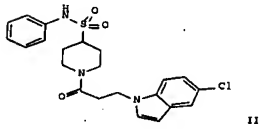
PRAI US 2005-729344P P 20051021

OS MARPAT 146:481933

GI



I



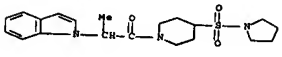
II

AB Title compds. I [B = (un)substituted heterocyclyl with provision that substituents = halo, CN, NO2, etc.; A = (CH2)m; D = (CH2)n, wherein m and n independently = 1-3; R1-2 independently = H, (un)substituted aryl, heteroaryl, etc.; R1 and R2 may join together with N to form a (un)substituted heterocyclyl ring; Q = (un)substituted alkyl; L = absent, O, S, NH, etc.; R3 = (un)substituted aryl, heteroaryl, heterocycloalkyl], and their pharmaceutically acceptable salts, are prepared and disclosed as ion channel modulators for the treatment of pain-related diseases. Thus, e.g., II was prepared via condensation of 4-chlorosulfonylpiperidine-1- carboxylic acid tert-butyl ester (preparation given) with benzeneamine followed by deprotection and acylation with 5-chloro-1H-indole-1-propanoic acid. Select compds. of the invention were evaluated for their NaV channel inhibition activity, e.g., the activity of II for the ABC transporter modulators was found to range from 5 to 20 μM.

IT 935844-92-1P 935845-01-5P 935845-12-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamide derivs. as modulators of ion channels)

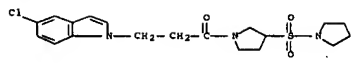
RN 935844-92-1 CAPLUS

CN 1-Propanone, 2-(1H-indol-1-yl)-1-[4-(1-pyrrolidinylsulfonyl)-1-piperidinyl]- (CA INDEX NAME)

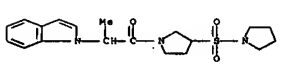


RN 935845-01-5 CAPLUS

CN 1-Propanone, 3-(5-chloro-1H-indol-1-yl)-1-[3-(1-pyrrolidinylsulfonyl)-1-pyrrolidinyl]- (CA INDEX NAME)



RN 935845-12-8 CAPLUS
CN 1-Propanone, 2-(1H-indol-1-yl)-1-[3-(1-pyrrolidinylsulfonyl)-1-pyrrolidinyl]- (CA INDEX NAME)

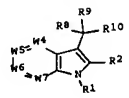


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L111 ANSWER 3 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:410811 CAPLUS Full-text
DN 146:421837
TI Preparation of fused pyrrole derivatives as GR modulators
IN Sone, Toshihiko; Sawaki, Rieko; Nakajima, Tomoko
PA Dainippon Sumitomo Pharma Co., Ltd., Japan
SO PCT Int. Appl., 403pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007040166	A1	20070412	WO 2006-JP319426	20060929
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRAI JP 2005-286576	A	20050930		

OS MARPAT 146:421837
GI



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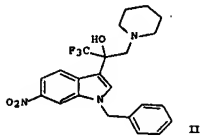
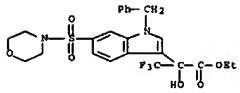


II

AB Title compds. I [R1 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R2 = H, halo, carboxyl, etc.; -W4:W5-W6:W7- = -CR4:CR5-CR6:CR7-, -N:CR5-CR6:CR7-, -CR4:N-CR6:CR7-, etc.; R4-R7 = -E-A; E = single bond, -O-, -CO-, etc.; when E is a single bond, A is H, halo, cyano, etc.; when E is -O-, -CO-, etc., A is H, (un)substituted alkyl, (un)substituted cycloalkyl, etc.; R8 = -OR11, -SR11, -N(R11)R12; R11, R12 = H, (un)substituted alkyl; R9 = alkyl substituted with halo, cycloalkyl substituted with halo; R10 = -[C(R13)R14]n-R15; R13, R14 = H, alkyl, halo; R13 and R14 may combine to form a oxo group; or R13 and R14, together with the carbon atom to which they are attached, form a cycloalkane (one or two -CH2- in cycloalkane may be replaced with -NH-, -S-, -S(:O)-, etc.); n = 0-10; R15 = hydroxy, (un)substituted alkyl, (un)substituted alkenyl, etc.], prodrugs or pharmaceutically acceptable salts were prepared For example, reaction of 1-(1-benzyl-6-nitro-1H-indol-3-yl)-2,2,2- trifluoroethanone, e.g., prepared from 6-nitroindole in 2 steps, with trimethylphosphonium iodide followed by treatment with piperidine afforded compound II. In glucocorticoid receptor (GR) binding assays, compound II exhibited the inhibitory activity of 92% at 100 nM. Compds. I are claimed useful for the treatment of inflammation and diabetes.

IT 934224-74-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyrrole derivs. as GR modulators for treatment of inflammation and diabetes)

RN 934224-74-5 CAPLUS
CN 1H-Indole-3-acetic acid, α-hydroxy-6-(4-morpholinylsulfonyl)-1-(phenylmethyl)-α-(trifluoromethyl)-, ethyl ester (CA INDEX NAME)

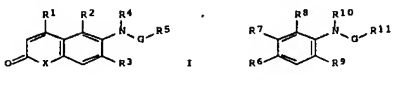


RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

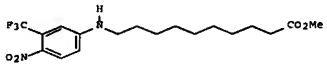
L111 ANSWER 4 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:31168 CAPLUS Full-text
DN 146:142515
TI Quinolinones, chromenones, benzothiopyranones, and anilines as androgen receptor modulators, their preparation, pharmaceutical compositions, and use in therapy
IN Loren, Jon C.; Miller, Todd; Pedram, Bijan; Rowley, Charlene V.; Shen, Yixing; Van Oeveren, Cornelis A.; Zhi, Lin
PA Ligand Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 278pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007005887	A2	20070111	WO 2006-US26067	20060630
WO 2007005887	A3	20070419		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
PRAI US 2005-695949P	P	20050701		

OS MARPAT 146:142515
GI



I II

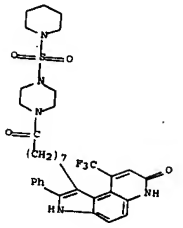


III

AB The invention relates to compds. of general formulas I, II or related derivs., which are androgen receptor modulators. In compds. I, X is O, S, or (un)substituted N; G is a bond, C(O), C(S), or S(O)2; R1, R2, and R3 are independently selected from H, halo, OH, SH, NH2, C1-6 alkoxy, C1-6 haloalkoxy, C1-6 alkylthio, C1-6 alkylamino, (un)substituted C1-4 alkyl, (un)substituted C1-4 haloalkyl, etc.; and R4 and R5 are independently selected from H, (un)substituted C1-6 alkyl, (un)substituted C1-6 haloalkyl, (un)substituted C1-6 heteroalkyl, etc.; including pharmaceutically acceptable salts and prodrugs thereof. In compds. II, G is as defined previously; R6 and R7 are independently selected from halo, cyano, nitro, C1-4 alkyl, C1-4 haloalkyl, C1-4 heteroalkyl, and C1-4 heterohaloalkyl; R8 and R9 are independently selected from H, halo, OH, SH, NH2, C1-6 alkoxy, C1-6 haloalkoxy, C1-6 alkylthio, C1-6 alkylamino, (un)substituted C1-4 alkyl, (un)substituted C1-4 haloalkyl, (un)substituted C1-4 heteroalkyl, etc.; and R10 and R11 are independently selected from H, (un)substituted C1-6 alkyl, (un)substituted C1-6 haloalkyl, (un)substituted C1-6 heteroalkyl, etc.; including pharmaceutically acceptable salts and prodrugs thereof. The invention also relates to the preparation of the compds. of the invention, pharmaceutical compns. comprising a compound of the invention and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment or prevention of conditions that respond to androgen receptor modulation, such as acne, male-pattern baldness, infertility, and impotence. Substitution of Me 10-bromodecanoate with 4-nitro-3-trifluoromethylaniline gave aminodecanoate III. Some compds. of the invention are agonists of androgen receptors, but other compds. are antagonists of androgen receptors (no data).

IT 918894-96-9P, 1-[8-Oxo-8-[4-(piperidine-1-sulfonyl)piperazin-1-yl]octyl]-2-phenyl-9-trifluoromethyl-3,6-dihydropyrrolo[3,2-f]quinolin-7-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinolinones, chromenones, benzothiopyranones, and anilines for use as androgen receptor modulators)

RN 918894-96-9 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 3,6-dihydro-1-[8-oxo-8-[4-(1-piperidinylsulfonyl)-1-piperazinyl]octyl]-2-phenyl-9-(trifluoromethyl)- (CA INDEX NAME)



L17 ANSWER 5 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:17542 CAPLUS Full-text

DN 146:121944

TI Preparation of 4-oxo-4,5-dihydro-3H-pyrrolo[2,3-c]quinolines as protein kinase inhibitors

IN Bienaymé, Hugues; Dumoulin, Antoine; Grisoni, Serge; Kaloun, El Bachir; Poigny, Stephane; Rabot, Remi; Rahali, Rachid; Tam, Eric

PA Pierre Fabre Medicament, Fr.

SO Fr. Demande, 84pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 2887881	A1	20070105	FR 2005-7009	20050701
WO 2007003611	A1	20070111	WO 2006-EP63768	20060630

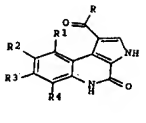
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

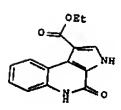
PRAI FR 2005-7009 A 20050701

OS CASREACT 146:121944

GI



I



II

AB Title compds. I [R = H, (un)substituted alk(en/yn)yl, aryl, alkoxy, etc.; R1, R4 = independently H, halo, NO2, (un)substituted cyclo/alkyl, alkoxy; R2, R3 = H, halo, alk(en/yn)yl, alkoxy, hetero/aryl, aryloxy, aralkyloxy, OH, Y(NR7)nR8, SOR8, NR7(Y)nR8, SO2R8, NR7(Y)nR8, NO2, COOR9; n = 0-1; Y = CO, SO2; R2, R3 cannot be simultaneously hetero/aryl, aryloxy, aralkyloxy, Y(NR7)nR8, SOR8, NR7(Y)nR8, NO2, COOR9; R7 = H, (un)substituted alk(en/yn)yl, hetero/aryl, hetero/aralkyl, independently H, (un)substituted cyclo/cycloalkyl/alkyl, etc.; R8, R9 = cycloalkyl, etc.; NR7R8 = (un)substituted cycle containing 2 heteroatoms; and their physiol. acceptable salts] were prepared as protein kinase inhibitors. Thus, reacting 1,3-dihydroindol-2-one and Et glyoxalate, and cyclization with p-toluenesulfonylmethyl isonitrilein THF in the presence of potassium tert-butoxide gave Pyrroloquinoline II. Pyrroloquinoline II, at 20 μM concentration, inhibited the activity of human RET, cSRC, Flt3, Pim-1, TrkA kinases, etc. I, and their pharmaceutical compns., are useful for treating various diseases, particularly cancer, inflammation, and central nervous system disorders (no data).

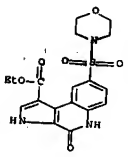
IT 918473-40-2P, Ethyl 8-[(Morpholin-4-yl)sulfonyl]-4-oxo-4,5-dihydro-3H-pyrrolo[2,3-c]quinoline-1-carboxylate 918473-53-7P, Methyl 8-[(4-Methylpiperazin-1-yl)sulfonyl]-4-oxo-4,5-dihydro-3H-pyrrolo[2,3-c]quinoline-1-carboxylate 918474-14-3P, Ethyl 8-[(4-Methylpiperazin-1-yl)sulfonyl]-4-oxo-4,5-dihydro-3H-pyrrolo[2,3-c]quinoline-1-carboxylate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrroloquinoline derivs. as protein kinase inhibitors)

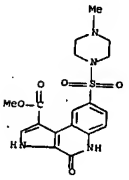
RN 918473-40-2 CAPLUS

CN 3H-Pyrrolo[2,3-c]quinoline-1-carboxylic acid, 4,5-dihydro-8-(4-morpholinylsulfonyl)-4-oxo-, ethyl ester (CA INDEX NAME)



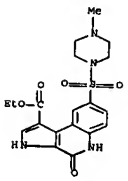
RN 918473-53-7 CAPLUS

CN 3H-Pyrrolo[2,3-c]quinoline-1-carboxylic acid, 4,5-dihydro-8-[(4-methyl-1-piperazinyl)sulfonyl]-4-oxo-, methyl ester (CA INDEX NAME)



RN 918474-14-3 CAPLUS

CN 3H-Pyrrolo[2,3-c]quinoline-1-carboxylic acid, 4,5-dihydro-8-[(4-methyl-1-piperazinyl)sulfonyl]-4-oxo-, ethyl ester (CA INDEX NAME)



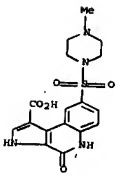
IT 918473-54-8P, 8-[(4-Methylpiperazin-1-yl)sulfonyl]-4-oxo-4,5-dihydro-3H-pyrrolo[2,3-c]quinoline-1-carboxylic acid

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrroloquinoline derivs. as protein kinase inhibitors)

RN 918473-54-8 CAPLUS

CN 3H-Pyrrolo[2,3-c]quinoline-1-carboxylic acid, 4,5-dihydro-8-[(4-methyl-1-piperazinyl)sulfonyl]-4-oxo- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:14092 CAPLUS Full-text

DN 146:121818

TI Preparation of indolesulfonamides as non-nucleoside HIV reverse transcriptase inhibitors for the treatment of HIV infection and AIDS

IN Wolkenberg, Scott E.; Zhao, Zhijian; Leister, Craig W.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 81pp., which

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007002368	A2	20070104	WO 2006-US24434	20060623
WO 2007002368	A3	20070503		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

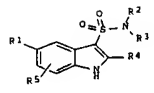
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI US 2005-694600P P 20050628

US 2005-707364P P 20050811

OS MARPAT 146:121818

GI



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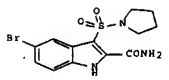
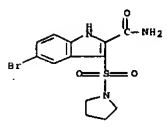


II

AB Title compds. I [wherein R1 = halo, CN, NO2, etc.; R2 = H, (un)substituted alkyl, alkoxy, etc.; R3 = (un)substituted alkyl, (hetero)aryl, cycloalkyl, etc.; R2 and R3 may link together to form ring; R4 = COOH, ester or amido; R5 = H or R1] and their pharmaceutically acceptable salts were prepared as non-nucleoside HIV reverse transcriptase inhibitors. For instance, sulfonylation of pyrrolidine with Et 5-bromo-3-(chlorosulfonyl)-1- (phenylsulfonyl)-1H-indole-2-carboxylate followed by amidation/deprotection with NH3 in methanol gave II. This product showed inhibition against HIV reverse transcriptase both in vitro and in vivo with IC50 values of less than 20 μM. It also showed inhibition of HIV replication with IC95 < 1 μM, and exhibited no cytotoxicity at its IC95 concentration Therefore, I and their pharmaceutical compns. are useful in the inhibition of HIV reverse transcriptase, the prophylaxis and treatment of infection by HIV and in the prophylaxis, delay in the onset, and treatment of AIDS.

IT 918494-66-3P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of indolesulfonamides as non-nucleoside HIV reverse transcriptase inhibitors for treatment of HIV infection and AIDS)

RN 918494-66-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



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918494-79-8P 918494-80-1P 918494-82-3P
918494-85-6P 918494-86-7P 918494-87-8P
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918495-08-6P 918495-09-7P 918495-10-0P
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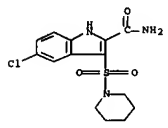
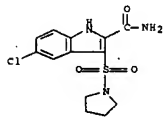
918495-14-4P 918495-15-5P 918495-16-6P
918495-17-7P 918495-18-8P 918495-19-9P
918495-20-2P 918495-21-3P 918495-22-4P
918495-23-5P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indolesulfonamides as non-nucleoside HIV reverse transcriptase inhibitors for treatment of HIV infection and AIDS)

RN 661467-87-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(1-piperidinylsulfonyl)- (CA INDEX NAME)



RN 661467-88-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



RN 661467-90-9 CAPLUS
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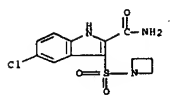
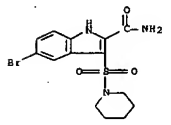
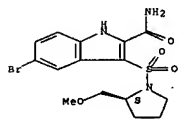


RN 918494-67-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-(1-piperidinylsulfonyl)- (CA INDEX NAME)



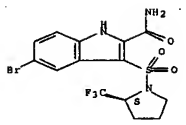
RN 918494-68-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 918494-73-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[(2S)-2-(trifluoromethyl)-1-pyrrolidinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 918494-75-4 CAPLUS
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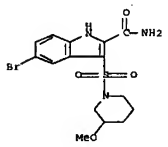
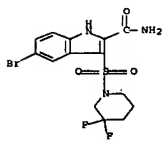
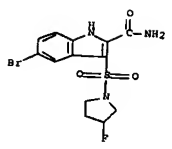


RN 918494-76-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[(3,3-difluoro-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



RN 918494-77-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[(3-fluoro-1-pyrrolidinyl)sulfonyl]- (CA INDEX NAME)



RN 918494-79-8 CAPLUS
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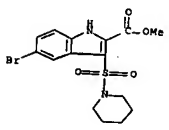
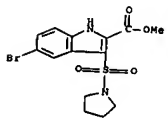
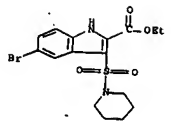


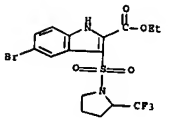
RN 918494-80-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(1-pyrrolidinylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 918494-82-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(1-piperidinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 918494-85-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[2-(trifluoromethyl)-1-pyrrolidinyl]sulfonyl]-, ethyl ester (CA INDEX NAME)



RN 918494-86-7 CAPLUS
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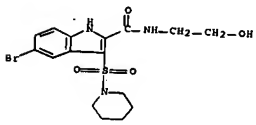
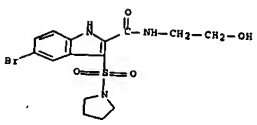
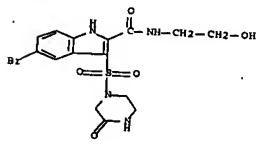


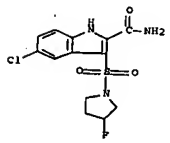
RN 918494-87-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(2-hydroxyethyl)-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



RN 918494-91-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(2-hydroxyethyl)-3-[(3-oxo-1-piperazinyl)sulfonyl]- (CA INDEX NAME)



RN 918494-93-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[(3-fluoro-1-pyrrolidinyl)sulfonyl]- (CA INDEX NAME)



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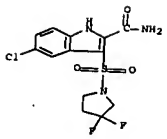

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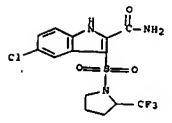

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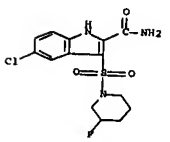
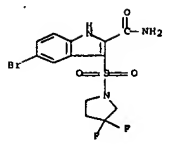
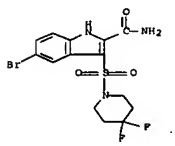


RN 918494-97-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[(3,3-difluoro-1-pyrrolidinyl)sulfonyl]- (CA INDEX NAME)



RN 918494-98-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[(4,4-difluoro-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



RN 918495-00-8 CAPLUS
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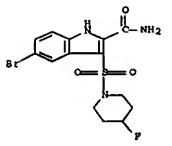

RN 918495-01-9 CAPLUS
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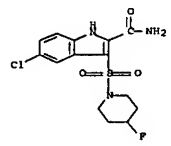

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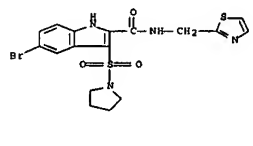

RN 918495-03-1 CAPLUS
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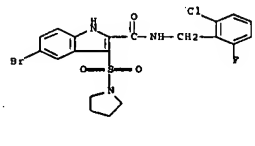
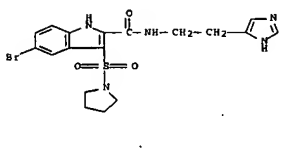


RN 918495-04-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-[2-(1H-imidazol-5-yl)ethyl]-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



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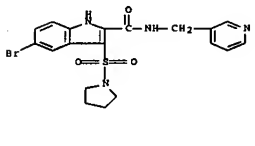
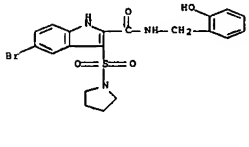


RN 918495-06-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-[(2-hydroxyphenyl)methyl]-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



RN 918495-07-5 CAPLUS
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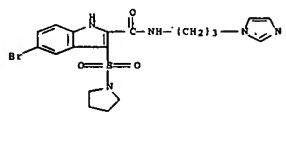

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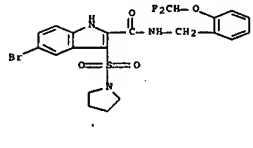

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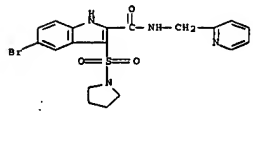
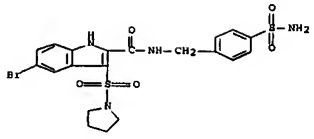
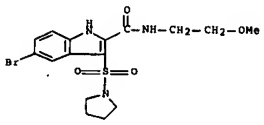
CN 1H-Indole-2-carboxamide, 5-bromo-N-(2-pyridinylmethyl)-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



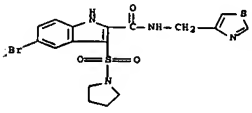
RN 918495-10-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[[4-(aminosulfonyl)phenyl]methyl]-5-bromo-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



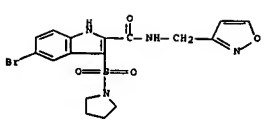
RN 918495-11-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(2-methoxyethyl)-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



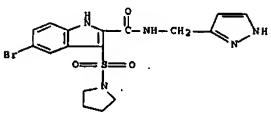
RN 918495-12-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-(1-pyrrolidinylsulfonyl)-N-(4-thiazolylmethyl)- (CA INDEX NAME)



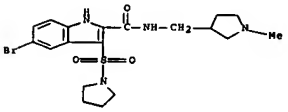
RN 918495-13-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(3-isoxazolylmethyl)-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



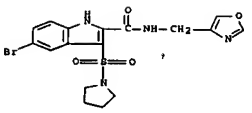
RN 918495-14-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(1H-pyrazol-3-ylmethyl)-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



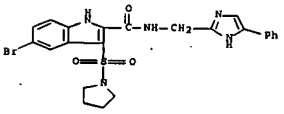
RN 918495-15-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-[(1-methyl-3-pyrrolidinyl)methyl]-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



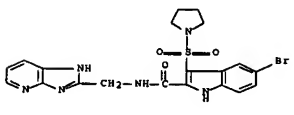
RN 918495-16-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(4-oxazolylmethyl)-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



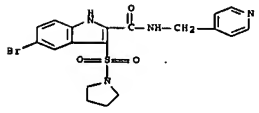
RN 918495-17-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-[(5-phenyl-1H-imidazol-2-yl)methyl]-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



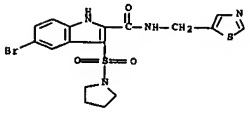
RN 918495-18-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(3H-imidazo[4,5-b]pyridin-2-ylmethyl)-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



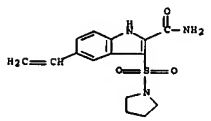
RN 918495-19-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(4-pyridinylmethyl)-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



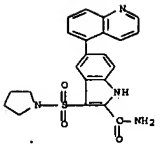
RN 918495-20-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-(1-pyrrolidinylsulfonyl)-N-(5-thiazolylmethyl)- (CA INDEX NAME)



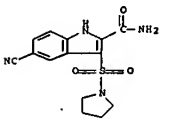
RN 918495-21-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-ethenyl-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



RN 918495-22-4 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(1-pyrrolidinylsulfonyl)-5-(5-quinolinyl)- (CA INDEX NAME)



RN 918495-23-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-cyano-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



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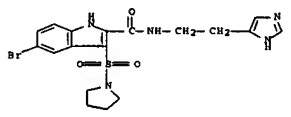
918495-33-7P 918495-34-8P 918495-35-9P
918495-37-1P 918495-40-6P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolesulfonamides as non-nucleoside HIV reverse transcriptase inhibitors for treatment of HIV infection and AIDS)
RN 918495-24-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-[2-(1H-imidazol-5-yl)ethyl]-3-(1-pyrrolidinylsulfonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918495-04-2
CMF C18 H20 Br N5 O3 S



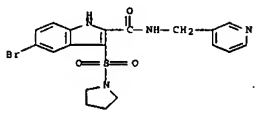
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918495-25-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(3-pyridinylmethyl)-3-(1-pyrrolidinylsulfonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918495-05-3
CMF C19 H19 Br N4 O3 S



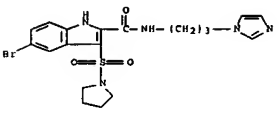
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918495-26-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-[3-(1H-imidazol-1-yl)propyl]-3-(1-pyrrolidinylsulfonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918495-07-5
CMF C19 H22 Br N5 O3 S



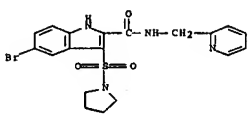
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918495-27-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(2-pyridinylmethyl)-3-(1-pyrrolidinylsulfonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918495-09-7
CMF C19 H19 Br N4 O3 S



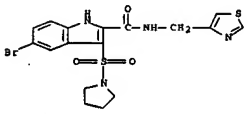
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918495-28-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-(1-pyrrolidinylsulfonyl)-N-(4-thiazolylmethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918495-12-2
CMF C17 H17 Br N4 O3 S2



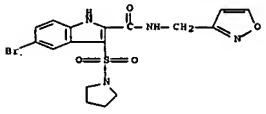
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918495-29-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(3-isoxazolylmethyl)-3-(1-pyrrolidinylsulfonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918495-13-3
CMF C17 H17 Br N4 O4 S



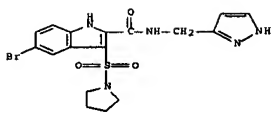
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918495-30-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(1H-pyrazol-3-ylmethyl)-3-(1-pyrrolidinylsulfonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918495-14-4
CMF C17 H18 Br N5 O3 S



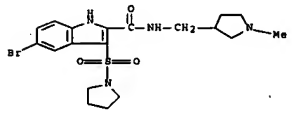
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918495-31-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-[(1-methyl-3-pyrrolidinyl)methyl]-3-(1-pyrrolidinylsulfonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918495-15-5
CMF C19 H25 Br N4 O3 S



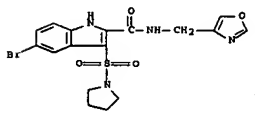
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918495-32-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(4-oxazolylmethyl)-3-(1-pyrrolidinylsulfonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918495-16-6
CMF C17 H17 Br N4 O4 S



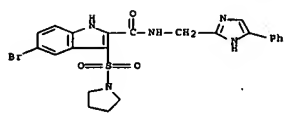
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918495-33-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-[(5-phenyl-1H-imidazol-2-yl)methyl]-3-(1-pyrrolidinylsulfonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918495-17-7
CMF C23 H22 Br N5 O3 S



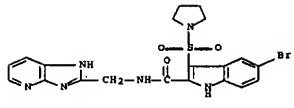
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918495-34-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(3H-imidazo[4,5-b]pyridin-2-ylmethyl)-3-(1-pyrrolidinylsulfonyl)-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 918495-18-9
CMF C20 H19 Br N6 O3 S



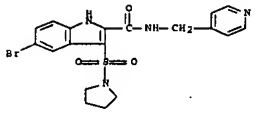
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918495-35-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-(4-pyridinylmethyl)-3-(1-pyrrolidinylsulfonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918495-19-9
CMF C19 H19 Br N4 O3 S



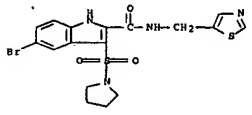
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918495-37-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-(1-pyrrolidinylsulfonyl)-N-(5-thiazolylmethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 918495-20-2
CMF C17 H17 Br N4 O3 S2



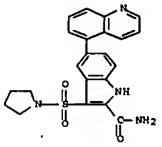
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 918495-40-6 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(1-pyrrolidinylsulfonyl)-5-(5-quinolinyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

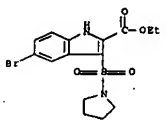
CRN 918495-22-4
CMF C22 H20 N4 O3 S



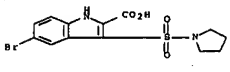
CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT 918142-85-5P 918494-39-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolesulfonamides as non-nucleoside HIV reverse transcriptase inhibitors for treatment of HIV infection and AIDS)
RN 918142-85-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(1-pyrrolidinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 918494-39-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



L10 ANSWER 7 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:13522 CAPLUS Full-text
DN 146:121816
TI Preparation of sulfonylindoles as non-nucleoside HIV reverse transcriptase inhibitors for the treatment of HIV infection and AIDS
IN Lindsley, Craig W.; Leister, William H.; Wolkenberg, Scott E.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 85pp., which
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007002481	A2	20070104	WO 2006-US24611	20060623

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US 2005-707365P P 20050811
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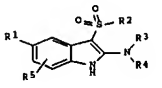

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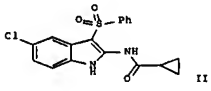
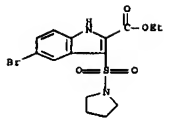
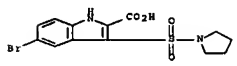


II

AB Title compds. I [wherein R1 = halo, CN, NO2, etc.; R2 = (un)substituted alkyl, haloalkyl, (hetero)aryl, etc.; R3 = H or alkyl; R4 = H, (un)substituted alkyl, (hetero)aryl, etc.; R5 = H or R1, with limitations] and their pharmaceutically acceptable salts were prepared as non-nucleoside HIV reverse transcriptase inhibitors. For instance, successive substitution of 2,5-dichloro-3-(phenylsulfonyl)-1H-indole with hydrazine, treatment with Raney Ni, and acylation with cyclopropanecarbonyl chloride gave amide II. This product showed inhibition against HIV reverse transcriptase both in vitro and in vivo with IC50 values of less than 20 μM. It also showed inhibition of HIV replication with IC95 < 1 μM, and exhibited no cytotoxicity at its IC95 concentration Therefore, I and their pharmaceutical compns. are useful in the inhibition of HIV reverse transcriptase, the prophylaxis and treatment of infection by HIV and in the prophylaxis, delay in the onset, and treatment of AIDS.
IT 918142-85-5P 918494-39-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfonylindoles as non-nucleoside HIV reverse transcriptase inhibitors for treatment of HIV infection and AIDS)
RN 918142-85-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(1-pyrrolidinylsulfonyl)-, ethyl ester (CA INDEX NAME)



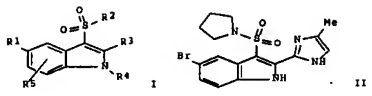
RN 918494-39-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



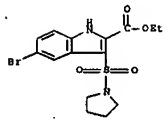
L11 ANSWER 8 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:11081 CAPLUS Full-text
DN 146:100697
TI Preparation of indole derivatives as non-nucleoside reverse transcriptase inhibitors
IN Wolkenberg, Scott E.; Lindsley, Craig W.; Zhao, Zhijian; Williams, Theresa M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 99pp., which
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007002458	A2	20070104	WO 2006-US24569	20060623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI US 2005-694735P	P	20050628		
US 2005-707283P	P	20050811		

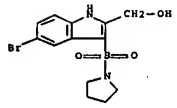
OS MARPAT 146:100697
GI



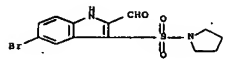
AB The title compds. with general formula I [wherein R1 = halo, CN, NO2, OH, etc.; R2 = (un)substituted C1-6 alkyl, C1-6 haloalkyl, etc.; R3 = (un)substituted heteroaryl; R4 = H, C1-6 alkyl, C(=O)C1-6 alkyl, etc.; and R5 = H, halo, CN, NO2, OH, etc.] or pharmaceutically acceptable salts thereof are prepared as HIV reverse transcriptase inhibitors for the treatment or prophylaxis of AIDS. For example, compound II was prepared in a multi-step synthesis from pyrrolidine, Et 5-bromo-3-(chlorosulfonyl)-1- (phenylsulfonyl)-1H-indole-2-carboxylate, and pyruvaldehyde. II exhibited inhibitory activities with IC50 value of < 1 μM in HIV reverse transcriptase inhibition assay, and IC95 value of < 1 μM in HIV replication inhibition assay. Formulations containing I as an active ingredient were also described.
IT 918142-85-5P 918142-87-7P 918142-89-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. as non-nucleoside reverse transcriptase inhibitors)
RN 918142-85-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(1-pyrrolidinylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 918142-87-7 CAPLUS
CN 1H-Indole-2-methanol, 5-bromo-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



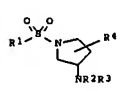
RN 918142-89-9 CAPLUS
CN 1H-Indole-2-carboxaldehyde, 5-bromo-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



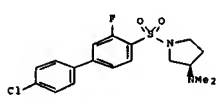
L11 ANSWER 9 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1338419 CAPLUS Full-text
DN 146:81759
TI Preparation of pyrrolidine sulfonamide derivatives as modulators of 11-β hydroxysteroid dehydrogenase type 1
IN Cheng, Hengmiao; Dress, Klaus Ruprecht; Huang, Buwen; Kupchinsky, Stanley William; Le, Phuong Thi Quy; Smith, Christopher Ronald; Wang, Yong; Yang, Yi
PA Pfizer Inc., USA
SO PCT Int. Appl., 94pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006134481	A1	20061221	WO 2006-IB1607	20060606
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI US 2005-691373P	P	20050616		
US 2006-793688P	P	20060420		

OS MARPAT 146:81759
GI



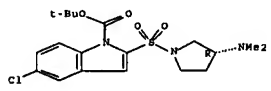
I



II

AB Title compds. represented by the formula I [wherein R1 = (un)substituted pyridinyl, benzothien-2-yl or thieno[3,2-b]pyridin-2-yl; R2, R3 = independently H, OH, alkoxy, etc.; R4 = H, OH, halo, etc.; and pharmaceutically acceptable salts or solvates thereof] were prepared as 11-beta hydroxysteroid dehydrogenase type 1 (11βHSD1) inhibitors. For example, II was provided in a multi-step synthesis starting from 4-bromo-2-fluorobenzenesulfonyl chloride. The prepared title compds. were tested for inhibitory activity against 11βHSD1. Thus, I and their pharmaceutical compns. are useful for the treatment of 11βHSD1 associated diseases or disorders, such as type 2 diabetes.
IT 917358-13-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolidine sulfonamide derivs. as modulators of 11-beta hydroxysteroid dehydrogenase type 1)
RN 917358-13-5 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[(3R)-3-(dimethylamino)-1-pyrrolidinyl]sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1152158 CAPLUS Full-text
DN 146:184396
TI Evaluation of anticancer activity of 4-vinyl-1-arylsulfonylimidazolidinones
AU Kwak, Son-Hyok; Bang, Seong-Cheol; Seo, Hyun-Hee; Shin, Hye-Rim; Lee, Ki-Cheul; Hoang, Le Tuan Anh; Jung, Sang-Hun
CS College of Pharmacy, Chungnam National University, Daejeon, 305-764, S. Korea
SO Archives of Pharmacal Research (2006), 29(9), 721-727
CODEN: APHRDQ; ISSN: 0253-6269
PB Pharmaceutical Society of Korea
DT Journal
LA English
GI

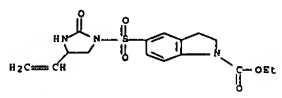
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 1-(Arylsulfonyl)-4-vinylimidazolidinones, e.g., I, and N-(4-vinyl-4,5-dihydrooxazol-2-yl)arylsulfonamides, e.g., II, were prepared by heterocyclization of 2-phenoxycarbonylaminobut-3-enyl p-toluenesulfonate (III), which was prepared from serine Me ester hydrochloride in seven steps, with arylsulfonamides in approx. equal ratio and their cytotoxicities were tested.

IT 921766-37-2P 921766-39-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, cytotoxic activity, and SAR of vinyl(arylsulfonyl)imidazolidin ones and N-(vinyloxazolidinyl)arylsulfonamides via heterocyclization of [(phenoxycarbonyl)amino]butenyl toluenesulfonate with arylsulfonamides)

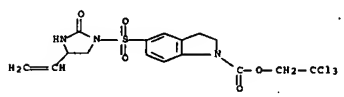
RN 921766-37-2 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-[(4-ethenyl-2-oxo-1-imidazolidinyl)sulfonyl]-2,3-dihydro-, ethyl ester (CA INDEX NAME)



RN 921766-39-4 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-[(4-ethenyl-2-oxo-1-imidazolidinyl)sulfonyl]-2,3-dihydro-, 2,2,2-trichloroethyl ester (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:1123456 CAPLUS Full text

DN 145:454932

TI Preparation of nitrogen-containing heterocyclic compounds as antitumor agents

IN Murakata, Chikara; Amishiro, Nobuyoshi; Atsumi, Toshiyuki; Yamashita, Yoshinori; Takahashi, Takeshi; Nakai, Ryuichiro; Tagaya, Hisashi; Takahashi, Hiroko; Funahashi, Jun; Yamamoto, Junichiro; Fukuda, Yuichi

PA Kyowa Hakko Kogyo Co., Ltd., Japan

SO PCT Int. Appl., 531pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006112479	A1	20061026	WO 2006-JP308224	20060419

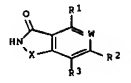
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI JP 2005-120953 A 20050419

OS MARPAT 145:454932

GI



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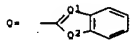


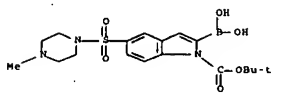
AB Nitrogen-containing heterocyclic compds. such as 7-(indol-2-yl)isoindolinone and 4-(indol-2-yl)-1,2-dihydro-1H-pyrrolo[3,4-c]pyridin-3-one derivs. [I; W = N, CH; X = CO, (un)substituted CH; R1 = Q; q1 = N, (un)substituted CH; Q2 = O, S, (un)substituted NH; R2, R3 R5, R6 = H, halo, NO2, HO, cyano, CO2H, each (un)substituted lower alkyl, cycloalkyl, aralkyl, lower alkenyl, lower alkynyl, aryl, heterocyclyl, lower alkanoyl, lower alkoxycarbonyl, aroyl, heteroaroyl, or HO, S(O)mR18; m = an integer of 0-2; R18 = H, HO, each (un)substituted lower alkoxy, lower alkyl, cycloalkyl, aralkyl, lower alkenyl, aryl, heterocyclyl, or NH2] or pharmacol. acceptable salts thereof are prepared These compds. are useful as protein kinase inhibitors, in particular fibroblast growth factor receptor (FGFR) inhibitors, Aurora kinase inhibitors, and FMS-like tyrosine kinase-3 (FLT-3) inhibitors, and thereby as antitumor agents for treatment of hematopoietic tumors, in particular leukemia, multiple myeloma, and lymphoma. Thus, reductive alkylation of 1-(2-hydroxyethyl)piperazine with 4-chloro-7-[1-(tert-butoxycarbonyl)-5-formylindol-2-yl]isoindolinone using sodium triacetoxyborohydride in a mixture of AcOH and MeCN followed by treatment with HCl/EtOAc gave 4-chloro-7-(1H-5-[4-(2-hydroxyethyl)piperazin-1-ylmethyl]indol-2- yl)isoindolinone dihydrochloride (II). II at 10 μM inhibited 250% human FGFR3 expressed in insect cells, human multiple myeloma KMS-11 cells, and human stomach cancer cells KATO-III.

IT 519148-74-4P, [1-(tert-Butoxycarbonyl)-5-[(4-methylpiperazin-1-yl)sulfonyl]indol-2-yl]boronic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of nitrogen-containing heterocyclic compds. as

protein kinase inhibitors and antitumor agents)

RN 519148-74-4 CAPLUS

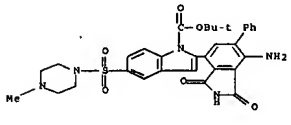
CN 1H-Indole-1-carboxylic acid, 2-borono-5-[(4-methyl-1-piperazinyl)sulfonyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



IT 913388-84-8P, 3-Amino-4-phenyl-6-[1-(tert-butoxycarbonyl)-5-[(4-methylpiperazin-1-yl)sulfonyl]indol-2-yl]phthalimide 913388-87-1P, 3-Amino-4-[4-(hydroxymethyl)phenyl]-6-[1-(tert-butoxycarbonyl)-5-[(4-methylpiperazin-1-yl)sulfonyl]indol-2-yl]phthalimide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrogen-containing heterocyclic compds. as protein kinase inhibitors and antitumor agents)

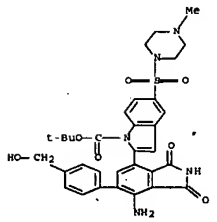
RN 913388-84-8 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2-(7-amino-2,3-dihydro-1,3-dioxo-6-phenyl-1H-isoindol-4-yl)-5-[(4-methyl-1-piperazinyl)sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 913388-87-1 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2-[7-amino-2,3-dihydro-6-[4-(hydroxymethyl)phenyl]-1,3-dioxo-1H-isoindol-4-yl]-5-[(4-methyl-1-piperazinyl)sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:1041263 CAPLUS Full text

DN 145:419173

TI Arylsulfonylpiperazines and related compounds as hydroxysteroid dehydrogenase inhibitors and their preparation and pharmaceutical compositions

IN Aertgeerts, Kathleen; Brennan, Nancy, K.; Cao, Sheldon, X.; Chang, Edcon; Kiryanov, Andre, A.; Liu, Yan

PA Takeda San Diego, Inc., USA

SO PCT Int. Appl., 199pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006105127	A2	20061005	WO 2006-US11347	20060328
WO 2006105127	A3	20070322		

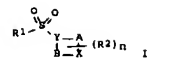
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2006223829	A1	20061005	US 2006-392297	20060328
PRAI US 2005-667297P	P	20050331		

OS MARPAT 145:419173

GI



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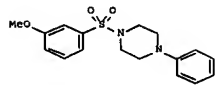


II

AB Compds. of formula I, pharmaceutical compns., kits and methods are provided for use with hydroxysteroid dehydrogenases that comprise a compound selected from the group consisting of: formula I. Compds. of formula I wherein A and B are independently CH2, CH2CH2, and CH2CH2CH2; n is an integer 0 - 10; X is NH and derivs., and CR4R5; Y is N and CR10; R1 is (un)substituted C3-12 (hetero)cycloalkyl, (un)substituted C9-12 (hetero)bicycloalkyl, (un)substituted (hetero)aryl, (un)substituted C9-12 bicycloaryl, and (un)substituted C4-12 heterobicycloaryl; R2 is H, NO2, CN, S, OH, alkoxy, (hetero)aryloxy, carbonyl, amino, etc.; R4 is halo, NO2, CN, S, OH, alkoxy, (hetero)aryloxy, carbonyl, amino, etc.; R5 is H, halo, CN, NO2, S, OH, alkoxy, (hetero)aryloxy, CO, amino, etc.; R10 NO2, CN, S, OH, alkoxy, (hetero)aryloxy, CO, amino, etc.; are claimed. Example compound II was prepared by sulfonylation of 1-phenylpiperazine with 3-methoxybenzenesulfonyl chloride. All the invention compds. were evaluated for their hydroxysteroid dehydrogenase inhibitory activity.

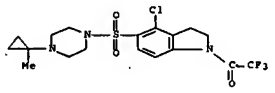
IT 911643-56-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate and intermediate; preparation of arylsulfonylpiperazines and related compds. as hydroxysteroid dehydrogenase inhibitors)

RN 911643-56-6 CAPLUS

CN 1H-Indole, 4-chloro-2,3-dihydro-5-[[4-(1-methylcyclopropyl)-1-piperazinyl]sulfonyl]-1-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



IT 911643-50-0P

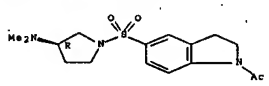
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of arylsulfonylpiperazines and related compds. as hydroxysteroid dehydrogenase inhibitors)

RN 911643-50-0 CAPLUS

CN 1H-Indole, 1-acetyl-5-[[(3R)-3-(dimethylamino)-1-pyrrolidinyl]sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 13 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:950685 CAPLUS Full-text

DN 145:336078

TI Sulfonyl-substituted bicyclic compounds as modulators of PPAR, and their preparation, pharmaceutical compositions and use for treatment of various diseases

IN Noble, Stewart A.; Oshiro, Guy; Malecha, James W.; Zhao, Cunxiang; Duron, Sergio G.; Lindstrom, Andrew K.; Shiau, Andrew K.; Lou, Boliang; Govek, Steven P.; Thomas, David J.

PA Kalypsys, Inc., USA

SO U.S. Pat. Appl. Publ., 72pp., Cont.-in-part of U.S. Ser. No. 258,463. CODEN: USXXCO

DT Patent

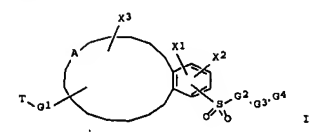
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006205736	A1	20060914	US 2006-435082	20060516
	US 2006167012	A1	20060727	US 2005-258463	20051025
PRAI	US 2004-623252P	P	20041029		
	US 2005-258463	A2	20051025		
	US 2005-679813P	P	20050511		

OS MARPAT 145:336078

GI



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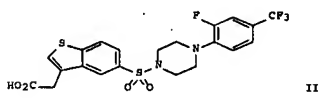


II

AB Compds. of formula I that are useful as modulators of peroxisome proliferator activated receptors, pharmaceutical compns. comprising the same, and methods of treating disease using the same are disclosed. Compds. of formula I wherein A is (un)saturated (hetero)hydrocarbon chain forming a 5- to 7-membered ring; T is CO2H, CONH2, or tetrazole; G1 is (CR1R2)n, Z(CR1R2)n, (CR1R2)nZ, or (CR1R2)rZ(CR1R2)s; Z is O, S, or NH and derivs., r and s are independently 0 or 1; R1 and R2 are independently H, halo, (un)substituted lower (hetero)alkyl, (un)substituted lower alkoxy, lower perhaloalkyl, or together may form (un)substituted cycloalkyl; X1-X3 are independently H, (un)substituted lower alkyl, (un)substituted cycloalkyl, halo, perhaloalkyl, OH, (un)substituted lower alkoxy, NO2, CN, or NH2; G2 is (un)substituted (un)saturated (hetero)cycloalkyl; G3 is a single bond, double bond, (CR3R4)m, CO, or (CR3R4)mCR3=CR4; n and m are independently 0, 1 or 2; R3 and R4 are independently H, (un)substituted lower alkyl(oxy), lower perhaloalkyl, (un)substituted aryl, CN, or NO2; G4 is H, (un)substituted (hetero)aryl, (un)substituted cyclo(hetero)alkyl, (un)substituted cyclo(hetero)aryl, (un)substituted cycloalkenyl, or N=(CR5R6); R5 and R6 are independently H, (un)substituted alkyl, (un)substituted (hetero)aryl, (un)substituted cyclo(hetero)alkyl, or (un)substituted cycloalkenyl; and their pharmaceutically acceptable salts, esters, or prodrugs thereof are claimed. Example compound II was prepared by amidation of 5-(chlorosulfonyl)benzothien-3-ylacetic acid with 1-(2-fluoro-4-trifluoromethylphenyl)piperazine. All the invention compds. were evaluated for their PPAR-α, PPAR-γ, and PPAR-δ binding affinity. From the assay, it was determined that example compound II have EC50 values <5 μM for PPAR-α, PPAR-γ, and PPAR-δ.

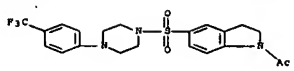
IT 888327-05-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of sulfonyl-substituted bicyclic compds. as PPAR receptor modulators useful in treatment of diseases)

RN 888327-05-7 CAPLUS

CN 1H-Indole, 1-acetyl-2,3-dihydro-5-[[4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]sulfonyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 14 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:494284 CAPLUS Full-text

DN 145:8191

TI Sulfonyl-substituted bicyclic compounds as modulators of PPAR, and their preparation, pharmaceutical compositions and use for treatment of various diseases

IN Noble, Stewart A.; Oshiro, Guy; Malecha, James W.; Zhao, Cunxiang; Robinson, Carmen K. M.; Duron, Sergio G.; Sertic, Michael; Lindstrom, Andrew; Shiau, Andrew; Bayne, Christopher; Kahraman, Mehmet; Lou, Boliang; Govek, Steven

PA Kalypsys, Inc., USA

SO PCT Int. Appl., 131 pp., which

CODEN: PIXXD2

DT Patent

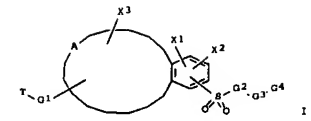
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006055187	A1	20060526	WO 2005-US38418	20051025
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2005307006	A1	20060526	AU 2005-307006	20051025
	CA 2585172	A1	20060526	CA 2005-2585172	20051025
	EP 1805158	A1	20070711	EP 2005-851253	20051025
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	IN 2007KN01346	A	20070720	IN 2007-KN1346	20070417
PRAI	US 2004-623252P	P	20041029		
	US 2005-679813P	P	20050511		
	WO 2005-US38418	W	20051025		

OS MARPAT 145:8191

GI



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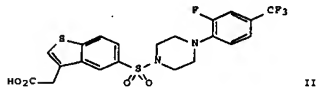


II

AB Compds. of formula I that are useful as modulators of peroxisome proliferator activated receptors, pharmaceutical compns. comprising the same, and methods of treating disease using the same are disclosed. Compds. of formula I wherein A is (un)saturated (hetero)hydrocarbon chain forming a 5- to 7-membered ring; T is CO2H, CONH2, or tetrazole; G1 is (CR1R2)n, Z(CR1R2)n, (CR1R2)nZ, or (CR1R2)rZ(CR1R2)s; Z is O, S, or NH and derivs.; r and s are independently 0 or 1; R1 and R2 are independently H, halo, (un)substituted lower (hetero)alkyl, (un)substituted lower alkoxy, lower perhaloalkyl, or together may form (un)substituted cycloalkyl; X1 - X3 are independently H, (un)substituted lower alkyl, (un)substituted cycloalkyl, halo, perhaloalkyl, OH, (un)substituted lower alkoxy, NO2, CN, or NH2; G2 is (un)substituted (un)saturated (hetero)cycloalkyl; G3 is a single bond, double bond, (CR3R4)m, CO, or (CR3R4)mCR3=CR4; n and m are independently 0, 1 or 2; R3 and R3 are independently H, (un)substituted lower alkyl(oxy), lower perhaloalkyl, (un)substituted aryl, CN, or NO2; G4 is H, (un)substituted (hetero)aryl, (un)substituted cyclo(hetero)alkyl, (un)substituted cyclo(hetero)aryl, (un)substituted cycloalkenyl, or N=(CR5R6)l R5 and R6 are independently H, (un)substituted alkyl, (un)substituted (hetero)aryl, (un)substituted cyclo(hetero)alkyl, or (un)substituted cycloalkenyl; and their pharmaceutically acceptable salts, esters, or prodrugs thereof are claimed. Example compound II was prepared by amidation of 5-(chlorosulfonyl)benzothien-3-ylacetic acid with 1-(2-fluoro-4-trifluoromethylphenyl)piperazine. All the invention compds. were evaluated for their PPAR-α, PPAR-γ, and PPAR-δ binding affinity. From the assay, it was determined that example compound II have EC50 values <5 μM for PPAR-α, PPAR-γ, and PPAR-δ.

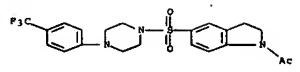
IT ###327-05-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of sulfonyl-substituted bicyclic compds. as PPAR receptor modulators useful in treatment of diseases)

RN 888327-05-7 CAPLUS

CN 1H-Indole, 1-acetyl-2,3-dihydro-5-[[4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]sulfonyl]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:298630 CAPLUS Full-text

DN 144:350542

TI Indole derivatives as IKK2 inhibitors and their preparations, pharmaceutical compositions, and use for treatment of diseases associated with inappropriate IKK2 activity such as rheumatoid arthritis, asthma and chronic obstructive pulmonary disease

IN Kerns, Jeffrey K.; Lindenmuth, Michael; Lin, Xichen; Nie, Hong; Thomas, Sonia M.

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 220 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006034317	A2	20060330	WO 2005-US33752	20050921
WO 2006034317	A3	20070419		
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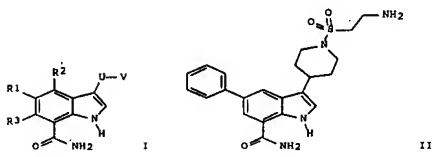
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2005286795	A1	20060330	AU 2005-286795	20050921
CA 2581180	A1	20060330	CA 2005-2581180	20050921
EP 1793826	A2	20070613	EP 2005-798511	20050921
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2007DN02158	A	20070803	IN 2007-DN2158	20070320
NO 2007001988	A	20070418	NO 2007-1988	20070418
PRAI US 2004-611761P	P	20040921		
US 2005-695454P	P	20050630		
WO 2005-US33752	W	20050921		

OS MARPAT 144:350542

GI



I II

AB The invention is directed to indole carboxamide derivs. of formula I. Compds. of formula I wherein R1 is H, halo or YZ; R2 and R3 are independently H, F or Cl; Y is a bond, C1-6 alkylene, C2-6 alkenylene or C2-6 alkynylene; Z is (un)substituted (hetero)aryl; U is a bond, C1-6 alkylene or C2-6 alkenylene; V is (un)substituted Ph, (un)substituted 5- or 6-membered heteroaryl, (un)substituted 5- to 7-membered heterocycloalkyl, (un)substituted C5-7 cycloalkyl or (un)substituted C5-7 cycloalkenyl; and their pharmaceutically acceptable salts, solvates, or polymorphs thereof are claimed in this invention. The compds. of the invention are inhibitors of IKK2 and can be useful in the treatment of disorders associated with inappropriate IKK2 (also known as IKKss) activity, such as rheumatoid arthritis, asthma, and COPD (chronic obstructive pulmonary disease). Accordingly, the invention is further directed to pharmaceutical compns. comprising a compound of the invention. The invention is still further directed to methods of inhibiting IKK2 activity and treatment of disorders associated therewith using a compound of the invention or a pharmaceutical composition comprising a compound of the invention. Example compound II was prepared by N-Boc protection of indoline followed by acylation with Me chloroformate to give Me 1-(tert-butoxycarbonyl)indoline- 7-carboxylate, which underwent bromination to give 5-bromo derivative, which was deprotected; the resulting Me 5-bromoindoline-7-carboxylate was dehydrated to give the Me 5-bromoindolecarboxylate, which upon hydrolysis gave the 5-bromo-7-indolecarboxylic acid, which underwent cross-coupling with phenylboronic acid; the resulting 5-phenylindole-7-carboxylic acid was converted to the corresponding indolecarboxamide, which underwent condensation with N-benzyl-4-piperidinone to give 3-(4-benzyl-1,2,3,6-tetrahydropyridin-4-yl)-5-phenylindole-7-carboxamide, which was subjected to hydrogenation; the resulting 3-(4-piperidinyl)-5-phenylindole-7- carboxamide was sulfonylated with 2-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)ethanesulfonyl chloride to give 3-[1-[2-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)ethanesulfonyl]piperidin-4-yl]-5-methyl-1H-indole-7-carboxamide, which was reacted with to give compound II. Addnl. 315 example compds. were prepared by similar methods. All the invention compds. were evaluated for their IKK2 kinase inhibitory activity. From the IKK2 assay, it was determined that example compound II along with several other compds. have pIC50 values of 5.0 or greater. In the monocyte assay, most of the tested compound showed IC50 values or less than 10μM.

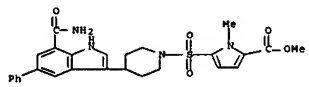
IT 881380-80-9P 881380-81-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indole derivs. as IKK2 inhibitors and for treatment of diseases associated with inappropriate IKK2 activity such as rheumatoid arthritis, asthma and chronic obstructive pulmonary disease)

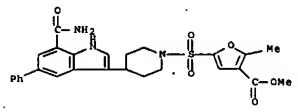
RN 881380-80-9 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-[[4-[7-(aminocarbonyl)-5-phenyl-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-1-methyl-, methyl ester (CA INDEX NAME)



RN 881380-81-0 CAPLUS

CN 3-Furancarboxylic acid, 5-[[4-[7-(aminocarbonyl)-5-phenyl-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-2-methyl-, methyl ester (CA INDEX NAME)



L11 ANSWER 16 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:77239 CAPLUS Full-text

DN 144:164278

TI Benzofuran, indole and benzothiophene sulfonamide calcium channel antagonists for treating pain

IN Termin, Andreas P.; Martinborough, Esther; Zimmermann, Nicole; Cohen, Charles J.; Gutierrez, Corey Don

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 254 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006010008	A1	20060126	WO 2005-US22519	20050622
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

AU 2005265270 A1 20060126 AU 2005-265270 20050622
CA 2571881 A1 20060126 CA 2005-2571881 20050622
US 2006074076 A1 20060406 US 2005-165254 20050622
EP 1776106 A1 20070425 EP 2005-763777 20050622
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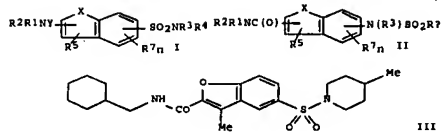
PRAI US 2004-582013P P 20040622
WO 2005-US22519 W 20050622
OS MARPAT 144:164278
GI



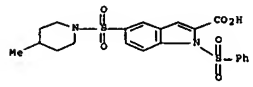
I II

III

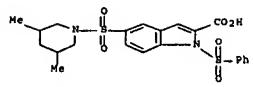
AB Benzofurans, benzimidazoles and benzothiophenes (shown as I and II; variables defined below; e.g. 3-methyl-5-[(4-methylpiperidin-1- yl)sulfonyl]benzofuran-2-carboxylic acid N-(cyclohexylmethyl)amide (shown as III)) act as Ca channel antagonists. The compns. are useful for treating or relieving Ca channel mediated conditions. For I and II: Y = C(O) or CH2; R5 and R7 = -ZR6, wherein Z is a bond or is an (un)substituted C1-C6 alkyl, alkenyl, or alkynyl chain wherein up to two C units of Z are optionally and independently replaced by CO-, -CS-, -COCO-, -CONR'-, -CONR'NR'-, -CO2-, -OCO-, -NR'CO2-, -O-, -NR'CONR'-, -OCONR'-, -NR'NR', -NR'NR'CO-, -NR'CO-, -S-, -SO, -SO2-, -NR'-, -SO2NR'-, -NR'SO2-, or -NR'SO2NR'-; R1, R2, R3, R4 = H or (un)substituted alkyl, cycloalkyl, heterocyclylalkyl, arylalkyl, heteroarylalkyl, cycloalkylalkyl, or polycyclic hydrocarbon; or R1 and R2 together form an (un)substituted 3 to 7-membered ring, wherein the members of the ring contain 0-4 heteroatoms = N, O, and S; or R3 and R4 together form an (un)substituted 3 to 7-membered ring, wherein the members of the ring = C, N, O, and S; the group SO2NR3R4 is linked to the Ph ring either at position 5 or 6. X is O, S, or N-Z-R6; n = 0-3; R6 = R', halogen, NO2, CN, CF3, or OCF3; R5 is an (un)substituted 5-7 membered, saturated, unsatd., or aromatic ring having 0-3 heteroatoms = N, O, or S; R' = H or an (un)substituted a C1-C8 aliphatic group, a 3-8-membered saturated, partially unsatd., or fully unsatd. monocyclic ring having 0-3 heteroatoms = N, O, or S, or an 8-12 membered saturated, partially unsatd., or fully unsatd. bicyclic ring system having 0-5 heteroatoms = N, O, or S; or two occurrences of R' are taken together with the atom(s) to which they are bound to form an (un)substituted 3-12 membered saturated, partially unsatd., or fully unsatd. monocyclic or bicyclic ring having 0-4 heteroatoms = N, O, or S. Although the methods of preparation are not claimed, prepns. and/or characterization data for .apprx.400 examples of I are included. For example, III was prepared from the acid chloride (preparation described) and cyclohexanemethanamine. IC50 values for inhibiting voltage-gated Ca ion channels (0-5 μM, 5-20 μM or <20 μM) are tabulated for .apprx.400 examples of I.

IT 874373-05-4P, 1-Phenylsulfonyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxylic acid 874373-06-5P, 1-Phenylsulfonyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxylic acid 874373-07-6P, 5-[(Azepan-1-yl)sulfonyl]-1-phenylsulfonyl-1H-indole-2-carboxylic acid 874373-08-7P, 1-Phenylsulfonyl-3-methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxylic acid 874373-09-8P, 1-Phenylsulfonyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxylic acid 874373-10-1P, 5-[(Azepan-1-yl)sulfonyl]-1-phenylsulfonyl-3-methyl-1H-indole-2-carboxylic acid 874373-16-7P, 5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxylic acid 874373-24-7P, 1-Phenylsulfonyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-3-carbonyl chloride 874373-26-9P, 1-Phenylsulfonyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxaldehyde 874373-28-1P, 6-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxylic acid ethyl ester 874373-29-2P, 6-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxylic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(benzofuran, indole and benzothiophene sulfonamide calcium channel antagonists for treating pain)

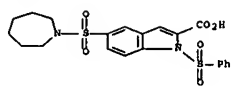
RN 874373-05-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-[(4-methyl-1-piperidinyl)sulfonyl]-1-(phenylsulfonyl)- (CA INDEX NAME)



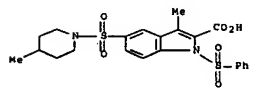
RN 874373-06-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1-(phenylsulfonyl)- (CA INDEX NAME)



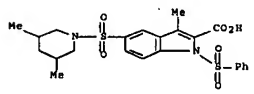
RN 874373-07-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1-(phenylsulfonyl)- (CA INDEX NAME)



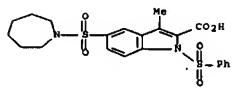
RN 874373-08-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-1-(phenylsulfonyl)- (CA INDEX NAME)



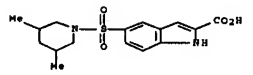
RN 874373-09-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-1-(phenylsulfonyl)- (CA INDEX NAME)



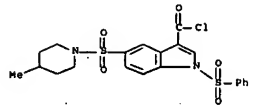
RN 874373-10-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-3-methyl-1-(phenylsulfonyl)- (CA INDEX NAME)



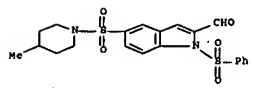
RN 874373-16-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-(CA INDEX NAME)



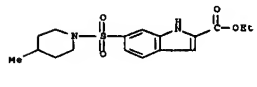
RN 874373-24-7 CAPLUS
CN 1H-Indole-3-carbonyl chloride, 5-[(4-methyl-1-piperidinyl)sulfonyl]-1-(phenylsulfonyl)- (CA INDEX NAME)



RN 874373-26-9 CAPLUS
CN 1H-Indole-2-carboxaldehyde, 5-[(4-methyl-1-piperidinyl)sulfonyl]-1-(phenylsulfonyl)- (CA INDEX NAME)

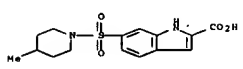


RN 874373-28-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 6-[(4-methyl-1-piperidinyl)sulfonyl]-, ethyl ester (CA INDEX NAME)



RN 874373-29-2 CAPLUS

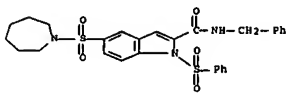
CN 1H-Indole-2-carboxylic acid, 6-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



IT 874372-25-5P 874373-11-2P, [1-Phenylsulfonyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl]piperidin-1-ylmethanone 874373-12-3P, 1-Phenylsulfonyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxylic acid N-butyl-N-methylamide RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; benzofuran, indole and benzothiophene sulfonamide calcium channel antagonists for treating pain)

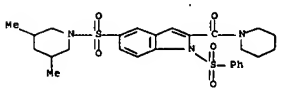
RN 874372-25-5 CAPLUS

CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-N-(phenylmethyl)-1-(phenylsulfonyl)- (CA INDEX NAME)



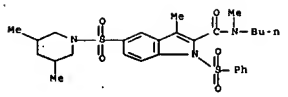
RN 874373-11-2 CAPLUS

CN Piperidine, 1-[[5-[[3,5-dimethyl-1-piperidinyl)sulfonyl]-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 874373-12-3 CAPLUS

CN 1H-Indole-2-carboxamide, N-butyl-5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,3-dimethyl-1-(phenylsulfonyl)- (CA INDEX NAME)



IT 874364-91-9P, [5-[(Azepan-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](4-methylpiperazin-1-yl)methanone 874368-94-2P, N-(3-Phenylpropyl)-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874368-96-4P, N,N-Diethyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874369-98-6P, N-[2-(Tetrahydro-2H-pyran-4-yl)ethyl]-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874369-05-8P, N-(2-Phenylethyl)-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874369-08-1P, N-[(Tetrahydrofuran-2-yl)methyl]-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874369-10-5P, [5-[(Azepan-1-yl)sulfonyl]-1H-indol-2-yl](3,5-dimethylpiperidin-1-yl)methanone 874369-17-2P, N-[2-(Tetrahydro-2H-pyran-4-yl)ethyl]-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874369-19-4P, N-Methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874369-25-2P, N-Benzyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874369-26-3P, N-Methyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874369-29-6P, N-Cyclopentyl-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874369-33-1P, N,N-Diethyl-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874369-35-4P, N-(3-Phenylpropyl)-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874369-36-5P, N,N-Diisopropyl-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874369-40-1P, [5-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](8-aza-1,4-dioxaspiro[4.5]decan-8-yl)methanone 874369-41-2P, [5-[(Azepan-1-yl)sulfonyl]-1H-indol-2-yl](3-methylpiperidin-1-yl)methanone 874369-46-7P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](3,5-dimethylpiperidin-1-yl)methanone 874369-50-2P, N-[(Tetrahydrofuran-2-yl)methyl]-5-[(azepan-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874369-51-4P, [5-[(Azepan-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](piperidin-1-yl)methanone 874369-53-6P, [5-[(Azepan-1-yl)sulfonyl]-1H-indol-2-yl][4-(ethoxycarbonyl)piperazin-1-yl]methanone 874369-54-7P, [3-Methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](piperidin-1-yl)methanone 874369-55-8P, N-Ethyl-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874369-56-9P, N-[2-(Tetrahydro-2H-pyran-4-yl)ethyl]-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874369-58-1P, [3-Methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](3-methylpiperidin-1-yl)methanone 874369-61-6P, [5-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl][4-(ethoxycarbonyl)piperazin-1-yl]methanone 874369-65-0P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](4-methylpiperazin-1-yl)methanone 874369-70-7P, N-(3-Phenylpropyl)-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874369-73-0P, [5-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](3-methylpiperidin-1-yl)methanone 874369-75-2P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](4-methylpiperidin-1-yl)methanone 874369-76-3P,

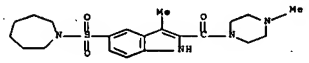
[5-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](pyrrolidin-1-yl)methanone 874369-79-6P 874369-85-4P, N-Isopropyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874369-86-5P, N-Butyl-N-methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874369-88-7P, N-Benzyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874369-93-4P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](azepan-1-yl)methanone 874369-94-5P, [3-Methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](3,5-dimethylpiperidin-1-yl)methanone 874369-96-7P, N-Ethyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874369-99-0P, [5-[(Azepan-1-yl)sulfonyl]-1-(phenylsulfonyl)-1H-indol-2-yl](4-methylpiperazin-1-yl)methanone 874370-02-2P, N-Cyclopropyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874370-03-3P 874370-04-4P, N-Butyl-N-methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874370-05-5P, N-Isopropyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874370-06-6P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](pyrrolidin-1-yl)methanone 874370-09-9P, N-Cyclopentyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874370-10-2P, [5-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](4-methylpiperidin-1-yl)methanone 874370-21-5P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](4-methylpiperazin-1-yl)methanone 874370-25-9P, N-[(Tetrahydro-2H-pyran-4-yl)methyl]-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874370-26-0P, N,N-Diethyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874370-29-3P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](3-methylpiperidin-1-yl)methanone 874370-30-6P, N-Cyclopentyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1,3-dimethyl-1H-indole-2-carboxamide 874370-37-3P, N-Benzyl-N-methyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874370-41-9P 874370-42-0P, N-Methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874370-43-1P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](4-methylpiperidin-1-yl)methanone 874370-45-3P 874370-46-4P, [3-Methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl][4-(ethoxycarbonyl)piperazin-1-yl]methanone 874370-52-2P, N-Benzyl-N-methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874370-55-5P, N-Cyclopropyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874370-60-2P, N-Methyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874370-61-3P, N,N-Diisopropyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874370-64-6P, [5-[(Azepan-1-yl)sulfonyl]-1H-indol-2-yl](4-methylpiperazin-1-yl)methanone 874370-65-7P, N-Ethyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874370-67-9P, N-(3-Phenylpropyl)-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874370-71-5P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](3-methylpiperidin-1-yl)methanone 874370-74-8P 874370-76-0P, [5-[(Azepan-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](8-aza-1,4-dioxaspiro[4.5]decan-8-yl)methanone 874370-79-3P, [5-[(Azepan-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl][4-(ethoxycarbonyl)piperazin-1-yl]methanone 874370-83-9P 874370-85-1P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](2-methylpiperidin-1-yl)methanone 874370-88-4P 874370-90-8P, N-(2-Phenylethyl)-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874370-91-9P, 5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874370-92-0P,

[5-[(Azepan-1-yl)sulfonyl]-1H-indol-2-yl](8-aza-1,4-dioxaspiro[4.5]decan-8-yl)methanone 874370-93-1P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](8-aza-1,4-dioxaspiro[4.5]decan-8-yl)methanone 874370-94-2P, N-(Cyclopropylmethyl)-N-propyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874370-98-6P, N-Cyclopentyl-5-[(azepan-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874371-01-4P, N,N-Diisopropyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874371-13-8P, [3-Methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](4-methylpiperidin-1-yl)methanone 874371-18-2P, [5-[(Azepan-1-yl)sulfonyl]-1H-indol-2-yl](pyrrolidin-1-yl)methanone 874371-21-5P, N-Isopropyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874371-23-0P, N-[(Tetrahydro-2H-pyran-4-yl)methyl]-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874371-30-9P, N-[(Tetrahydrofuran-2-yl)methyl]-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874371-32-1P, N-Benzyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874371-34-3P, N-Benzyl-N-methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874371-27-6P, N-(2-Phenylethyl)-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874371-38-7P, [3-Methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](4-methylpiperazin-1-yl)methanone 874371-39-8P 874371-42-3P, N-Cyclohexyl-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874371-42-4P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](2-methylpiperidin-1-yl)methanone 874371-46-7P, N-Ethyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874371-48-9P, N-Methyl-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874371-50-3P 874371-51-4P, N-Cyclohexyl-5-[(azepan-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874371-55-9P, [5-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](4-methylpiperazin-1-yl)methanone 874371-56-0P 874371-57-1P, N,N-Diethyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874371-61-5P, [5-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](3,5-dimethylpiperidin-1-yl)methanone 874371-64-8P, N-(3-Phenylpropyl)-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874371-65-0P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](pyrrolidin-1-yl)methanone 874371-69-4P, N-Cyclohexyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874371-70-7P, N-Cyclohexyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874371-73-0P, N-[2-(Tetrahydro-2H-pyran-4-yl)ethyl]-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874371-74-1P 874371-76-3P, N-(2-Phenylethyl)-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874371-77-4P, [5-[(Azepan-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](4-methylpiperidin-1-yl)methanone 874371-78-5P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](8-aza-1,4-dioxaspiro[4.5]decan-8-yl)methanone 874371-79-6P, N-[(Tetrahydro-2H-pyran-4-yl)methyl]-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874371-82-1P, [5-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](azepan-1-yl)methanone 874371-92-2P, N-Cyclopropyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxamide 874371-84-3P, [3-Methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](8-aza-1,4-dioxaspiro[4.5]decan-8-yl)methanone 874371-87-6P, [5-[(Azepan-1-yl)sulfonyl]-1H-indol-2-yl](piperidin-1-yl)methanone 874371-88-7P, N-[(Tetrahydrofuran-2-yl)methyl]-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874371-89-8P, N-Benzyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-

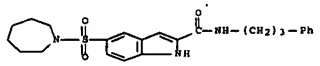
indole-2-carboxamide 874371-90-1P, [5-[(Azepan-1-yl)sulfonyl]-1H-indol-2-yl](azepan-1-yl)methanone 874371-92-3P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](azepan-1-yl)methanone 874371-94-5P, [5-[(Azepan-1-yl)sulfonyl]-1H-indol-2-yl](4-methylpiperidin-1-yl)methanone 874371-96-7P, N-Cyclopentyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874371-97-8P, [5-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](piperidin-1-yl)methanone 874372-00-6P, N-Benzyl-N-methyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874372-01-7P 874372-03-9P, N-Butyl-N-methyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874372-05-1P, [5-[(Azepan-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](2-methylpiperidin-1-yl)methanone 874372-06-2P 874372-07-3P, N-(2-Phenylethyl)-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874372-08-4P 874372-10-8P, N-Ethyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874372-12-0P, N-[(Tetrahydrofuran-2-yl)methyl]-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874372-13-1P 874372-15-3P 874372-16-4P, N-Cyclopentyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874372-17-5P 874372-26-6P, N-Isopropyl-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874372-31-3P, N-[2-(Tetrahydro-2H-pyran-4-yl)ethyl]-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874372-33-5P, N-[(Tetrahydrofuran-2-yl)methyl]-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874372-38-0P, N-Cyclopentyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874372-40-4P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl][4-(ethoxycarbonyl)piperazin-1-yl]methanone 874372-41-5P, N-Benzyl-N-methyl-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874372-43-7P, N-[(Tetrahydro-2H-pyran-4-yl)methyl]-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874372-44-8P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-1-(phenylsulfonyl)-1H-indol-2-yl](4-methylpiperazin-1-yl)methanone 874372-45-9P 874372-46-0P, N-Cyclopropyl-5-[(azepan-1-yl)sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxamide 874372-47-1P, N-Butyl-N-methyl-5-[(azepan-1-yl)sulfonyl]-1H-indole-2-carboxamide 874372-48-2P, N-Cyclohexyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874372-49-3P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](3,5-dimethylpiperidin-1-yl)methanone 874372-57-3P 874372-58-4P, N-Methyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1-methyl-1H-indole-2-carboxamide 874372-59-5P, [5-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](2-methylpiperidin-1-yl)methanone 874372-60-8P, [5-[(Azepan-1-yl)sulfonyl]-1H-indol-2-yl](2-methylpiperidin-1-yl)methanone 874372-62-0P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl][4-(ethoxycarbonyl)piperazin-1-yl]methanone 874372-63-1P, [5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl](piperidin-1-yl)methanone 874372-64-2P, N-Methyl-5-[(azepan-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874372-69-7P, N-Cyclohexyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxamide 874372-71-1P, N-Isopropyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxamide 874372-72-2P, N-Benzyl-5-[(3,5-dimethylpiperidin-1-yl)sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxamide 874372-77-7P, (3-Methyl-5-[(4-methylpiperidin-1-yl)sulfonyl]-1H-indol-2-yl](pyrrolidin-1-yl)methanone 874372-81-3P 874372-83-5P 874372-91-5P 874373-13-4P, 5-[(Azepan-1-yl)sulfonyl]-3-methyl-1H-indole-2-carboxylic acid [2-(tetrahydropyran-4-yl)ethyl]amide 874373-25-9P

, 5-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indole-3-carboxylic acid diisopropylamide 874373-30-5P, 6-[(4-Methylpiperidin-1-yl)sulfonyl]-1H-indole-2-carboxylic acid cyclopropylamide 874373-31-6P, 5-[(3,5-Dimethylpiperidin-1-yl)sulfonyl]-1-[2-(4-methylpiperazin-1-yl)ethyl]-1H-indole-2-carboxylic acid diethylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; benzofuran, indole and benzothiophene sulfonamide calcium channel antagonists for treating pain)

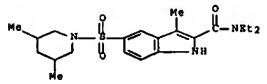
RN 874368-91-9 CAPLUS
CN Piperazine, 1-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



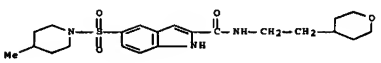
RN 874368-94-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-N-(3-phenylpropyl)- (CA INDEX NAME)



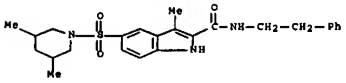
RN 874368-96-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,N-diethyl-3-methyl- (CA INDEX NAME)



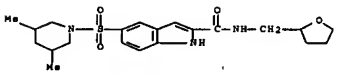
RN 874368-98-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(4-methyl-1-piperidinyl)sulfonyl]-N-[2-(tetrahydro-2H-pyran-4-yl)ethyl]- . (CA INDEX NAME)



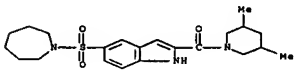
RN 874369-05-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-N-(2-phenylethyl)- (CA INDEX NAME)



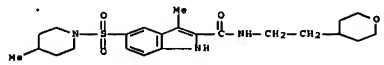
RN 874369-08-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)



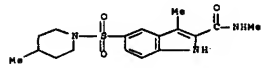
RN 874369-10-5 CAPLUS
CN Piperidine, 1-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1H-indol-2-yl]carbonyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



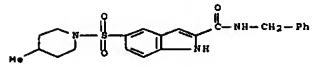
RN 874369-17-2 CAPLUS
CN 1H-Indole-2-carboxamide, 3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-N-[2-(tetrahydro-2H-pyran-4-yl)ethyl]- (CA INDEX NAME)



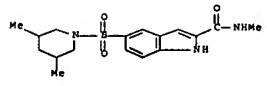
RN 874369-19-4 CAPLUS
CN 1H-Indole-2-carboxamide, N,3-dimethyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



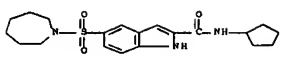
RN 874369-25-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(4-methyl-1-piperidinyl)sulfonyl]-N-(phenylmethyl)- (CA INDEX NAME)



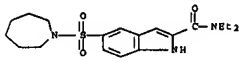
RN 874369-26-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-methyl- (CA INDEX NAME)



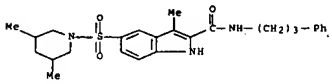
RN 874369-29-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclopentyl-5-[(hexahydro-1H-azepin-1-yl)sulfonyl]- (CA INDEX NAME)



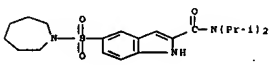
RN 874369-32-1 CAPLUS
CN 1H-Indole-2-carboxamide, N,N-diethyl-5-[(hexahydro-1H-azepin-1-yl)sulfonyl]- (CA INDEX NAME)



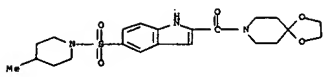
RN 874369-35-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)



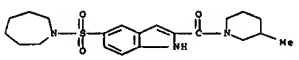
RN 874369-38-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



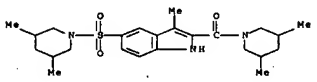
RN 874369-40-1 CAPLUS
CN 1,4-Dioxa-8-azaspiro[4.5]decane, 8-[[5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



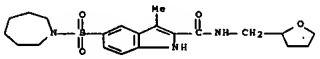
RN 874369-41-2 CAPLUS
CN Piperidine, 1-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1H-indol-2-yl]carbonyl]-3-methyl- (9CI) (CA INDEX NAME)



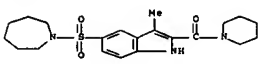
RN 874369-46-7 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



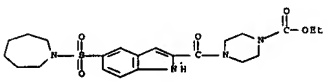
RN 874369-50-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-3-methyl-N-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)



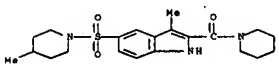
RN 874369-51-4 CAPLUS
CN Piperidine, 1-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



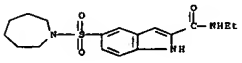
RN 874369-53-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1H-indol-2-yl]carbonyl]-, ethyl ester (CA INDEX NAME)



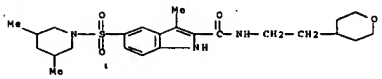
RN 874369-54-7 CAPLUS
CN Piperidine, 1-[[3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



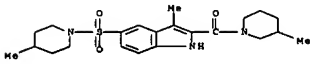
RN 874369-55-8 CAPLUS
CN 1H-Indole-2-carboxamide, N-ethyl-5-[(hexahydro-1H-azepin-1-yl)sulfonyl]- (CA INDEX NAME)



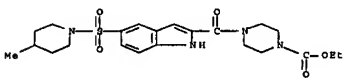
RN 874369-56-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-N-[2-(tetrahydro-2H-pyran-4-yl)ethyl]- (CA INDEX NAME)



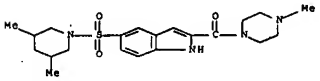
RN 874369-58-1 CAPLUS
CN Piperidine, 3-methyl-1-[[3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



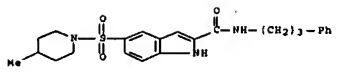
RN 874369-61-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]-, ethyl ester (CA INDEX NAME)



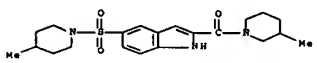
RN 874369-65-0 CAPLUS
CN Piperazine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



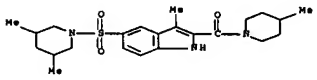
RN 874369-70-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(4-methyl-1-piperidinyl)sulfonyl]-N-(3-phenylpropyl)- (CA INDEX NAME)



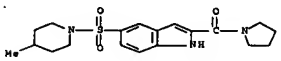
RN 874369-73-0 CAPLUS
CN Piperidine, 3-methyl-1-[[5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



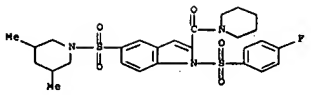
RN 874369-75-2 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



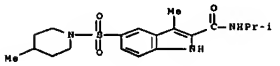
RN 874369-76-3 CAPLUS
CN Pyrrolidine, 1-[[5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



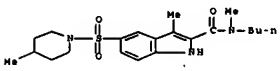
RN 874369-79-6 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1-[(4-fluorophenyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



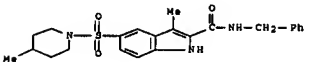
RN 874369-85-4 CAPLUS
CN 1H-Indole-2-carboxamide, 3-methyl-N-(1-methylethyl)-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



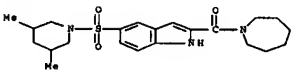
RN 874369-86-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-butyl-N,3-dimethyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



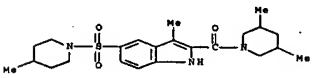
RN 874369-88-7 CAPLUS
CN 1H-Indole-2-carboxamide, 3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-N-(phenylmethyl)- (CA INDEX NAME)



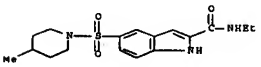
RN 874369-93-4 CAPLUS
CN 1H-Azepine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]hexahydro- (9CI) (CA INDEX NAME)



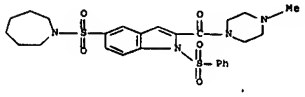
RN 874369-94-5 CAPLUS
CN Piperidine, 3,5-dimethyl-1-[[3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



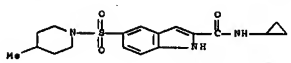
RN 874369-96-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-ethyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



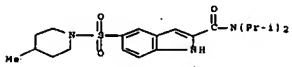
RN 874369-99-0 CAPLUS
CN Piperazine, 1-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



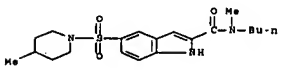
RN 874370-02-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclopropyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



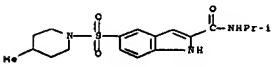
RN 874370-03-3 CAPLUS
CN 1H-Indole-2-carboxamide, N,N-bis(1-methylethyl)-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



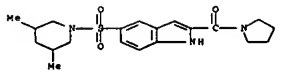
RN 874370-04-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-butyl-N-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



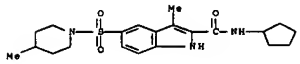
RN 874370-05-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-(1-methylethyl)-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



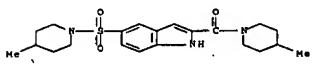
RN 874370-06-6 CAPLUS
CN Pyrrolidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



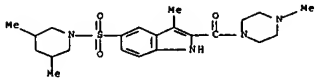
RN 874370-09-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclopentyl-3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



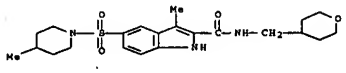
RN 874370-10-2 CAPLUS
CN Piperidine, 4-methyl-1-[[5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



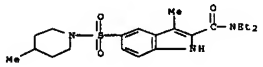
RN 874370-21-5 CAPLUS
CN Piperazine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



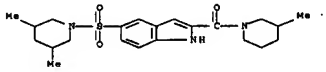
RN 874370-25-9 CAPLUS
CN 1H-Indole-2-carboxamide, 3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-N-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)



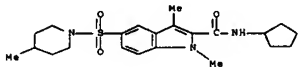
RN 874370-26-0 CAPLUS
CN 1H-Indole-2-carboxamide, N,N-diethyl-3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



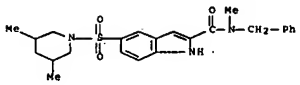
RN 874370-29-3 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]-3-methyl- (9CI) (CA INDEX NAME)



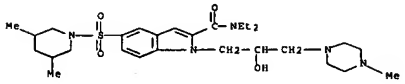
RN 874370-30-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclopentyl-1,3-dimethyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



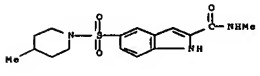
RN 874370-37-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-methyl-N-(phenylmethyl)- (CA INDEX NAME)



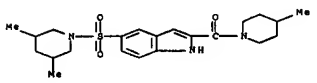
RN 874370-41-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,N-diethyl-1-[2-hydroxy-3-(4-methyl-1-piperazinyl)propyl]- (CA INDEX NAME)



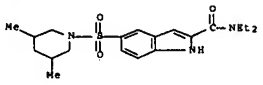
RN 874370-42-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



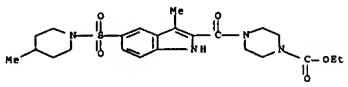
RN 874370-43-1 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



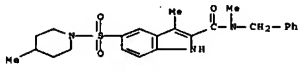
RN 874370-45-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,N-diethyl- (CA INDEX NAME)



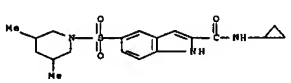
RN 874370-46-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]-, ethyl ester (CA INDEX NAME)



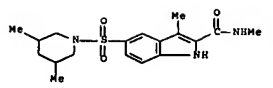
RN 874370-52-2 CAPLUS
CN 1H-Indole-2-carboxamide, N,3-dimethyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-N-(phenylmethyl)- (CA INDEX NAME)



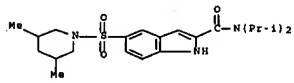
RN 874370-55-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclopropyl-5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



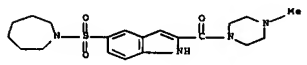
RN 874370-60-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,3-dimethyl- (CA INDEX NAME)



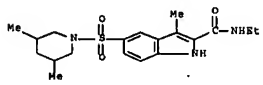
RN 874370-61-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



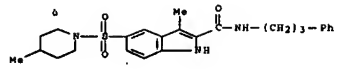
RN 874370-64-6 CAPLUS
CN Piperazine, 1-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1H-indol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



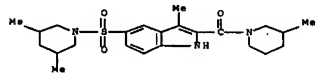
RN 874370-65-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-ethyl-3-methyl- (CA INDEX NAME)



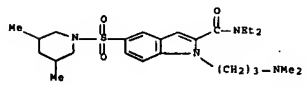
RN 874370-67-9 CAPLUS
CN 1H-Indole-2-carboxamide, 3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-N-(3-phenylpropyl)- (CA INDEX NAME)



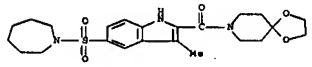
RN 874370-71-5 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]-3-methyl- (9CI) (CA INDEX NAME)



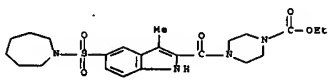
RN 874370-74-8 CAPLUS
CN 1H-Indole-2-carboxamide, 1-[3-(dimethylamino)propyl]-5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,N-diethyl- (CA INDEX NAME)



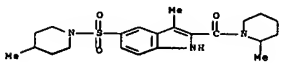
RN 874370-76-0 CAPLUS
CN 1,4-Dioxa-8-azaspiro[4.5]decane, 8-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



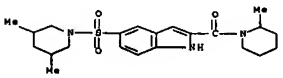
RN 874370-79-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]-, ethyl ester (CA INDEX NAME)



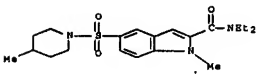
RN 874370-83-9 CAPLUS
CN Piperidine, 2-methyl-1-[[3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



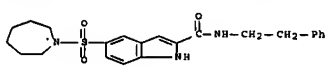
RN 874370-85-1 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]-2-methyl- (9CI) (CA INDEX NAME)



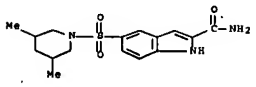
RN 874370-88-4 CAPLUS
CN 1H-Indole-2-carboxamide, N,N-diethyl-1-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



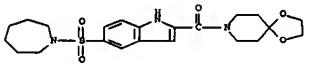
RN 874370-90-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-N-(2-phenylethyl)- (CA INDEX NAME)



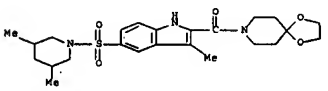
RN 874370-91-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



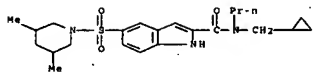
RN 874370-92-0 CAPLUS
CN 1,4-Dioxa-8-azaspiro[4.5]decane, 8-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



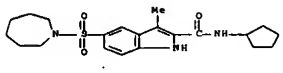
RN 874370-93-1 CAPLUS
CN 1,4-Dioxa-8-azaspiro[4.5]decane, 8-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



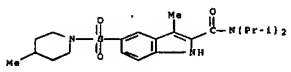
RN 874370-94-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-(cyclopropylmethyl)-5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-propyl- (CA INDEX NAME)



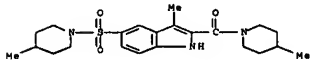
RN 874370-98-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclopentyl-5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-3-methyl- (CA INDEX NAME)



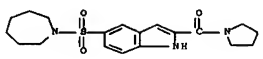
RN 874371-01-4 CAPLUS
CN 1H-Indole-2-carboxamide, 3-methyl-N,N-bis(1-methylethyl)-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



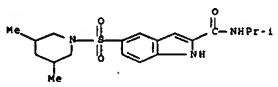
RN 874371-13-8 CAPLUS
CN Piperidine, 4-methyl-1-[[3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



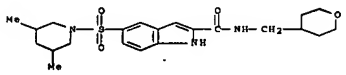
RN 874371-18-3 CAPLUS
CN Pyrrolidine, 1-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



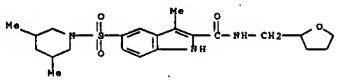
RN 874371-21-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-(1-methylethyl)- (CA INDEX NAME)



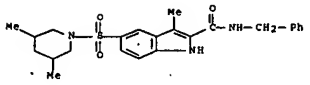
RN 874371-23-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)



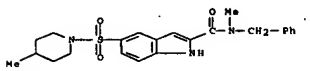
RN 874371-30-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-N-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)



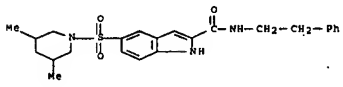
RN 874371-32-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)



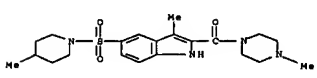
RN 874371-34-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-N-(phenylmethyl)- (CA INDEX NAME)



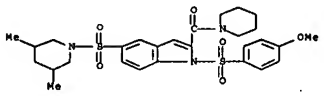
RN 874371-37-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-(2-phenylethyl)- (CA INDEX NAME)



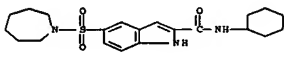
RN 874371-38-7 CAPLUS
CN Piperazine, 1-methyl-4-[[3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



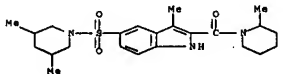
RN 874371-39-8 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1-[(4-methoxyphenyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



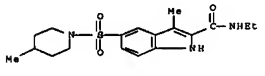
RN 874371-42-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclohexyl-5-[(hexahydro-1H-azepin-1-yl)sulfonyl]- (CA INDEX NAME)



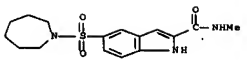
RN 874371-43-4 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]-2-methyl- (9CI) (CA INDEX NAME)



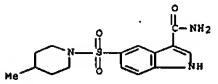
RN 874371-46-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-ethyl-3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



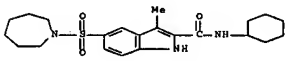
RN 874371-48-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-N-methyl- (CA INDEX NAME)



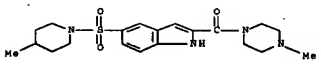
RN 874371-50-3 CAPLUS
CN 1H-Indole-3-carboxamide, 5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



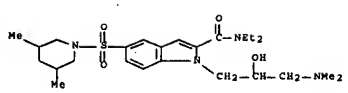
RN 874371-51-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclohexyl-5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-3-methyl- (CA INDEX NAME)



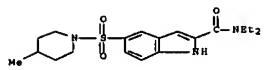
RN 874371-55-8 CAPLUS
CN Piperazine, 1-methyl-4-[[5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



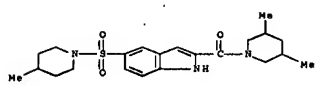
RN 874371-56-9 CAPLUS
CN 1H-Indole-2-carboxamide, 1-[3-(dimethylamino)-2-hydroxypropyl]-5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,N-diethyl- (CA INDEX NAME)



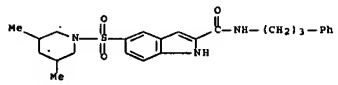
RN 874371-57-0 CAPLUS
CN 1H-Indole-2-carboxamide, N,N-diethyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



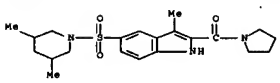
RN 874371-61-6 CAPLUS
CN Piperidine, 3,5-dimethyl-1-[[5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



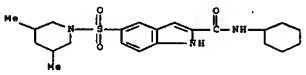
RN 874371-64-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-(3-phenylpropyl)- (CA INDEX NAME)



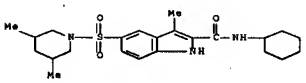
RN 874371-65-0 CAPLUS
CN Pyrrolidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



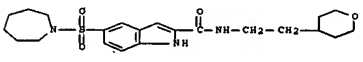
RN 874371-69-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclohexyl-5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



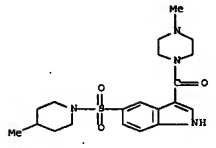
RN 874371-70-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclohexyl-5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl- (CA INDEX NAME)



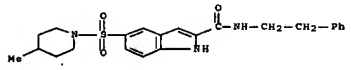
RN 874371-73-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-N-[2-(tetrahydro-2H-pyran-4-yl)ethyl]- (CA INDEX NAME)



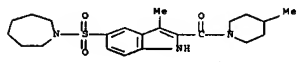
RN 874371-74-1 CAPLUS
CN Piperazine, 1-methyl-4-[[5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)



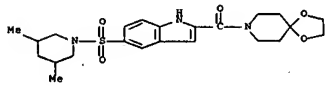
RN 874371-76-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(4-methyl-1-piperidinyl)sulfonyl]-N-(2-phenylethyl)- (CA INDEX NAME)



RN 874371-77-4 CAPLUS
CN Piperidine, 1-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

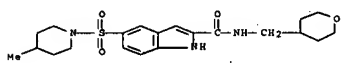


RN 874371-78-5 CAPLUS
CN 1,4-Dioxa-8-azaspiro[4.5]decane, 8-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

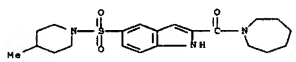


RN 874371-79-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(4-methyl-1-piperidinyl)sulfonyl]-N-

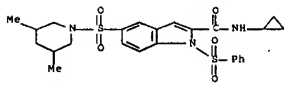
[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)



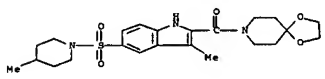
RN 874371-82-1 CAPLUS
CN 1H-Azepine, hexahydro-1-[[5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



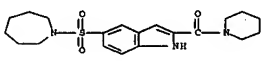
RN 874371-83-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclopropyl-5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1-(phenylsulfonyl)- (CA INDEX NAME)



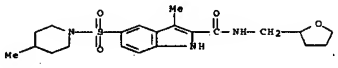
RN 874371-84-3 CAPLUS
CN 1,4-Dioxa-8-azaspiro[4.5]decane, 8-[[3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



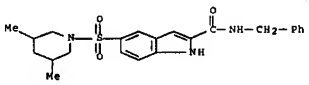
RN 874371-87-6 CAPLUS
CN Piperidine, 1-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



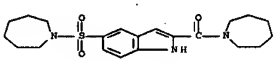
RN 874371-88-7 CAPLUS
CN 1H-Indole-2-carboxamide, 3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-N-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)



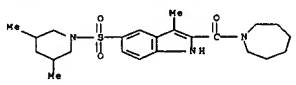
RN 874371-89-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-(phenylmethyl)- (CA INDEX NAME)



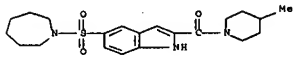
RN 874371-90-1 CAPLUS
CN 1H-Azepine, 1-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1H-indol-2-yl]carbonyl]hexahydro- (9CI) (CA INDEX NAME)



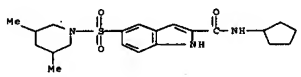
RN 874371-92-3 CAPLUS
CN 1H-Azepine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]hexahydro- (9CI) (CA INDEX NAME)



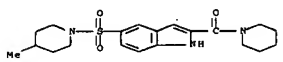
RN 874371-94-5 CAPLUS
CN Piperidine, 1-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1H-indol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



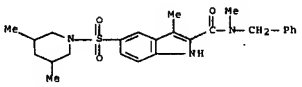
RN 874371-96-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclopentyl-5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



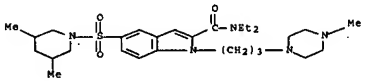
RN 874371-97-8 CAPLUS
CN Piperidine, 1-[[5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



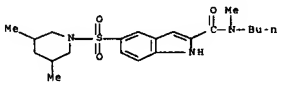
RN 874372-00-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,3-dimethyl-N-(phenylmethyl)- (CA INDEX NAME)



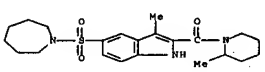
RN 874372-01-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,N-diethyl-1-[3-(4-methyl-1-piperazinyl)propyl]- (CA INDEX NAME)



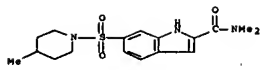
RN 874372-03-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-butyl-5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-methyl- (CA INDEX NAME)



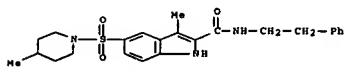
RN 874372-05-1 CAPLUS
CN Piperidine, 1-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]-2-methyl- (9CI) (CA INDEX NAME)



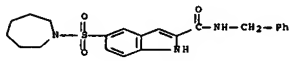
RN 874372-06-2 CAPLUS
CN 1H-Indole-2-carboxamide, N,N-dimethyl-6-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



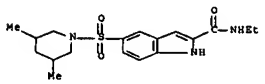
RN 874372-07-3 CAPLUS
CN 1H-Indole-2-carboxamide, 3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-N-(2-phenylethyl)- (CA INDEX NAME)



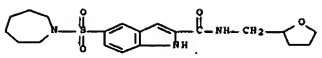
RN 874372-08-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-N-(phenylmethyl)- (CA INDEX NAME)



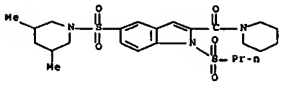
RN 874372-10-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-ethyl- (CA INDEX NAME)



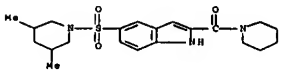
RN 874372-12-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-N-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)



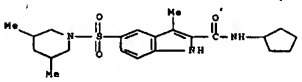
RN 874372-13-1 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1-(propylsulfonyl)-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



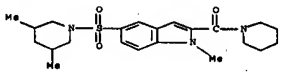
RN 874372-15-3 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



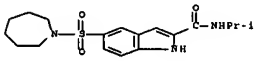
RN 874372-16-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclopentyl-5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl- (CA INDEX NAME)



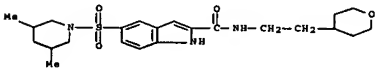
RN 874372-17-5 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1-methyl-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



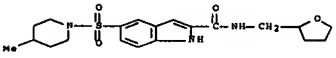
RN 874372-26-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-N-(1-methylethyl)- (CA INDEX NAME)



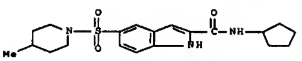
RN 874372-31-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-[2-(tetrahydro-2H-pyran-4-yl)ethyl]- (CA INDEX NAME)



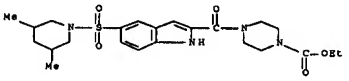
RN 874372-33-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(4-methyl-1-piperidinyl)sulfonyl]-N-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)



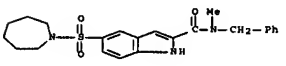
RN 874372-38-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclopentyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



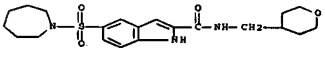
RN 874372-40-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]-, ethyl ester (CA INDEX NAME)



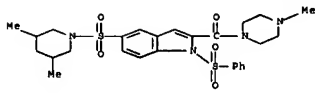
RN 874372-41-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-N-methyl-N-(phenylmethyl)- (CA INDEX NAME)



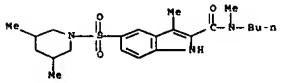
RN 874372-43-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-N-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)



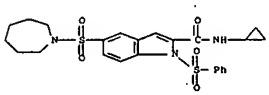
RN 874372-44-8 CAPLUS
CN Piperazine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1-(phenylsulfonyl)-1H-indol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



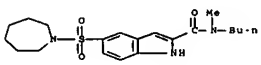
RN 874372-45-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-butyl-5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,3-dimethyl- (CA INDEX NAME)



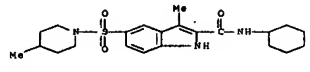
RN 874372-46-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclopropyl-5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1-(phenylsulfonyl)- (CA INDEX NAME)



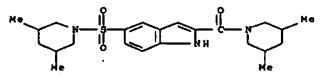
RN 874372-47-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-butyl-5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-N-methyl- (CA INDEX NAME)



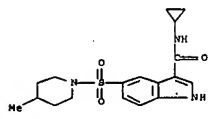
RN 874372-48-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclohexyl-3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



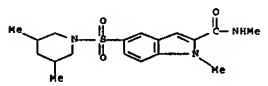
RN 874372-49-3 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



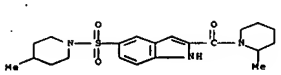
RN 874372-57-3 CAPLUS
CN 1H-Indole-3-carboxamide, N-cyclopropyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



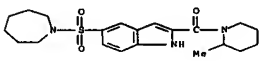
RN 874372-58-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,1-dimethyl- (CA INDEX NAME)



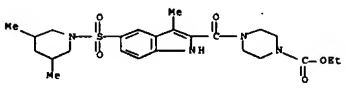
RN 874372-59-5 CAPLUS
CN Piperidine, 2-methyl-1-[[5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



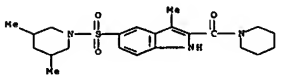
RN 874372-60-8 CAPLUS
CN Piperidine, 1-[[5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1H-indol-2-yl]carbonyl]-2-methyl- (9CI) (CA INDEX NAME)



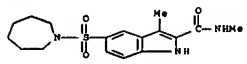
RN 874372-62-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]-, ethyl ester (CA INDEX NAME)



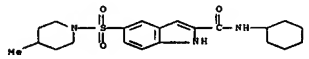
RN 874372-63-1 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



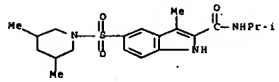
RN 874372-64-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-N,3-dimethyl- (CA INDEX NAME)



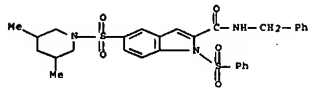
RN 874372-69-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-cyclohexyl-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



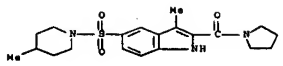
RN 874372-71-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methyl-N-(1-methylethyl)- (CA INDEX NAME)



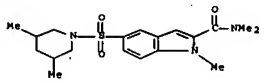
RN 874372-72-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N-(phenylmethyl)-1-(phenylsulfonyl)- (CA INDEX NAME)



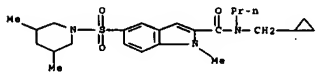
RN 874372-77-7 CAPLUS
CN Pyrrolidine, 1-[[3-methyl-5-[(4-methyl-1-piperidinyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



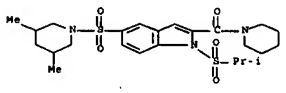
RN 874372-81-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,N,1-trimethyl- (CA INDEX NAME)



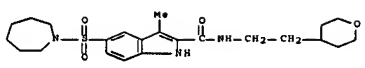
RN 874372-83-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-(cyclopropylmethyl)-5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1-methyl-N-propyl- (CA INDEX NAME)



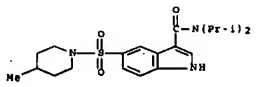
RN 874372-91-5 CAPLUS
CN Piperidine, 1-[[5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-1-[(1-methylethyl)sulfonyl]-1H-indol-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 874373-13-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-3-methyl-N-[2-(tetrahydro-2H-pyran-4-yl)ethyl]- (CA INDEX NAME)



RN 874373-25-8 CAPLUS
CN 1H-Indole-3-carboxamide, N,N-bis(1-methylethyl)-5-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



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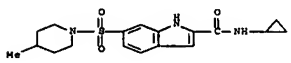
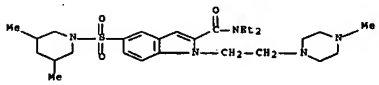
CN 1H-Indole-2-carboxamide, N-cyclopropyl-6-[(4-methyl-1-piperidinyl)sulfonyl]- (CA INDEX NAME)



RN 874373-31-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-N,N-diethyl-1-[2-(4-methyl-1-piperazinyl)ethyl]- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:15782 CAPLUS Full-text
DN 144:108221
TI Quinolinonecarboxamides as modulators of ATP-binding cassette

transporters, their preparation, pharmaceutical compositions, and use in therapy
IN Hadida Ruah, Sarah S.; Hazlewood, Anna R.; Grootenhuis, Peter D. J.; Van Goor, Frederick F.; Singh, Ashvani K.; Zhou, Jinglan; McCartney, Jason
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 319 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006002421	A2	20060105	WO 2005-US22768	20050624
WO 2006002421	A3	20060921		
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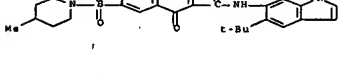
WO 2005-US22768	W	20050624		

OS MARPAT 144:108221
GI

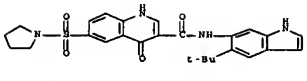
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to quinolinonecarboxamides of formula I, which are modulators of ATP-Binding Cassette (ABC) transporters or fragments thereof, including Cystic Fibrosis Transmembrane Conductance Regulator (CFTR). In compds. I, each of R1, R2, R3, R4, and R5 is independently -X-Rx, where X is a bond, (un)substituted C1-6 alkylene, optionally with up to two methylene units replaced by C(O), C(S), C(O)N, C(O)O, OC(O), etc., and Rx is selected from H, halo, nitro, cyano, CF3, CF3O, C1-8 alkyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, and a bicyclic ring system; R6 is H, OH, SH, CF3, C1-8 alkoxy, aryloxy, etc.; R7 is H or C1-6 alkyl, optionally substituted with -X-Rx as defined above; and Ar is (un)substituted 5- or 6-membered aryl or heteroaryl

ring having 0-4 heteroatoms independently selected from N, O, and S, optionally fused to a 5- to 12-membered monocyclic or bicyclic ring system containing 0-4 heteroatoms independently selected from N, O, and S. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I and a pharmaceutically acceptable carrier or adjuvant, as well as to the use of the compns. for the modulation of ATP-binding cassette (ABC) transporters. Substitution of di-Et 2-(ethoxymethylene)malonate with aniline followed by cyclocondensation and ester hydrolysis gave quinolinone II. Nitration of 3-methylbenzoic acid and esterification gave Et 5-methyl-2,4-dinitrobenzoate, which underwent condensation with N-(dimethoxymethyl)-dimethylamine and reductive cyclization to aminoindole III. Amidation of II with III followed by ester hydrolysis and amidation with piperidine gave quinolinonecarboxamide IV. Several compds. of the invention, e.g., IV, express EC50 values below 10 μM as modulators of ABC transporters.
IT 873050-68-1P 873052-88-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinolinonecarboxamides as modulators of ATP-binding cassette transporters)
RN 873050-68-1 CAPLUS
CN 3-Quinolinecarboxamide, N-[5-(1,1-dimethylethyl)-1H-indol-6-yl]-1,4-dihydro-6-[(4-methyl-1-piperidinyl)sulfonyl]-4-oxo- (CA INDEX NAME)



RN 873052-88-1 CAPLUS
CN 3-Quinolinecarboxamide, N-[5-(1,1-dimethylethyl)-1H-indol-6-yl]-1,4-dihydro-4-oxo-6-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



L11 ANSWER 18 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1155525 CAPLUS Full-text
DN 143:422250
TI Preparation of substituted β-carboline IκB kinase 2 (IKK-2) inhibitors as potential antiinflammatory, immunomodulatory, or anticancer agents
IN Hepperle, Michael E.; Liu, Julie Fields; Soucy, Francois; Raman, Prakash; Little, Jeremy D.; Fleming, Paul E.; Reynolds, Dominic; Harriman, Geraldine C. B.

PA Millennium Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 143 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US.2005239781	A1	20051027	US 2005-101998	20050408
AU 2005243188	A1	20051124	AU 2005-243188	20050408
CA 2561859	A1	20051124	CA 2005-2561859	20050408
WO 2005111037	A1	20051124	WO 2005-US13812	20050408
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1735311	A1	20061227	EP 2005-779267	20050408
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1976931	A	20070606	CN 2005-80019014	20050408
IN 2006DN05815	A	20070831	IN 2006-DN5815	20061006
NO 2006004894	A	20070103	NO 2006-4894	20061026
KR 2007014166	A	20070131	KR 2006-723401	20061108
PRAI US 2004-560892P	P	20040409		
WO 2005-US13812	W	20050408		

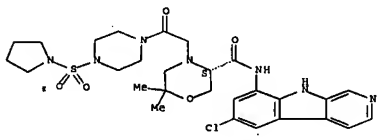
OS MARPAT 143:422250
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

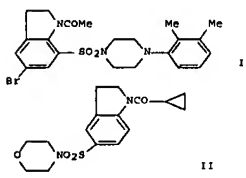
AB Substituted β-carbolines I [Q = CH2, CH-R9; G = NR4R5, 3-7 membered (un)substituted heterocyclyl; R1 = H, halo, alkyl, amino, alkylamino; R2, R3 = independently H, halo, C1-2 aliphatic, alkoxy, haloalkyl; R4, each R = independently H, C1-6 aliphatic; R5 = (un)substituted C1-6 aliphatic; R9 = C1-3 aliphatic; and their pharmaceutically acceptable salts] were prepared as inhibitors of IκB kinase 2 (IKK-2) for the treatment of inflammatory or immune system diseases such as rheumatoid arthritis, asthma, psoriasis, chronic obstructive pulmonary disease, or cancer (particularly lymphoma). E.g., coupling of (S)-4-[(S)-2-tert-butoxycarbonylaminopropyl]-6,6-dimethylmorpholine-3-carboxylic acid with 6-chloro-4-methyl-9H-β- carbolin-8-ylamine, Boc-deprotection, and acylation of amine salt with 2-methylnicotinic acid. Selected β-carbolines I displayed IC50 < 100 nM for inhibition of IkB kinase in in vitro and cell-based assays. I were selective for inhibiting IKK-2 as opposed to IKK-1.
IT 868059-27-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(invention compound; preparation of substituted -carboline IB kinase 2 (IKK-2)
inhibitors as potential antiinflammatory, immunomodulatory, or anticancer agents)
RN 868059-27-2 CAPLUS
CN 3-Morpholinecarboxamide, N-(6-chloro-9H-pyrido[3,4-b]indol-8-yl)-6,6-dimethyl-4-[2-oxo-2-[4-(1-pyrrolidinylsulfonyl)-1-piperazinyl]ethyl]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



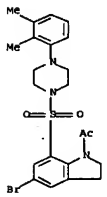
L11 ANSWER 19 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1039497 CAPLUS Full-text
DN 144:311869
TI Synthesis and properties of 1-acylindolinesulfonamides
AU Shalygina, E. E.; Kobylinskii, D. V.; Ivanovskii, S. A.; Balakin, K. V.; Dorogov, M. V.; Toporova, T. A.
CS Yarosl. Gos. Pedagog. Univ. im. K. D. Ushinskogo, Yaroslavl, Russia
SO Izvestiya Vysshikh Uchebnykh Zavedenii, Khimiya i Khimicheskaya Tekhnologiya (2004), 47(8), 91-96
CODEN: IVUKAR; ISSN: 0579-2991
PB Ivanovskii Gosudarstvennyi Khimiko-Tekhnologicheskii Universitet
DT Journal
LA Russian
OS CASREACT 144:311869
GI



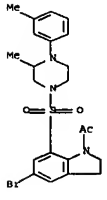
I

II

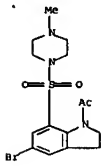
AB Title compds. I and II were prepared by N-acylation of indoline, bromination and chlorosulfonylation (or just chlorosulfonylation), and amidation. Several properties indicative of potential pharmacol. activities were determined
IT 442862-22-8P 442862-27-3P 442862-36-4P
442862-44-4P 879562-09-1P 879562-10-4P
879562-11-5P 879562-12-6P 901033-21-4P
RL: CPN (Combinatorial preparation); PRP (Properties); CMBI (Combinatorial study); PREP (Preparation)
(acylindolinesulfonamides via chlorosulfonylation and amidation of acylindolines and pharmacol. activity indicators of products)
RN 442862-22-8 CAPLUS
CN 1H-Indole, 1-acetyl-5-bromo-7-[[4-(2,3-dimethylphenyl)-1-piperazinyl]sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



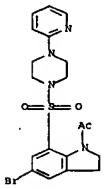
RN 442862-27-3 CAPLUS
CN 1H-Indole, 1-acetyl-5-bromo-2,3-dihydro-7-[[3-methyl-4-(3-methylphenyl)-1-piperazinyl]sulfonyl]- (9CI) (CA INDEX NAME)



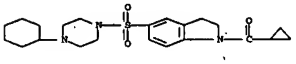
RN 442862-36-4 CAPLUS
CN 1H-Indole, 1-acetyl-5-bromo-2,3-dihydro-7-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME)



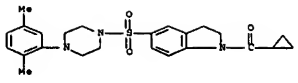
RN 442862-44-4 CAPLUS
CN 1H-Indole, 1-acetyl-5-bromo-2,3-dihydro-7-[[4-(2-pyridinyl)-1-piperazinyl]sulfonyl]- (9CI) (CA INDEX NAME)



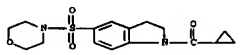
RN 879562-09-1 CAPLUS
CN 1H-Indole, 5-[(4-cyclohexyl-1-piperazinyl)sulfonyl]-1-(cyclopropylcarbonyl)-2,3-dihydro- (9CI) (CA INDEX NAME)



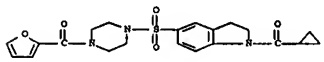
RN 879562-10-4 CAPLUS
CN 1H-Indole, 1-(cyclopropylcarbonyl)-5-[[4-(2,5-dimethylphenyl)-1-piperazinyl]sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



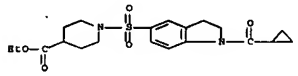
RN 879562-11-5 CAPLUS
CN 1H-Indole, 1-(cyclopropylcarbonyl)-2,3-dihydro-5-(4-morpholinylsulfonyl)-(9CI) (CA INDEX NAME)



RN 879562-12-6 CAPLUS
CN 1H-Indole, 1-(cyclopropylcarbonyl)-5-[[4-(2-furanylcarbonyl)-1-piperazinyl]sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 901033-21-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

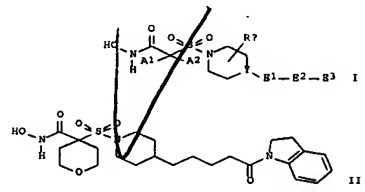


L11 ANSWER 20 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1028086 CAPLUS Full-text
DN 143:326396
TI Preparation of piperidinyl- and piperazinyl-sulfonylmethyl hydroxamic acids and their use as protease inhibitors
IN Mcdonald, Joseph J.; Kassab, Darren J.; Massa, Mark A.; Grapperhaus, Margaret L.; Schmidt, Michelle A.; Rico, Joseph G.; Mullins, Patrick B.; Brown, David L.
PA USA
SO U.S. Pat. Appl. Publ., 417 pp., Cont.-in-part of U.S. Ser. No. 618,288.

CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005209278	A1	20050922	US 2003-700202	20031103
	US 2005009838	A1	20050113	US 2003-618288	20030425
	US 7119203	B2	20061010		
	CA 2543715	A1	20050512	CA 2004-2543715	20041103
	WO 2005042521	A2	20050512	WO 2004-US36666	20041103
	WO 2005042521	A3	20050707		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1689743	A2	20060816	EP 2004-810297	20041103
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	BR 2004015885	A	20070102	BR 2004-15885	20041103
	JP 2007510732	T	20070426	JP 2006-539634	20041103
	MX 2006PA04944	A	20060804	MX 2006-PA4944	20060503
PRAI	US 2002-375598P	P	20020425		
	US 2002-380713P	P	20020515		
	US 2002-392021P	P	20020627		
	US 2003-618288	A2	20030425		
	US 2003-700202	A	20031103		
	WO 2004-US36666	W	20041103		

OS CASREACT 143:326396; MARPAT 143:326396
GI



I

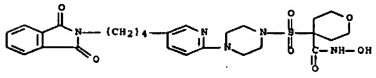
II

AB Title compds. I [A1 and A2 together with the C to which they are bonded join to form (un)substituted heterocyclyl or carbocyclyl, or A1 and A2 are independently selected from H, alkyl, alkoxyalkyl, alkenyl, alkynyl, etc.; Rx = H, halo, CN, OH, NO2, alkyl, alkenyl, alkoxy, alkoxyalkyl, heterocyclyl,

etc.; Y = N, CH, or CRx; E1 = (un)substituted carbocyclyl, heterocyclyl, etc.; E2 = O, CO, C(O)O, OC(O), bond, S, etc.; E3 = halo, CN, (un)substituted alkyl, alkenyl, alkynyl, heterocyclyl, heterocyclylalkyl, etc.] and their pharmaceutically acceptable salts are prepared and disclosed as protease inhibitors. E.g., a multi-step synthesis of II, starting from Et crotyl phosphonate and tert-Bu 4-[(4-formylpiperidin-1-yl)sulfonyl]tetrahydro-2H-pyran-2H-pyran-4- carboxylate, was given. This invention is directed generally to proteinase (also known as 'protease') inhibitors, and more particularly, inhibitors of matrix metalloproteinase (also known as 'matrix metalloprotease' or 'MMP'), aggrecanase, or TNF-α convertase activity. In assays to determine inhibition consts. (Ki) against MMP-1, MMP-2, MMP-9, MMP-13 and MMP-14, I possessed values ranging from 0.13->10,000. This invention also is directed to compns. of such hydroxamic acids, intermediates for the syntheses of such hydroxamic acids, methods for making such hydroxamic acids, and methods for treating conditions (particularly pathol. conditions) associated with MMP, aggrecanase, or TNF-α convertase activity.

IT 622382-98-1P 622388-44-7P 622388-46-9P
622388-47-0P 622388-52-7P 622388-53-8P
951771-04-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinyl- and piperazinyl-sulfonylmethyl hydroxamic acids
and their use as matrix metalloproteinase inhibitors)

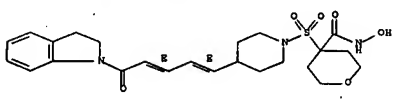
RN 622382-88-1 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[5-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butyl]-2-pyridinyl]-1-piperazinyl]sulfonyl]tetrahydro-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

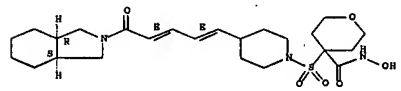
RN 622388-44-7 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[(1E,3E)-5-(2,3-dihydro-1H-indol-1-yl)-5-oxo-1,3-pentadienyl]-1-piperidinyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



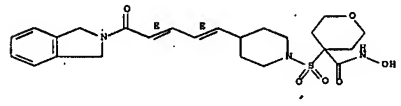
RN 622388-46-9 CAPLUS
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[(1E,3E)-5-[(3aR,7aS)-octahydro-2H-isoindol-2-yl]-5-oxo-1,3-pentadienyl]-1-piperidinyl]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

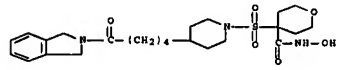


RN 622388-47-0 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[(1E,3E)-5-(1,3-dihydro-2H-isoindol-2-yl)-5-oxo-1,3-pentadienyl]-1-piperidinyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)

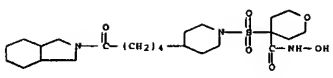
Double bond geometry as shown.



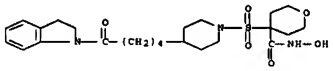
RN 622388-52-7 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[5-(1,3-dihydro-2H-isoindol-2-yl)-5-oxopentyl]-1-piperidinyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



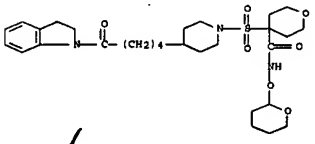
RN 622388-53-8 CAPLUS
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[5-(octahydro-2H-isoindol-2-yl)-5-oxopentyl]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)



RN 851771-04-5 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[5-(2,3-dihydro-1H-indol-1-yl)-5-oxopentyl]-1-piperidinyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



IT 851771-09-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinyl- and piperazinyl-sulfonylmethyl hydroxamic acids
and their use as matrix metalloproteinase inhibitors)
RN 851771-09-0 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[5-(2,3-dihydro-1H-indol-1-yl)-5-oxopentyl]-1-piperidinyl]sulfonyl]tetrahydro-N-[(tetrahydro-2H-pyran-2-yl)oxy]- (CA INDEX NAME)

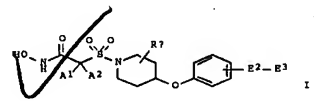


L115 ANSWER 21 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:409509 CAPLUS Full-text
DN 142:463765
TI Preparation of piperidinyl- and piperazinylsulfonylmethyl hydroxamic acids and their use as protease inhibitors
IN Brown, David L.; Grapperhaus, Margaret L.; Kassab, Darren J.; Massa, Mark A.; Mcdonald, Joseph J.; Mullins, Patrick B.; Rico, Joseph G.; Schmidt, Michelle A.
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 644 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

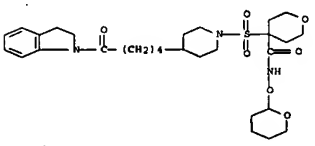
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005042521	A2	20050512	WO 2004-US36666	20041103
	WO 2005042521	A3	20050707		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005209278	A1	20050922	US 2003-700202	20031103
	CA 2543715	A1	20050512	CA 2004-2543715	20041103
	EP 1689743	A2	20060816	EP 2004-810297	20041103
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	BR 2004015885	A	20070102	BR 2004-15885	20041103
	JP 2007510732	T	20070426	JP 2006-539634	20041103
	MX 2006PA04944	A	20060804	MX 2006-PA4944	20060503
PRAI	US 2003-700202	A	20031103		
	US 2002-375598P	P	20020425		
	US 2002-380713P	P	20020515		
	US 2002-392021P	P	20020627		
	US 2003-618288	A2	20030425		
	WO 2004-US36666	W	20041103		

OS CASREACT 142:463765; MARPAT 142:463765
GI

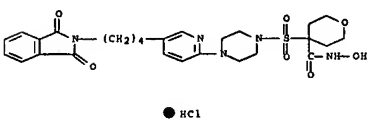


AB Title compds. I [A1-2 = H, alkyl, alkoxyalkyl, etc.; Rx = halo, CN, OH, NO2, etc.; E2 = CO, COO, OCO, amino, etc.; E3 = alkyl, alkenyl, alkynyl, etc.] are prepared For instance, 4-[[4-(5-butylpyrazin-2-yl)piperazin-1- yl]sulfonyl]-N-(hydroxy)tetrahydro-2H-pyran-4-carboxamide•2HCl (II) is prepared in 8 steps from 1-(tert-butoxycarbonyl)piperazine, 2-chloropyrazine, butylmagnesium chloride, bis(2-bromoethyl)ether and O-(tetrahydro-2H-pyran-2-yl)hydroxyamine. II exhibits Ki = >10,000 nM for MMP-1, 1.52 nM for MMP-2, 0.696 nM for MMP-9, 1.82 nM for MMP-13 and 4290 nM for MMP-14. I are useful for the treatment of conditions associated with MMP activity and/or aggrecanase activity.
IT 851771-09-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperidinyl-and piperazinyl-sulfonylmethyl

hydroxamic acids and their use as matrix metalloproteinase inhibitors)
RN 851771-09-0 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[5-(2,3-dihydro-1H-indol-1-yl)-5-oxopentyl]-1-piperidinyl]sulfonyl]tetrahydro-N-[(tetrahydro-2H-pyran-2-yl)oxy]- (CA INDEX NAME)



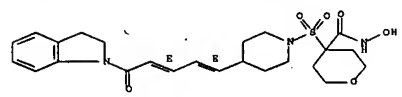
IT 622382-88-1P 622388-44-7P 622388-46-9P
622388-47-0P 622388-52-7P 622388-53-8P
851771-04-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinyl-and piperazinyl-sulfonylmethyl hydroxamic acids and their use as matrix metalloproteinase inhibitors)
RN 622382-88-1 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[5-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butyl]-2-pyridinyl]-1-piperazinyl]sulfonyl]tetrahydro-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

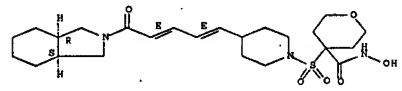
RN 622388-44-7 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[(1E,3E)-5-(2,3-dihydro-1H-indol-1-yl)-5-oxo-1,3-pentadienyl]-1-piperidinyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



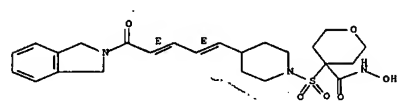
RN 622388-46-9 CAPLUS
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[(1E,3E)-5-[(3aR,7aS)-octahydro-2H-isoindol-2-yl]-5-oxo-1,3-pentadienyl]-1-piperidinyl]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

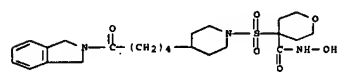


RN 622388-47-0 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[(1E,3E)-5-(1,3-dihydro-2H-isoindol-2-yl)-5-oxo-1,3-pentadienyl]-1-piperidinyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)

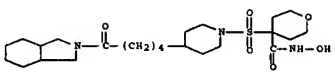
Double bond geometry as shown.



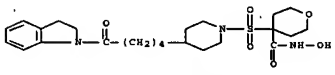
RN 622388-52-7 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[5-(1,3-dihydro-2H-isoindol-2-yl)-5-oxopentyl]-1-piperidinyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



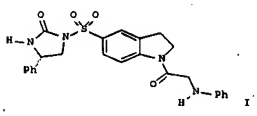
RN 622388-53-8 CAPLUS
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[5-(octahydro-2H-isoindol-2-yl)-5-oxopentyl]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)



RN 851771-04-5 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[5-(2,3-dihydro-1H-indol-1-yl)-5-oxopentyl]-1-piperidinyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



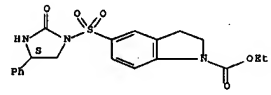
L3 ANSWER 22 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:967784 CAPLUS Full-text
DN 142:113960
TI Novel diarylsulfonylurea derivatives as potent antimitotic agents
AU Kim, Semi; Park, Ji Hyun; Koo, Sun-Young; Kim, Jung In; Kim, Min-Hyeung; Kim, Ji Eun; Jo, Kiwon; Geun Choi, Hwan; Lee, Sung Bae; Jung, Sang-Hun
CS LG Life Sciences, Ltd/R&D Park, 104-1 Moonji-dong, Yuseong-gu, Daejon, 305-380, S. Korea
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(24), 6075-6078
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 142:113968
GI



I

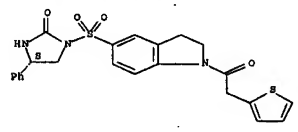
AB A series of diarylsulfonyl ureas, e.g., I, were synthesized and evaluated for interaction with tubulin and for cytotoxicity against human cancer cell lines. These derivs. demonstrated good inhibitory activity against tubulin polymerization, which was well correlated with promising antiproliferative activity as well as G2/M phase cell cycle arrest. Furthermore, several compds. were also efficacious against multidrug-resistant cancer cells, which are resistant to many other known microtubule inhibitors.
IT 503439-81-4P 823786-87-4P 823786-88-5P
823786-89-6P 823786-90-9P 823786-91-0P
823786-92-1P 823786-93-2P 823786-94-3P
823786-95-4P 823786-96-5P 823786-97-6P
823786-98-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antimitotic and anticancer activity, and tubulin affinity of cyclic N-aryl-N'-(arylsulfonyl)ureas using heterocyclization of arylsulfonamides with N-[arylsulfonyloxyethyl]carbamates and acylation with acylating agents as key steps)
RN 503439-81-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



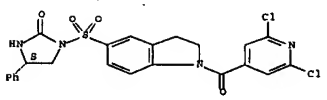
RN 823786-87-4 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-1-(2-thienylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



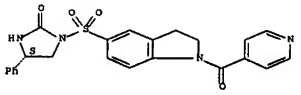
RN 823786-88-5 CAPLUS
CN 1H-Indole, 1-[(2,6-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



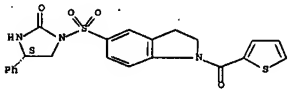
RN 823786-89-6 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



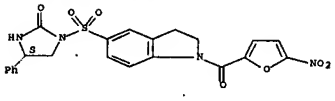
RN 823786-90-9 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-1-(2-thienylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



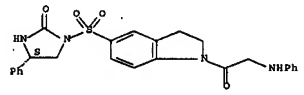
RN 823786-91-0 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[(5-nitro-2-furanyl)carbonyl]-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



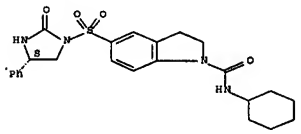
RN 823786-92-1 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-1-[(phenylamino)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



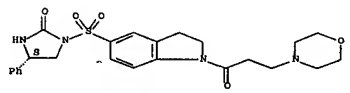
RN 823786-93-2 CAPLUS
CN 1H-Indole-1-carboxamide, N-cyclohexyl-2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.



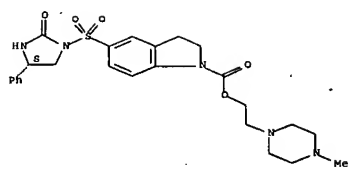
RN 823786-94-3 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[3-(4-morpholinyl)-1-oxopropyl]-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

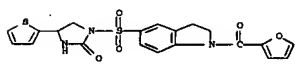


RN 823786-95-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-, 2-(4-methyl-1-piperazinyl)ethyl ester (CA INDEX NAME)

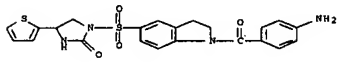
Absolute stereochemistry.



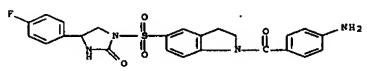
RN 823786-96-5 CAPLUS
CN 1H-Indole, 1-(2-furanylcarbonyl)-2,3-dihydro-5-[[2-oxo-4-(2-thienyl)-1-imidazolidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 823786-97-6 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[[2-oxo-4-(2-thienyl)-1-imidazolidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

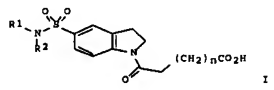


RN 823786-98-7 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-5-[[4-(4-fluorophenyl)-2-oxo-1-imidazolidinyl]sulfonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



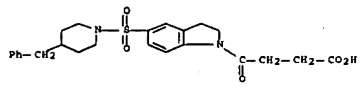
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 23 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:874809 CAPLUS Full-text
DN 142:355122
TI Indoline derivatives containing free carboxyl group and sulfamide fragments in position 5
AU Shalygina, E. E.; Solvov'ev, M. Yu.; Balakin, K. V.; Ivanovskii, S. A.; Dorogov, M. V.
CS Yarasl. Gos. Pedagog. Univ. im. K. D. Ushinskogo, Yaroslavl, Russia
SO Izvestiya Vysshikh Uchebnykh Zavedenii, Khimiya i Khimicheskaya Tekhnologiya (2004), 47(4), 97-101
CODEN: IVUKAR; ISSN: 0579-2991
PB Ivanovskii Gosudarstvennyi Khimiko-Tekhnologicheskii Universitet
DT Journal
LA Russian
OS CASREACT 142:355122
GI



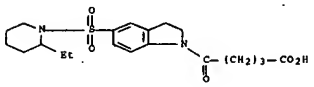
I

AB (Aminosulfonyl)indolines I [n = 1, 2; R1 = H, R2 = 2-thienylmethyl, PhCHMe, cyclopentyl, 4-MeC6H4CH2CH2; R1 = R2 = n-Pr; R1R2N = perhydroazepine, 1,2,3,4-tetrahydroquinoline, 3-methylpiperidine, etc.], containing free carboxylic group, were synthesized in five steps from unsubstituted indoline and their physicochem. properties, such as water solubility, partition coefficient, the number of rotating bonds, etc., were calculated to estimate the potential bioavailability of these compds.
IT 848826-53-9P 848826-59-5P 848826-62-0P
848826-63-1P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and physicochem. properties of N-carboxyalkanoyl-substituted (aminosulfonyl)indolines)
RN 848826-53-9 CAPLUS
CN 1H-Indole-1-butanoic acid, 2,3-dihydro-γ-oxo-5-[[4-(phenylmethyl)-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

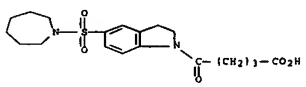


RN 848826-59-5 CAPLUS
CN 1H-Indole-1-pentanoic acid, 5-[(2-ethyl-1-piperidinyl)sulfonyl]-2,3-

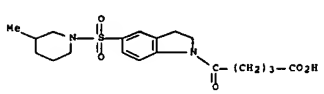
dihydro-δ-oxo- (CA INDEX NAME)



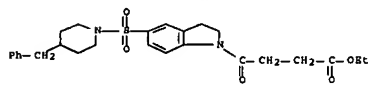
RN 848826-62-0 CAPLUS
CN 1H-Indole-1-pentanoic acid, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-2,3-dihydro-δ-oxo- (CA INDEX NAME)



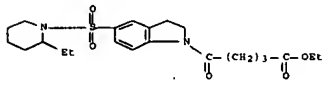
RN 848826-63-1 CAPLUS
CN 1H-Indole-1-pentanoic acid, 2,3-dihydro-5-[(3-methyl-1-piperidinyl)sulfonyl]-δ-oxo- (CA INDEX NAME)



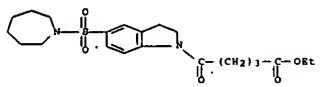
IT 848826-36-8P 848826-39-1P 848826-41-5P
848826-42-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and physicochem. properties of N-carboxyalkanoyl-substituted (aminosulfonyl)indolines)
RN 848826-36-8 CAPLUS
CN 1H-Indole-1-butanoic acid, 2,3-dihydro-γ-oxo-5-[[4-(phenylmethyl)-1-piperidinyl]sulfonyl]-, ethyl ester (CA INDEX NAME)



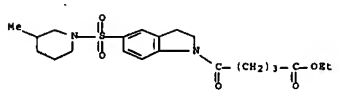
RN 848826-39-1 CAPLUS
CN 1H-Indole-1-pentanoic acid, 5-[(2-ethyl-1-piperidinyl)sulfonyl]-2,3-dihydro-δ-oxo-, ethyl ester (CA INDEX NAME)



RN 848826-41-5 CAPLUS
CN 1H-Indole-1-pentanoic acid, 5-[(hexahydro-1H-azepin-1-yl)sulfonyl]-2,3-dihydro-δ-oxo-, ethyl ester (CA INDEX NAME)



RN 848826-42-6 CAPLUS
CN 1H-Indole-1-pentanoic acid, 2,3-dihydro-5-[(3-methyl-1-piperidinyl)sulfonyl]-δ-oxo-, ethyl ester (CA INDEX NAME)



L11 ANSWER 24 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:756696 CAPLUS Full-text

DN 141:260561
TI A preparation of focused library of quinolinecarboxylic acid derivatives, useful as caspase enzyme inhibitors
IN Ivashchenko, Alexander Vasilievich; Kobak, Vladimir Vasilievich; Kysil, Volodymyr Mikhailovich; Kuzovkova, Yulia Aleksandrovna; Ilyin, Alexey Petrovich; Kravchenko, Dmitri Vladimirovich; Tkachenko, Sergey Yevgenievich; Khvat, Alexander Viktorovich; Okun, Ilya Matusovich
PA Chemical Diversity Research Institute, Ltd., Russia
SO PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DT Patent
LA Russian
FAN.CNT 2

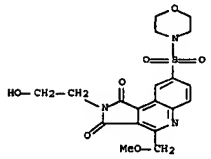
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078731	A1	20040916	WO 2004-RU81	20040303
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	RU 2229475	C1	20040527	RU 2003-106182	20030306
	RU 2260002	C2	20050910	RU 2003-124470	20030808
	RU 2257385	C2	20050727	RU 2003-125937	20030826
PRAI	RU 2003-106182	A	20030306		
	RU 2003-124470	A	20030808		
	RU 2003-125937	A	20030826		

OS MARPAT 141:260561
GI

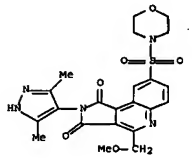
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of focused library of quinolinecarboxylic acid derivs. of formulas I, II, and III [wherein: R1 is H, halogen, CF3, CN, NO2, or OH, etc.; R2 is halogen, (un)substituted alkyl, NH2, or OH; R3 is H, halogen, alk(en)yl, (un)substituted NH2 or OH; R4 is H, CO2H, or C(O)NH2; R5 is (un)substituted hydroxy- or mercapto-group, NH2, or heterocycle, etc.; R6 is H or other inert substituent; R7 is H, CN, CF3, NO2, NH2, alkylsulfonyl, or hydroxysulfonyl, etc.; W is O, NH, or N-alkyl, etc.], useful as caspase enzyme inhibitors (no biol. data). For instance, quinolinecarboxylate derivative IV was prepared via esterification of quinolinecarboxylic acid derivative V by 2-FC6H4CH2Br with a yield of 74% (example 5).
IT 753483-89-5P 753483-90-8P 753483-93-1P
753483-94-2P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of focused library of quinolinecarboxylic acid derivs. useful as caspase enzyme inhibitors)

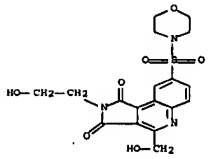
RN 753483-89-5 CAPLUS
CN Morpholine, 4-[[2,3-dihydro-2-(2-hydroxyethyl)-4-(methoxymethyl)-1,3-dioxo-1H-pyrrolo[3,4-c]quinolin-8-yl]sulfonyl]- (9CI) (CA INDEX NAME)



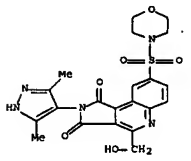
RN 753483-90-8 CAPLUS
CN Morpholine, 4-[[2-(3,5-dimethyl-1H-pyrazol-4-yl)-2,3-dihydro-4-(methoxymethyl)-1,3-dioxo-1H-pyrrolo[3,4-c]quinolin-8-yl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 753483-93-1 CAPLUS
CN Morpholine, 4-[[2,3-dihydro-2-(2-hydroxyethyl)-4-(hydroxymethyl)-1,3-dioxo-1H-pyrrolo[3,4-c]quinolin-8-yl]sulfonyl]- (9CI) (CA INDEX NAME)

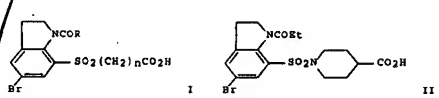


RN 753483-94-2 CAPLUS
CN Morpholine, 4-[[2-(3,5-dimethyl-1H-pyrazol-4-yl)-2,3-dihydro-4-(hydroxymethyl)-1,3-dioxo-1H-pyrrolo[3,4-c]quinolin-8-yl]sulfonyl]- (9CI) (CA INDEX NAME)



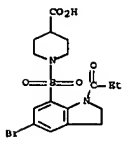
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 25 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:536965 CAPLUS Full-text
DN 141:395372
TI Sulfonylalkanoic and sulfonamido carboxylic acids containing N-acylated indoline moiety
AU Shalygina, E. E.; Kobylinskii, D. V.; Skorenko, A. V.; Korikov, P. V.; Solov'ev, M. Yu.; Balakin, K. B.; Dorogov, M. V.
CS Yarosl. Gos. Pedagog. Univ., Yaroslavl, Russia
SO Izvestiya Vysshikh Uchebnykh Zavedenii, Khimiya i Khimicheskaya Tekhnologiya (2004), 47(1), 61-66
CODEN: IVUKAR; ISSN: 0579-2991
PB Ivanovskii Gosudarstvennyi Khimiko-Tekhnologicheskii Universitet
DT Journal
LA Russian
OS CASREACT 141:395372
GI

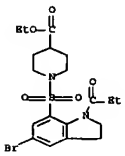


AB Title compds. I (n = 1, R = Me; n = 2, R = Me, Et, cyclopropyl) and II were prepared starting with the N-acylation of indoline. Partition coeffs., solubilities, absorption fractions, H-bond donor and acceptor sites, and

conformational indexes were calculated for I and II, which may have potential in pharmacol. studies.
IT 785785-34-4P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and pharmacol. indexes of sulfonylalkanoic and sulfonamido carboxylic acids containing N-acylated indoline moiety)
RN 785785-34-4 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[5-bromo-2,3-dihydro-1-(1-oxopropyl)-1H-indol-7-yl]sulfonyl]- (CA INDEX NAME)

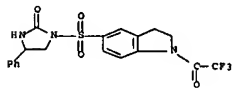


IT 686756-70-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and pharmacol. indexes of sulfonylalkanoic and sulfonamido carboxylic acids containing N-acylated indoline moiety)
RN 686756-70-7 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[5-bromo-2,3-dihydro-1-(1-oxopropyl)-1H-indol-7-yl]sulfonyl]-, ethyl ester (CA INDEX NAME)

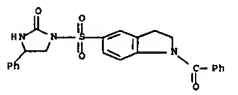


L24 ANSWER 26 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:497469 CAPLUS Full-text
DN 141:379857
TI Synthesis and cytotoxic activity of 1-(1-benzoylindoline-5-sulfonyl)-4-phenylimidazolidinones
AU Jung, Sang-Hun; Lee, Hui-Soon; Kim, Nam-Soo; Kim, Hwan-Mook; Lee, Moonsun; Choi, Dong-Rack; Lee, Jung-Ah; Chung, Yong-Ho; Moon, Eun-Yi; Hwang, Hyun-Sook; Seong, Seung-Kyoo; Lee, Dug-Keun
CS College of Pharmacy, Chungnam National University, Taejon, 305-764, S.

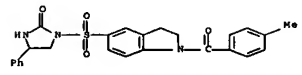
Korea
SO Archives of Pharmacal Research (2004), 27(5), 478-484
CODEN: APHRDQ; ISSN: 0253-6269
PB Pharmaceutical Society of Korea
DT Journal
LA English
OS CASREACT 141:379857
AB The novel 1-(1-benzoylindoline-5-sulfonyl)-4-phenyl-4,5- dihydroimidazolones shows highly potent and broad cytotoxicities. Their cytotoxicities against human lung carcinoma A549, human chronic myelogenous leukemia K562, and human ovarian adenocarcinoma SK-OV-3 are compatible with doxorubicin. The compound (1-[(4-aminobenzoyl)indoline-5- sulfonyl]-4-phenyl-4,5-dihydroimidazolone) exhibits a cytotoxicity that is far more potent than doxorubicin and also exhibits highly effective antitumor activities against murine (3LL, Colon 26) and human xenograft (NCI-H23, SW620) tumor models.
IT 203861-15-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 1-(1-benzoylindoline-5-sulfonyl)-4-phenylimidazolidinones)
RN 203861-15-8 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



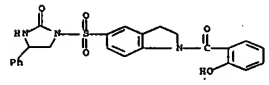
IT 203860-87-1P 203860-88-2P 203860-89-3P
203860-90-6P 203860-91-7P 203860-92-8P
203860-93-9P 203860-94-0P 203860-95-1P
203860-96-2P 203860-98-4P 203860-99-5P
203861-00-1P 203861-01-2P 203861-02-3P
203861-03-4P 203861-04-5P 210691-35-3P
781626-23-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis, antitumor activity and cytotoxicity of 1-(1-benzoylindoline-5-sulfonyl)-4-phenylimidazolidinones)
RN 203860-87-1 CAPLUS
CN 1H-Indole, 1-benzoyl-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



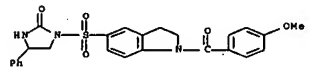
RN 203860-88-2 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(4-methylbenzoyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



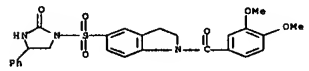
RN 203860-89-3 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(2-hydroxybenzoyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



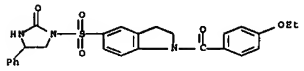
RN 203860-90-6 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(4-methoxybenzoyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



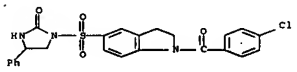
RN 203860-91-7 CAPLUS
CN 1H-Indole, 1-(3,4-dimethoxybenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



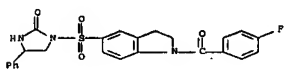
RN 203860-92-8 CAPLUS
CN 1H-Indole, 1-(4-ethoxybenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



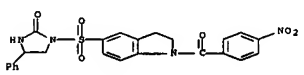
RN 203860-93-9 CAPLUS
CN 1H-Indole, 1-(4-chlorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 203860-94-0 CAPLUS
CN 1H-Indole, 1-(4-fluorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)

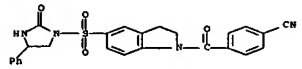


RN 203860-95-1 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(4-nitrobenzoyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)

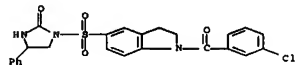


RN 203860-96-2 CAPLUS
CN 1H-Indole, 1-(4-cyanobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-

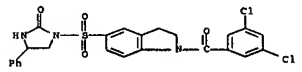
imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



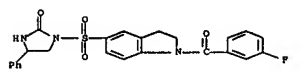
RN 203860-98-4 CAPLUS
CN 1H-Indole, 1-(3-chlorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



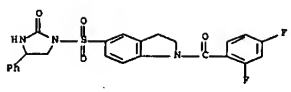
RN 203860-99-5 CAPLUS
CN 1H-Indole, 1-(3,5-dichlorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



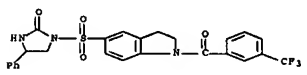
RN 203861-00-1 CAPLUS
CN 1H-Indole, 1-(3-fluorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



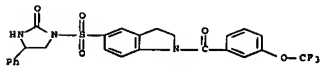
RN 203861-01-2 CAPLUS
CN 1H-Indole, 1-(2,4-difluorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 203861-02-3 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1-[3-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 203861-03-4 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1-[3-(trifluoromethoxy)benzoyl]- (9CI) (CA INDEX NAME)



RN 203861-04-5 CAPLUS
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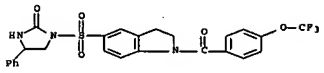
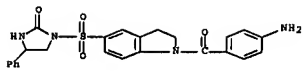
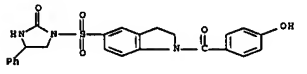


RN 210691-35-3 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 781626-23-1 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(4-hydroxybenzoyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



L1 ANSWER 27 OF 780 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:433750 CAPLUS Full-text
DN 141:7131
TI Preparation of quinazolines and analogs as Akt inhibitors and indoles as protein kinase inhibitors for use in synergistic combination therapy for the treatment of cancer
IN Barnett, Stanley F.; Defeo-Jones, Deborah D.; Hartman, George D.; Huber, Hans E.; Stirdivant, Steven M.; Heimbrook, David C.
PA USA
SO U.S. Pat. Appl. Publ., 121 pp., which
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004102360	A1	20040527	US 2003-678565	20031003
PRAI US 2002-422312P	P	20021030		
US 2003-460911P	P	20030407		

OS MARPAT 141:7131
GI

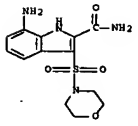
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to methods of treating cancer using a combination of at least two Akt inhibitors I [wherein Q = (un)substituted heterocyclyl, aryl; U, V, W, and X = independently CH, N; Y, Z = independently CH, N, provided that at least one of Y and Z = N; n = 0-3; p = 0-2; q = 0-4; R1, R2, R7 = independently halo, CN, OH, CHO, NO2, or (un)substituted (cyclo)alkyl(oxy), alkenyl(oxy), alkynyl(oxy), heterocyclyl(oxy), acyl, carboxy, carbamoyl(oxy), ureido, sulfamoyl, etc.; R3, R4 = independently H, (perfluoro)alkyl; or CR3R4 = cycloalkyl, heterocyclyl; and pharmaceutically

acceptable salts or stereoisomers thereof] or a combination of I and a protein kinase inhibitor II [wherein Q = H2, O; X = C, N, SO0-2, O; m = 0-2; n = 0-2; p = 0-6; q = 0-4; R1 = independently H, halo, or (un)substituted (cyclo)alkyl, heterocyclyl, aryl, carbamoyl, amino, acyl, sulfamoyl, carboxy, etc.; R2 = H or (un)substituted (cyclo)alkyl(oxy), amino, aryloxy, heterocyclyloxy, alkenyloxy, alkynyloxy, etc.; R5 = independently H, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkynyl, carboxy, acyl, sulfamoyl, carbamoyl, ureido, amino, etc.; and pharmaceutically acceptable salts or stereoisomers thereof], optionally in combination with a third compound Examples include syntheses for I and II and assays demonstrating Akt inhibitor activity, antitumor activity, and the synergistic effect of combinations of AKT inhibitors and/or protein kinase inhibitors on caspase 3 activity. For instance, III•HCl was prepared in an 8-step reaction sequence culminating with the cycloaddn. of 4-(2-aminoprop-2-yl)benzil and o-phenylenediamine using glacial acetic acid in H2O, followed by work up with chloroform and ethanolic HCl. III•HCl, a selective Akt1 and Akt2 inhibitor, demonstrated a 3.2-fold in caspase 3 activation over control compared to a 1.2-fold increase for a protein kinase inhibitor. Combination treatment produced a 9-fold increase in caspase 3 activation.

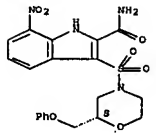
IT 661467-82-9P 661468-61-7P 661468-63-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antitumor agent; preparation of quinazolines and analogs as Akt inhibitors and indoles as protein kinase inhibitors for use in synergistic combination therapy for treatment of cancer)

RN 661467-82-9 CAPLUS
CN 1H-Indole-2-carboxamide, 7-amino-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



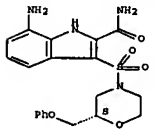
RN 661468-61-7 CAPLUS
CN 1H-Indole-2-carboxamide, 7-nitro-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 661468-63-9 CAPLUS
CN 1H-Indole-2-carboxamide, 7-amino-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.



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661467-79-4P 661467-80-7P 661467-81-8P
661467-83-0P 661467-84-1P 661467-85-2P
661467-86-3P 661467-87-4P 661467-88-5P
661467-89-6P 661467-90-9P 661467-91-0P
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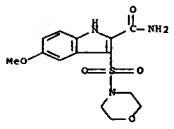
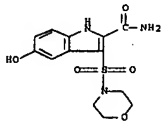
695816-12-7P 695816-13-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor agent; preparation of quinazolines and analogs as Akt inhibitors and indoles as protein kinase inhibitors for use in synergistic combination therapy for treatment of cancer)

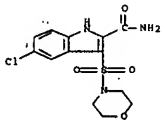
RN 660413-14-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-methoxy-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



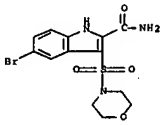
RN 660413-15-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-hydroxy-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



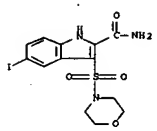
RN 661467-77-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



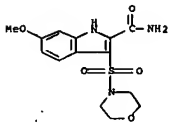
RN 661467-78-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



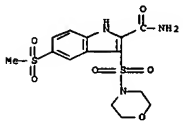
RN 661467-79-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-iodo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



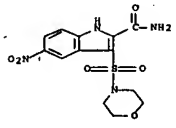
RN 661467-80-7 CAPLUS
CN 1H-Indole-2-carboxamide, 6-methoxy-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



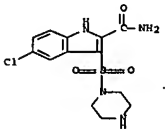
RN 661467-81-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(methylsulfonyl)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



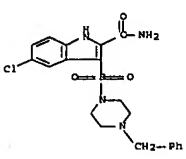
RN 661467-83-0 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-nitro- (CA INDEX NAME)



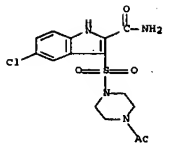
RN 661467-84-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(1-piperazinylsulfonyl)- (CA INDEX NAME)



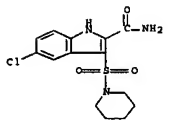
RN 661467-85-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[4-(phenylmethyl)-1-piperazinyl]sulfonyl]- (CA INDEX NAME)



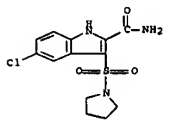
RN 661467-86-3 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[(4-acetyl-1-piperazinyl)sulfonyl]-5-chloro- (CA INDEX NAME)



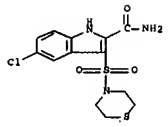
RN 661467-87-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(1-piperidinylsulfonyl)- (CA INDEX NAME)



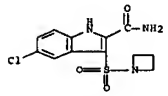
RN 661467-88-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



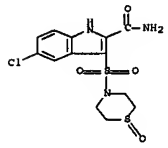
RN 661467-89-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-(4-thiomorpholinylsulfonyl)- (CA INDEX NAME)



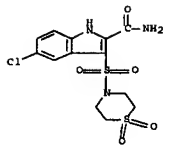
RN 661467-90-9 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(1-azetidinylsulfonyl)-5-chloro- (CA INDEX NAME)



RN 661467-91-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[(1-oxido-4-thiomorpholinyl)sulfonyl]- (CA INDEX NAME)

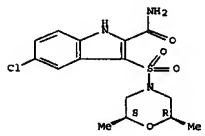


RN 661467-92-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[(1,1-dioxido-4-thiomorpholinyl)sulfonyl]- (CA INDEX NAME)

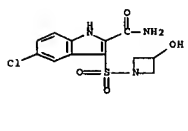


RN 661467-93-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[(2R,6S)-2,6-dimethyl-4-morpholinyl]sulfonyl]-, rel- (CA INDEX NAME)

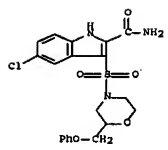
Relative stereochemistry.



RN 661467-96-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[(3-hydroxy-1-azetidinyl)sulfonyl]- (CA INDEX NAME)

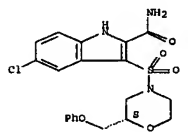


RN 661467-97-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



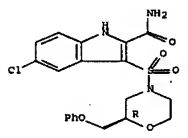
RN 661467-98-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

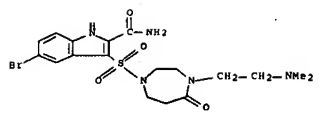


RN 661467-99-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[(2R)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

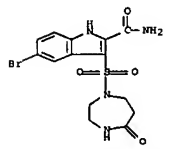
Absolute stereochemistry.



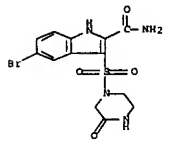
RN 661468-00-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[4-[2-(dimethylamino)ethyl]hexahydro-5-oxo-1H-1,4-diazepin-1-yl]sulfonyl]- (CA INDEX NAME)



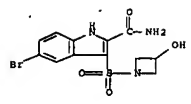
RN 661468-01-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[(hexahydro-5-oxo-1H-1,4-diazepin-1-yl)sulfonyl]- (CA INDEX NAME)



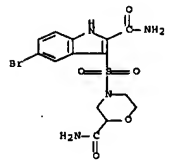
RN 661468-02-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[(3-oxo-1-piperazinyl)sulfonyl]- (CA INDEX NAME)



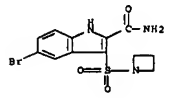
RN 661468-03-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[(3-hydroxy-1-azetidinyl)sulfonyl]- (CA INDEX NAME)



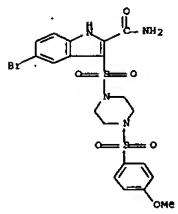
RN 661468-04-8 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[2-(aminocarbonyl)-4-morpholinyl]sulfonyl]-5-bromo- (CA INDEX NAME)



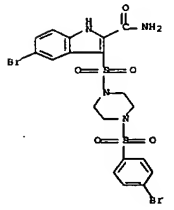
RN 661468-05-9 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(1-azetidinylsulfonyl)-5-bromo- (CA INDEX NAME)



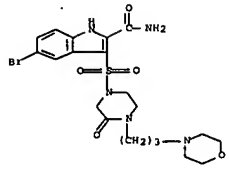
RN 661468-06-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[4-[(4-methoxyphenyl)sulfonyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)



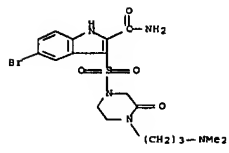
RN 661468-07-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[4-[(4-bromophenyl)sulfonyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)



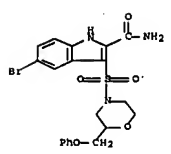
RN 661468-08-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[4-[3-(4-morpholinyl)propyl]-3-oxo-1-piperazinyl]sulfonyl]- (CA INDEX NAME)



RN 661468-09-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[4-[3-(dimethylamino)propyl]-3-oxo-1-piperazinyl]sulfonyl]- (CA INDEX NAME)

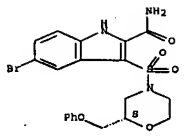


RN 661468-12-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



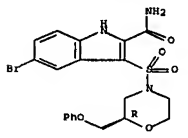
RN 661468-13-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

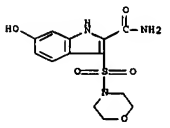


RN 661468-14-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[(2R)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

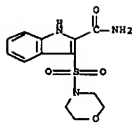
Absolute stereochemistry.



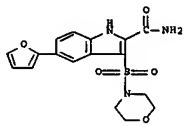
RN 661468-15-1 CAPLUS
CN 1H-Indole-2-carboxamide, 6-hydroxy-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



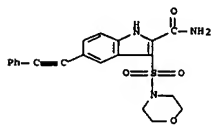
RN 661468-16-2 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



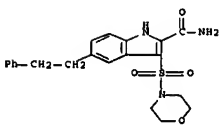
RN 661468-17-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(2-furanyl)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



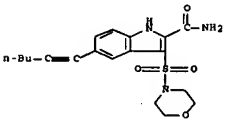
RN 661468-18-4 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(phenylethynyl)-(9CI) (CA INDEX NAME)



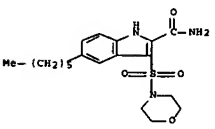
RN 661468-19-5 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(2-phenylethyl)- (CA INDEX NAME)



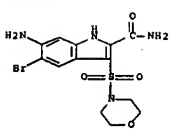
RN 661468-20-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(1-hexynyl)-3-(4-morpholinylsulfonyl)- (9CI) (CA INDEX NAME)



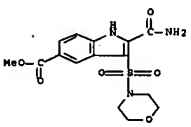
RN 661468-21-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-hexyl-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



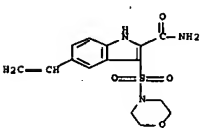
RN 661468-22-0 CAPLUS
CN 1H-Indole-2-carboxamide, 6-amino-5-bromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



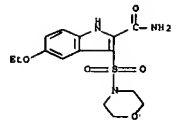
RN 661468-23-1 CAPLUS
CN 1H-Indole-5-carboxylic acid, 2-(aminocarbonyl)-3-(4-morpholinylsulfonyl)-, methyl ester (CA INDEX NAME)



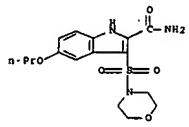
RN 661468-24-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-ethenyl-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



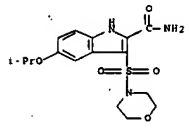
RN 661468-25-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-ethoxy-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



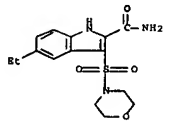
RN 661468-26-4 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-propoxy- (CA INDEX NAME)



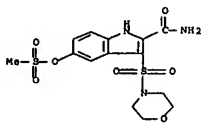
RN 661468-27-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(1-methylethoxy)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



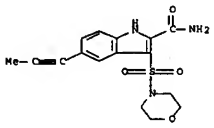
RN 661468-28-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-ethyl-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



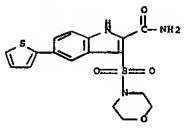
RN 661468-29-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(methylsulfonyl)oxy]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



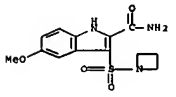
RN 661468-30-0 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(1-propynyl)- (9CI) (CA INDEX NAME)



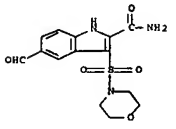
RN 661468-31-1 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(2-thienyl)- (CA INDEX NAME)



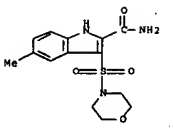
RN 661468-32-2 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(1-azetidinylsulfonyl)-5-methoxy- (CA INDEX NAME)



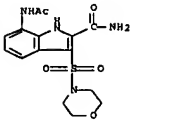
RN 661468-33-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-formyl-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



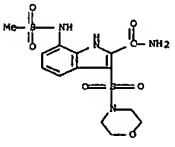
RN 661468-34-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-methyl-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



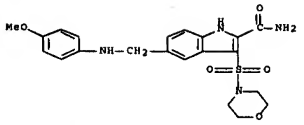
RN 661468-35-5 CAPLUS
CN 1H-Indole-2-carboxamide, 7-(acetylamino)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



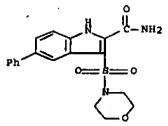
RN 661468-36-6 CAPLUS
CN 1H-Indole-2-carboxamide, 7-[(methylsulfonyl)amino]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



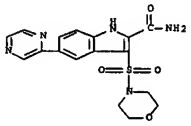
RN 661468-37-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[[(4-methoxyphenyl)amino]methyl]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



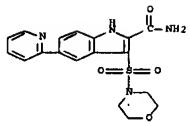
RN 661468-39-9 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-phenyl- (CA INDEX NAME)



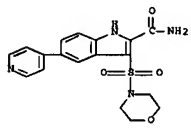
RN 661468-40-2 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-pyrazinyl- (9CI) (CA INDEX NAME)



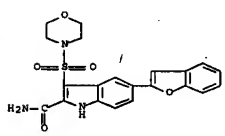
RN 661468-41-3 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(2-pyridinyl)- (CA INDEX NAME)



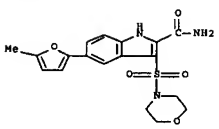
RN 661468-42-4 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(4-pyridinyl)- (CA INDEX NAME)



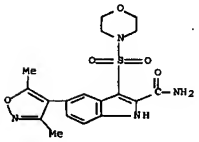
RN 661468-43-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(2-benzofuranyl)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



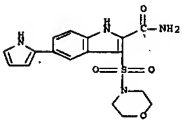
RN 661468-44-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(5-methyl-2-furanyl)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



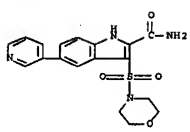
RN 661468-45-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(3,5-dimethyl-4-isoxazolyl)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



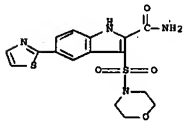
RN 661468-46-8 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(1H-pyrrol-2-yl)- (CA INDEX NAME)



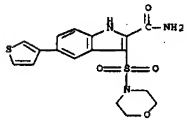
RN 661468-47-9 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(3-pyridinyl)- (CA INDEX NAME)



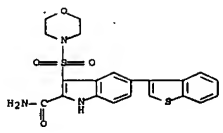
RN 661468-48-0 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(2-thiazolyl)- (CA INDEX NAME)



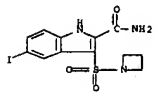
RN 661468-49-1 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-(3-thienyl)- (CA INDEX NAME)



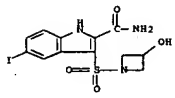
RN 661468-50-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-benzo[b]thien-3-yl-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



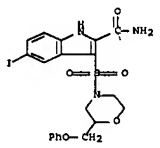
RN 661468-51-5 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(1-azetidinylsulfonyl)-5-iodo- (CA INDEX NAME)



RN 661468-52-6 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[(3-hydroxy-1-azetidinyl)sulfonyl]-5-iodo- (CA INDEX NAME)

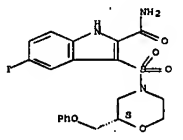


RN 661468-53-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-iodo-3-[[2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



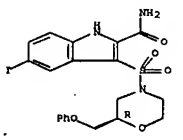
RN 661468-54-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-iodo-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

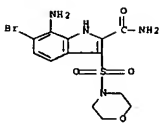


RN 661468-55-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-iodo-3-[[(2R)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

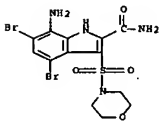
Absolute stereochemistry.



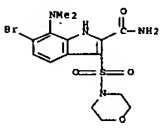
RN 661468-56-0 CAPLUS
CN 1H-Indole-2-carboxamide, 7-amino-6-bromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



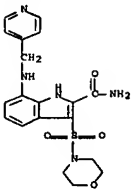
RN 661468-57-1 CAPLUS
CN 1H-Indole-2-carboxamide, 7-amino-4,6-dibromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



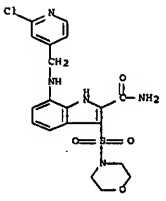
RN 661468-58-2 CAPLUS
CN 1H-Indole-2-carboxamide, 6-bromo-7-(dimethylamino)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



RN 661468-59-3 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-7-[(4-pyridinylmethyl)amino]- (CA INDEX NAME)

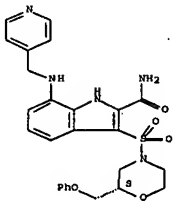


RN 661468-60-6 CAPLUS
CN 1H-Indole-2-carboxamide, 7-[[(2-chloro-4-pyridinyl)methyl]amino]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



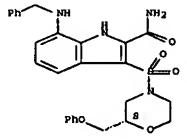
RN 661468-65-1 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-7-[(4-pyridinylmethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

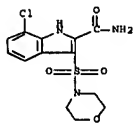


RN 661468-67-3 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-7-[(phenylmethyl)amino]- (CA INDEX NAME)

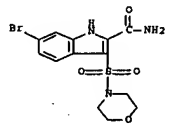
Absolute stereochemistry.



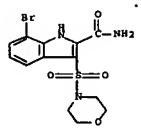
RN 661468-68-4 CAPLUS
CN 1H-Indole-2-carboxamide, 7-chloro-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



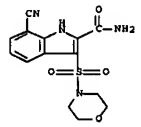
RN 661468-69-5 CAPLUS
CN 1H-Indole-2-carboxamide, 6-bromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



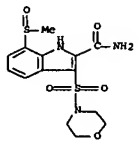
RN 661468-70-8 CAPLUS
CN 1H-Indole-2-carboxamide, 7-bromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



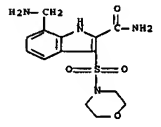
RN 661468-71-9 CAPLUS
CN 1H-Indole-2-carboxamide, 7-cyano-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



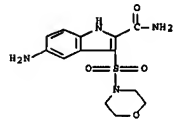
RN 661468-72-0 CAPLUS
CN 1H-Indole-2-carboxamide, 7-(methylsulfinyl)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



RN 661468-73-1 CAPLUS
CN 1H-Indole-2-carboxamide, 7-(aminomethyl)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)

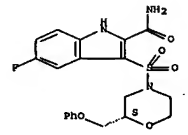


RN 661468-74-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-amino-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



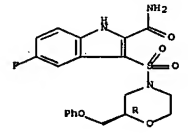
RN 661468-75-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-fluoro-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

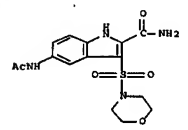


RN 661468-76-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-fluoro-3-[[(2R)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

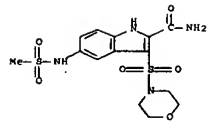
Absolute stereochemistry.



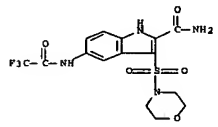
RN 661468-77-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(acetylamino)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



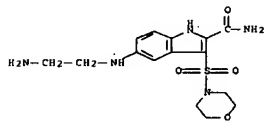
RN 661468-78-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(methylsulfonyl)amino]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



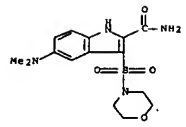
RN 661468-79-7 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-5-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



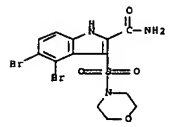
RN 661468-80-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(2-aminoethyl)amino]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



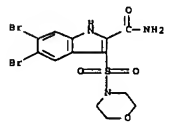
RN 661468-81-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-(dimethylamino)-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



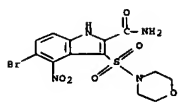
RN 661468-82-2 CAPLUS
CN 1H-Indole-2-carboxamide, 4,5-dibromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



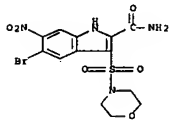
RN 661468-83-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5,6-dibromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



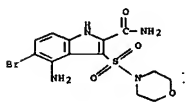
RN 661468-84-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-(4-morpholinylsulfonyl)-4-nitro- (CA INDEX NAME)



RN 661468-85-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-(4-morpholinylsulfonyl)-6-nitro- (CA INDEX NAME)

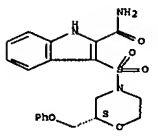


RN 661468-86-6 CAPLUS
CN 1H-Indole-2-carboxamide, 4-amino-5-bromo-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)

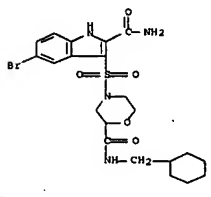


RN 661468-87-7 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

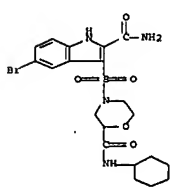
Absolute stereochemistry.



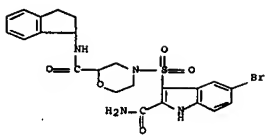
RN 661468-88-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(cyclohexylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



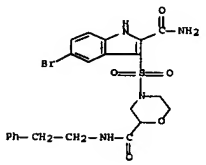
RN 661468-89-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(cyclohexylamino)carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



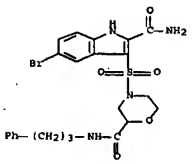
RN 661468-90-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2,3-dihydro-1H-inden-1-yl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



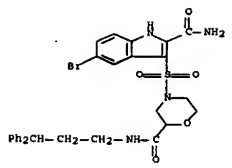
RN 661468-91-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-phenylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



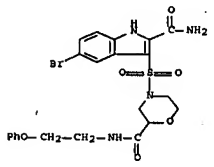
RN 661468-92-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(3-phenylpropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



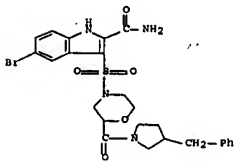
RN 661468-93-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(3,3-diphenylpropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661468-95-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-phenoxyethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

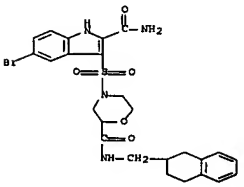


RN 661468-96-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[3-(phenylmethyl)-1-pyrrolidinyl]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

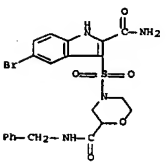


RN 661468-97-9 CAPLUS

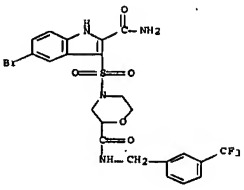
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(1,2,3,4-tetrahydro-2-naphthalenyl)methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



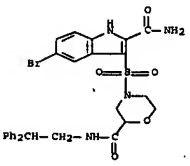
RN 661468-98-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(phenylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



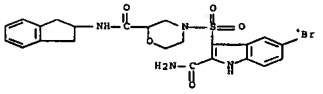
RN 661468-99-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[3-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



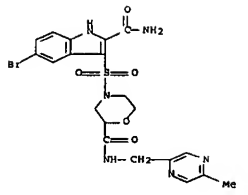
RN 661469-00-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2,2-diphenylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



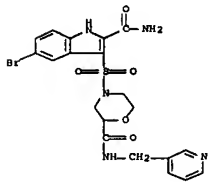
RN 661469-01-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2,3-dihydro-1H-inden-2-yl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



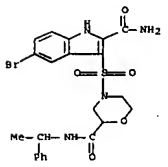
RN 661469-03-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(5-methylpyrazinyl)methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (9CI) (CA INDEX NAME)



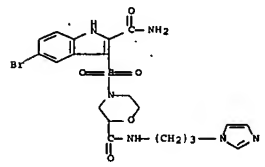
RN 661469-04-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(3-pyridinylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-05-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(1-phenylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

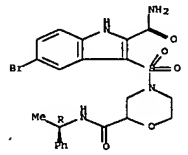


RN 661469-06-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[3-(1H-imidazol-1-yl)propyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

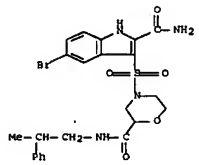


RN 661469-07-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(1R)-1-phenylethyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

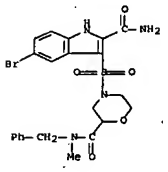
Absolute stereochemistry.



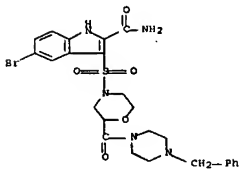
RN 661469-08-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-phenylpropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



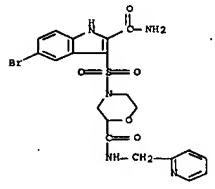
RN 661469-09-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[methyl(phenylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



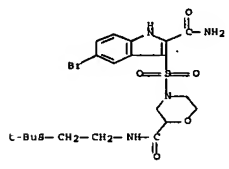
RN 661469-10-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



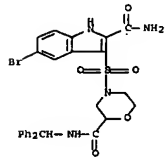
RN 661469-11-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-pyridinylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-12-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[2-[(1,1-dimethylethyl)thio]ethyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



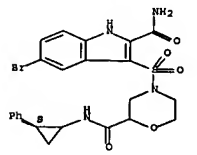
RN 661469-13-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(diphenylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



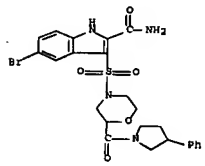
RN 661469-14-3 CAPLUS

CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(2S)-2-phenylcyclopropyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

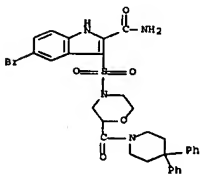
Absolute stereochemistry.



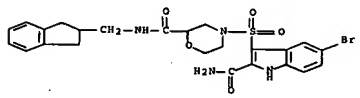
RN 661469-15-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(3-phenyl-1-pyrrolidinyl)carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



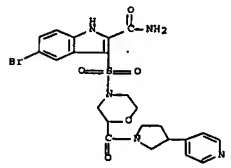
RN 661469-16-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(4,4-diphenyl-1-piperidinyl)carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



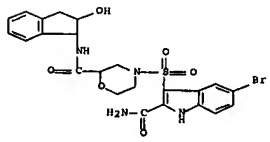
RN 661469-17-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(2,3-dihydro-1H-inden-2-yl)methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-18-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[3-(4-pyridinyl)-1-pyrrolidinyl]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

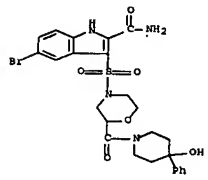


RN 661469-19-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2,3-dihydro-2-hydroxy-1H-inden-1-yl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

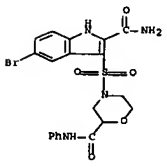


RN 661469-20-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(4-hydroxy-4-phenyl-1-

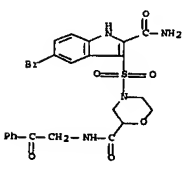
piperidinyl)carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



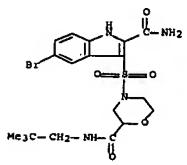
RN 661469-21-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(phenylamino)carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



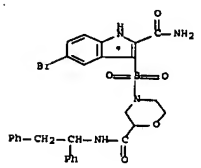
RN 661469-22-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-oxo-2-phenylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



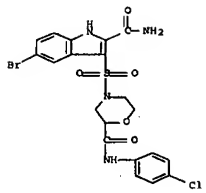
RN 661469-23-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2,2-dimethylpropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



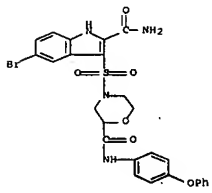
RN 661469-24-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(1,2-diphenylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



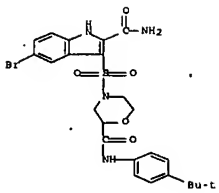
RN 661469-25-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(4-chlorophenyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



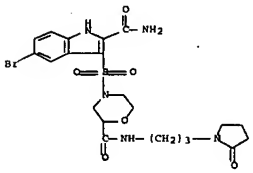
RN 661469-26-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(4-phenoxyphenyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



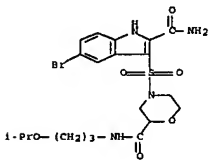
RN 661469-27-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[4-(1,1-dimethylethyl)phenyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



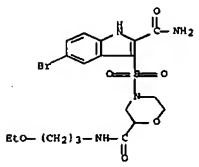
RN 661469-28-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



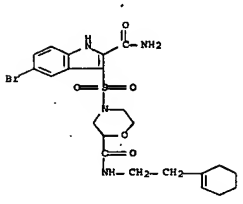
RN 661469-29-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[3-(1-methylethoxy)propyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



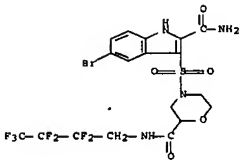
RN 661469-30-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[3-ethoxypropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



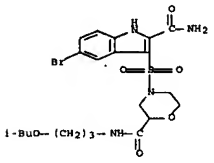
RN 661469-31-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[2-(1-cyclohexen-1-yl)ethyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



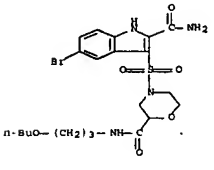
RN 661469-32-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(2,2,3,3,4,4,4-heptafluorobutyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-33-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[3-(2-methylpropoxy)propyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

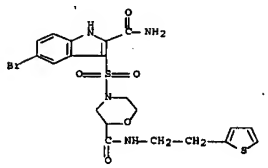


RN 661469-34-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[3-butoxypropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

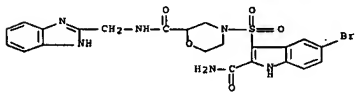


RN 661469-35-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[2-(2-

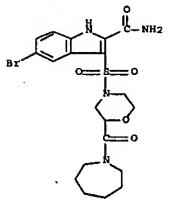
thienyl)ethyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-36-9 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[2-[[(1H-benzimidazol-2-ylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]-5-bromo- (CA INDEX NAME)

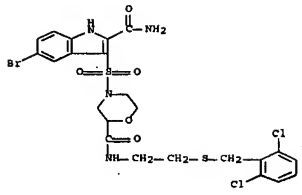


RN 661469-37-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(hexahydro-1H-azepin-1-yl)carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

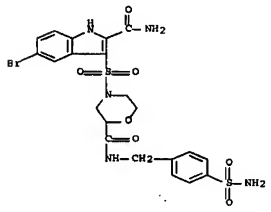


RN 661469-38-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[2-[[(2,6-dichlorophenyl)methyl]thio]ethyl]amino]carbonyl]-4-morpholinyl]sulfonyl]-

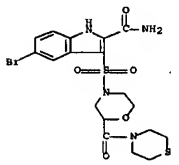
(CA INDEX NAME)



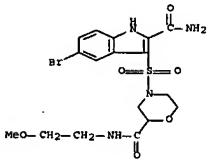
RN 661469-39-2 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[2-[[[[4-(aminosulfonyl)phenyl]methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]-5-bromo- (CA INDEX NAME)



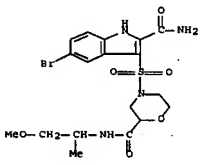
RN 661469-40-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-(4-thiomorpholinylcarbonyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



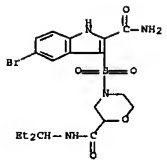
RN 661469-41-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-methoxyethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



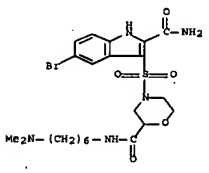
RN 661469-42-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-methoxy-1-methylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



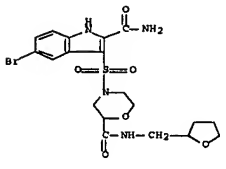
RN 661469-43-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(1-ethylpropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-44-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[6-(dimethylamino)hexyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

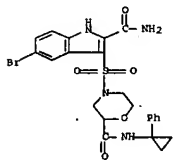


RN 661469-45-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(tetrahydro-2-furanyl)methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

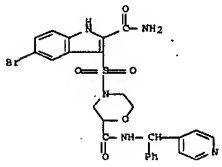


RN 661469-46-1 CAPLUS

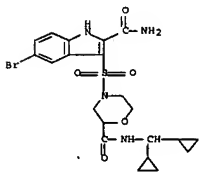
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(1-phenylcyclopropyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



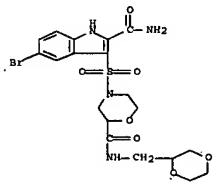
RN 661469-47-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(phenyl-4-pyridinylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



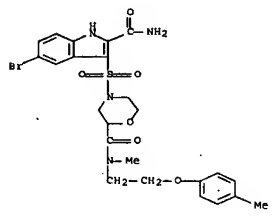
RN 661469-48-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(dicyclopropylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



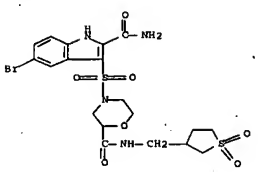
RN 661469-49-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(1,4-dioxan-2-ylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



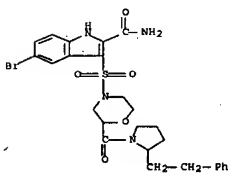
RN 661469-50-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[methyl[2-(4-methylphenoxy)ethyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



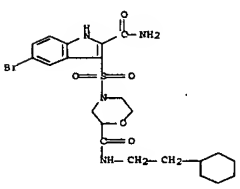
RN 661469-51-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(tetrahydro-1,1-dioxido-3-thienyl)methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-52-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[2-(2-phenylethyl)-1-pyrrolidinyl]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

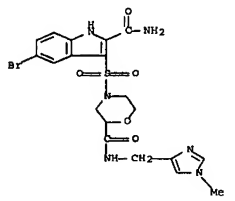


RN 661469-53-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(2-cyclohexylethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

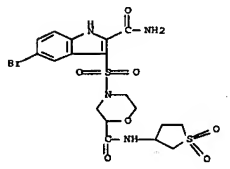


RN 661469-54-1 CAPLUS

CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[[(1-methyl-1H-imidazol-4-yl)methyl]amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-55-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(tetrahydro-1,1-dioxido-3-thienyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-56-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(1-naphthalenylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

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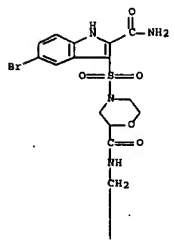

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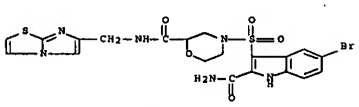
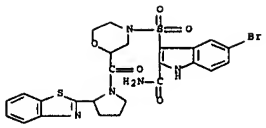
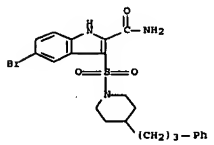
RN 661469-57-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[[(imidazo[2,1-b]thiazol-6-ylmethyl)amino]carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



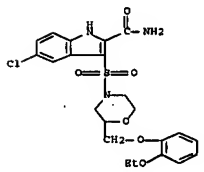
RN 661469-58-5 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[2-[[2-(2-benzothiazolyl)-1-pyrrolidinyl]carbonyl]-4-morpholinyl]sulfonyl]-5-bromo- (CA INDEX NAME)



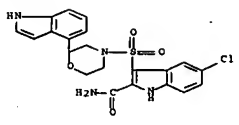
RN 661469-60-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[4-(3-phenylpropyl)-1-piperidinyl]sulfonyl]- (CA INDEX NAME)



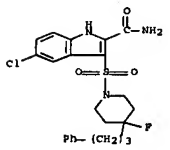
RN 661469-61-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[2-[(2-ethoxyphenoxy)methyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



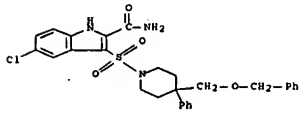
RN 661469-65-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[2-(1H-indol-4-yl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



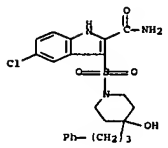
RN 661469-71-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[4-fluoro-4-(3-phenylpropyl)-1-piperidinyl]sulfonyl]- (CA INDEX NAME)



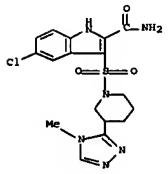
RN 661469-75-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[4-phenyl-4-[(phenylmethoxy)methyl]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)



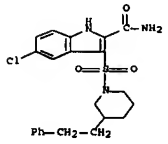
RN 661469-77-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[4-hydroxy-4-(3-phenylpropyl)-1-piperidinyl]sulfonyl]- (CA INDEX NAME)



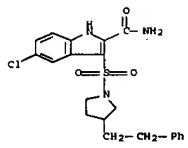
RN 661469-81-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[3-(4-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl]sulfonyl]- (CA INDEX NAME)



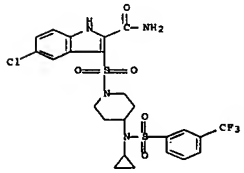
RN 661469-83-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[3-(2-phenylethyl)-1-piperidinyl]sulfonyl]- (CA INDEX NAME)



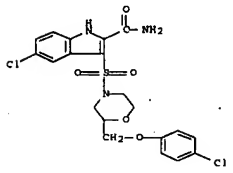
RN 661469-85-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[3-(2-phenylethyl)-1-pyrrolidinyl]sulfonyl]- (CA INDEX NAME)



RN 661469-87-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[4-[cyclopropyl[[3-(trifluoromethyl)phenyl]sulfonyl]amino]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

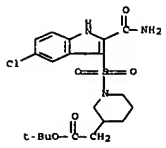


RN 661469-89-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[2-[(4-chlorophenoxy)methyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

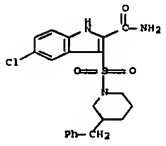


RN 661469-91-6 CAPLUS

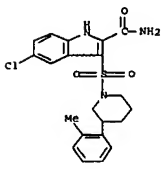
CN 3-Piperidineacetic acid, 1-[[2-(aminocarbonyl)-5-chloro-1H-indol-3-yl]sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 661469-93-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[3-(phenylmethyl)-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

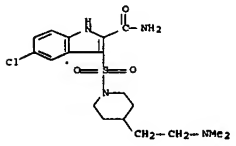


RN 661469-95-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[3-(2-methylphenyl)-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

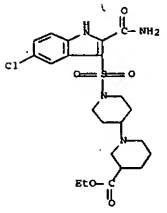


RN 661469-97-2 CAPLUS

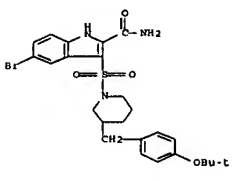
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[4-[2-(dimethylamino)ethyl]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)



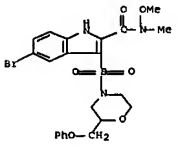
RN 661469-98-3 CAPLUS
CN [1,4'-Bipiperidine]-3-carboxylic acid, 1'-[[2-(aminocarbonyl)-5-chloro-1H-indol-3-yl]sulfonyl]-, ethyl ester (CA INDEX NAME)



RN 661469-99-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[3-[[4-(1,1-dimethylethoxy)phenyl]methyl]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

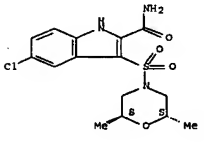


RN 661470-00-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-N-methoxy-N-methyl-3-[[2-(phenoxymethyl)-4-morpholinyl]sulfonyl]- (CA INDEX NAME)

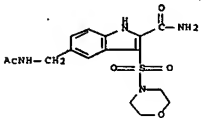


RN 661470-01-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[(2R,6R)-2,6-dimethyl-4-morpholinyl]sulfonyl]-, rel- (CA INDEX NAME)

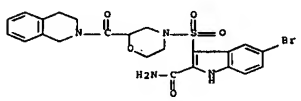
Relative stereochemistry.



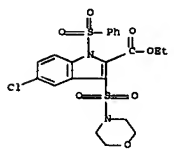
RN 695816-12-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-[(acetylamino)methyl]-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



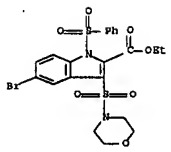
RN 695816-13-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[[2-[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]-4-morpholinyl]sulfonyl]- (CA INDEX NAME)



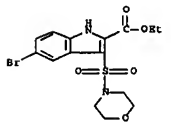
IT 661470-04-8P 661470-07-1P 661470-09-2P
661470-11-7P 661470-45-7P 695816-07-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinazolines and analogs as Akt inhibitors and
indoles as protein kinase inhibitors for use in synergistic combination therapy for treatment of cancer)
RN 661470-04-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-(4-morpholinylsulfonyl)-1-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



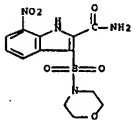
RN 661470-07-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(4-morpholinylsulfonyl)-1-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



RN 661470-08-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-(4-morpholinylsulfonyl)-, ethyl ester (CA INDEX NAME)

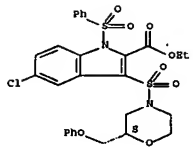


RN 661470-11-7 CAPLUS
CN 1H-Indole-2-carboxamide, 3-(4-morpholinylsulfonyl)-7-nitro- (CA INDEX NAME)

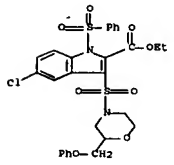


RN 661470-45-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

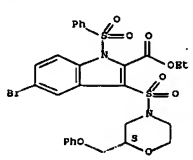


RN 695816-07-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)



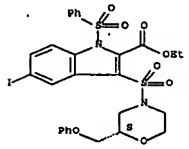
IT 695816-08-1 695816-09-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazolines and analogs as Akt inhibitors and indoles as protein kinase inhibitors for use in synergistic combination therapy for treatment of cancer)
RN 695816-08-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

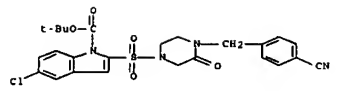


RN 695816-09-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-iodo-3-[[(2S)-2-(phenoxymethyl)-4-morpholinyl]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 28 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:303263 CAPLUS Full-text
DN 141:46748
TI N,N-Dialkylated 4-(4-arylsulfonylpiperazine-1-carbonyl)-benzamidines and 4-((4-arylsulfonyl)-2-oxo-piperazin-1-ylmethyl)-benzamidines as potent factor Xa inhibitors
AU Jia, Zhaozhong J.; Su, Ting; Zuckett, Jingmei F.; Wu, Yanhong; Goldman, Erick A.; Li, Wenhao; Zhang, Penglie; Clizbe, Lane A.; Song, Yonghong; Bauer, Shawn M.; Huang, Wenrong; Woolfrey, John; Sinha, Uma; Arfsten, Ann E.; Hutchaleelaha, Athiwat; Hollenbach, Stanley J.; Lambing, Joseph L.; Scarborough, Robert M.; Zhu, Bing-Yan
CS Millennium Pharmaceuticals, Inc., South San Francisco, CA, 94080, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2073-2078
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 141:46748
AB A class of N,N-dialkylated 4-(4-arylsulfonylpiperazine-1-carbonyl)-benzamidines and 4-((4-arylsulfonyl)-2-oxo-piperazin-1-ylmethyl)- benzamidines has been discovered as potent factor Xa inhibitors with desirable in vitro and in vivo anticoagulant activity, but with low oral bioavailability. The 5-chloroindole and 6-chlorobenzo[b]thiophene groups are optimal as the factor Xa S1 binding elements. The strategy of incorporating a side chain on the piperazine nucleus to enhance binding affinity has been examined
IT 406496-46-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure-activity relationship studies of benzamidine derivs. as potent factor Xa inhibitors)
RN 406496-46-6 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[4-[(4-cyanophenyl)methyl]-3-oxo-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



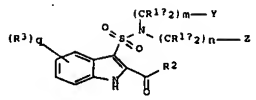
RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 29 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

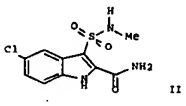
AN 2004:142899 CAPLUS Full-text
DN 140:181323
TI Preparation of indolesulfonamides as tyrosine kinase inhibitors, in particular insulin-like growth factor 1 receptor (IGF-1R) inhibitors
IN Dinsmore, Christopher J.; Beshore, Douglas C.; Bergman, Jeffrey M.; Lindsley, Craig W.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014300	A2	20040219	WO 2003-US24393	20030805
	WO 2004014300	A3	20040422		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2493575	A1	20040219	CA 2003-2493575	20030805
	AU 2003257170	A1	20040225	AU 2003-257170	20030805
	EP 1534268	A2	20050601	EP 2003-784904	20030805
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006504668	T	20060209	JP 2004-527739	20030805
	US 2006128783	A1	20060615	US 2005-523286	20050203
PRAI	US 2002-402482P	P	20020809		
	WO 2003-US24393	W	20030805		

OS CASREACT 140:181323; MARPAT 140:181323
GI

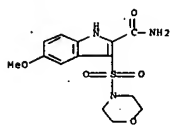


I

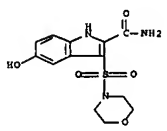


II

AB Title compds. I [wherein R1a, R1b = independently H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl, heterocyclyl; R2 = H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl; R3 = H, halo, (CH2)pOH and derivs., CO2H and derivs., CH:CH2 and derivs., NO2, (CH2)pNH2 and derivs., NHCHO and derivs., NHS(O)OR4, S(O)OR4, S(O)NH2 and derivs., CN, (CH2)pNH(CH2)pH and derivs., etc.; R4 = (un)substituted cyclo/alkyl, aryl, heterocyclyl; m = 0-6; n = 0-6; q = 0-4; p = 0-6; o = 0-2; and their pharmaceutically acceptable salts, hydrates and stereoisomers] were prepared for inhibiting, modulating and/or regulating signal transduction of both receptor-type and non-receptor type tyrosine kinases. For example, I was prepared in 5 steps via substitution of benzenesulfonyl chloride with Et 5-chloro-1H-indole-2-carboxylate, sulfonation with concentrated H2SO4 in DCM, chlorination with oxalyl chloride in the presence of DCM/DMF, substitution with methylamine hydrochloride in the presence of TEA/DCM, and one-pot amidation with NH3/phenylsulfonyl group deprotection in i-PrOH. I inhibited insulin-like growth factor 1 receptor (IGF-1R) or Insulin receptor kinase with an IC50 ≤ 100 μM. Thus, I and their formulations are useful for treating cancer, diabetes, an autoimmune disorder, a hyperproliferative disorder, aging, acromegaly, and Crohn's disease.
IT 660413-14-9P, 5-Methoxy-3-(morpholin-4-ylsulfonyl)-1H-indole-2-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indolesulfonamides as tyrosine kinase inhibitors)
RN 660413-14-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-methoxy-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)



IT 660413-15-0P, 5-Hydroxy-3-(morpholin-4-ylsulfonyl)-1H-indole-2-carboxamide
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indolesulfonamides as tyrosine kinase inhibitors)
RN 660413-15-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-hydroxy-3-(4-morpholinylsulfonyl)- (CA INDEX NAME)

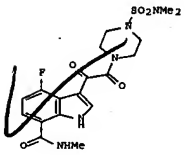


L33 ANSWER 30 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:26211 CAPLUS Full-text
DN 140:59662
TI Preparation of indolyl-, azaindolyl-, and related heterocyclic sulfonylureidopiperazines for treatment of HIV and AIDS.
IN Kadow, John F.; Regueiro-Ren, Alicia; Xue, Qiufen May
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

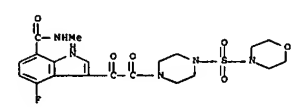
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004000210	A2	20031231	WO 2003-US18708	20030612
	WO 2004000210	A3	20070628		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA				
	US 2004006090	A1	20040108	US 2003-457620	20030609
	US 6900206	B2	20050531		
	AU 2003236512	A1	20040106	AU 2003-236512	20030612
	EP 1575493	A2	20050921	EP 2003-737068	20030612
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-390195P	P	20020620		
	WO 2003-US18708	W	20030612		

OS MARPAT 140:59662
GI



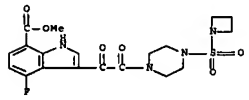
I

AB Q(CO)mNSO2NR13R14 [m = 1, 2; Q = (substituted) (aza)indolyl; N = (substituted) 1,4-piperazinyl; R13, R14 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, Ph, heteroaryl], were prepared Thus, title compound (I) (multistep preparation given) inhibited HIV-1 in HeLa cells with EC50<1 μM.
IT 639519-42-9P 639519-46-3P 639519-47-4P
639519-50-9P 639519-51-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolyl-, azaindolyl-, and related heterocyclic sulfonylureidopiperazines for treatment of HIV and AIDS)
RN 639519-42-9 CAPLUS
CN 1H-Indole-7-carboxamide, 4-fluoro-N-methyl-3-[[4-(4-morpholinylsulfonyl)-1-piperazinyl]oxoacetyl]- (9CI) (CA INDEX NAME)

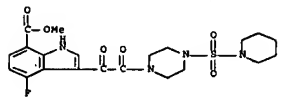


RN 639519-46-3 CAPLUS

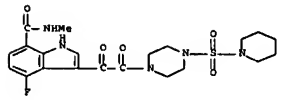
CN 1H-Indole-7-carboxylic acid, 3-[[4-(1-azetidinylsulfonyl)-1-piperazinyl]oxoacetyl]-4-fluoro-, methyl ester (9CI) (CA INDEX NAME)



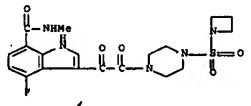
RN 639519-47-4 CAPLUS
CN 1H-Indole-7-carboxylic acid, 4-fluoro-3-[oxo[4-(1-piperidinylsulfonyl)-1-piperazinyl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)



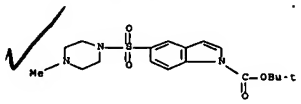
RN 639519-50-9 CAPLUS
CN 1H-Indole-7-carboxamide, 4-fluoro-N-methyl-3-[oxo[4-(1-piperidinylsulfonyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



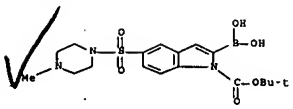
RN 639519-51-0 CAPLUS
CN 1H-Indole-7-carboxamide, 3-[[4-(1-azetidinylsulfonyl)-1-piperazinyl]oxoacetyl]-4-fluoro-N-methyl- (9CI) (CA INDEX NAME)



L17 ANSWER 31 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:1001965 CAPLUS Full-text
DN 140:314401
TI Optimization of the indolyl quinolinone class of KDR (VEGFR-2) kinase inhibitors effects of 5-amido- and 5-sulphonamido-indolyl groups on pharmacokinetics and hERG binding
AU Fraley, Mark E.; Arrington, Kenneth L.; Buser, Carolyn A.; Ciecko, Patrice A.; Coll, Kathleen E.; Fernandes, Christine; Hartman, George D.; Hoffman, William F.; Lynch, Joseph J.; McFall, Rosemary C.; Rickert, Keith; Singh, Romi; Smith, Sheri; Thomas, Kenneth A.; Wong, Bradley K.
CS Departments of Medicinal Chemistry, Cancer Research, Pharmacology, and Drug Metabolism, Merck Research Laboratories, West Point, PA, 19486, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(2), 351-355
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 140:314401
AB Modifications to the basic side-chain of early lead structures of the indolyl quinolinone class of KDR kinase inhibitors resulted in improved pharmacokinetic and ancillary profiles. Specifically, compds. bearing 5-amido- and 5-sulfonamido-indolyl substituents exhibited lower plasma clearance and weaker binding affinity for the IKr potassium channel hERG.
IT 519148-73-3P 519148-74-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pharmacokinetics and hERG binding activity of optimized indolyl quinolinone KDR kinase inhibitors)
RN 519148-73-3 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[(4-methyl-1-piperazinyl)sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 519148-74-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2-borono-5-[(4-methyl-1-piperazinyl)sulfonyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

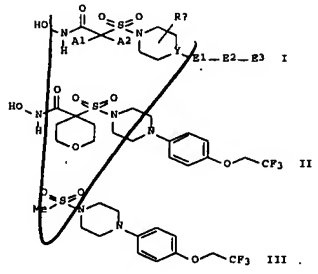


RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 32 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:875282 CAPLUS Full-text
DN 139:364961
TI Preparation of piperidinyl-and piperazinyl-sulfonylmethyl hydroxamic acids and their use as protease inhibitors
IN Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Brown, David L.; Carroll, Jeffery N.; Chen, Yiyuan; Fobian, Yvette; Freskos, John N.; Gasiecki, Alan F.; Grapperhaus, Margaret; Heintz, Robert M.; Hockerman, Susan L.; Kassab, Darren J.; Khanna, Ish Kumar; Kolodziej, Stephen A.; Massa, Mark; Mcdonald, Joseph; Mischke, Brent V.; Mischke, Deborah A.; Mullins, Patrick B.; Nagy, Mark; Norton, Monica B.; Rico, Joseph G.; Schmidt, Michelle A.; Stehle, Nathan W.; Talley, John J.; Vernier, William F.; Villamill, Clara I.; Wang, Lijuan Jane; Wynn, Thomas A.
PA Pharmacia Corporation, USA; et al.
SO PCT Int. Appl., 819 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

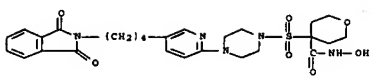
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003091247	A2	20031106	WO 2003-US13123	20030425
	WO 2003091247	A3	20040115		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2483314	A1	20031106	CA 2003-2483314	20030425
	AU 2003221786	A1	20031110	AU 2003-221786	20030425
	EP 1501827	A2	20050202	EP 2003-718529	20030425
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003009671	A	20050503	BR 2003-9671	20030425
	JP 2005537228	T	20051208	JP 2003-587805	20030425
	MX 2004PA10555	A	20050217	MX 2004-PA10555	20041022
PRAI	US 2002-375598P	P	20020425		
	US 2002-380713P	P	20020515		
	US 2002-392021P	P	20020627		

WO 2003-US13123 W 20030425
OS MARPAT 139:364961
GI



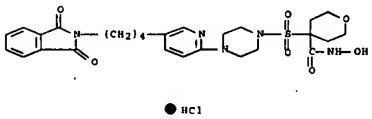
AB Title compds. I [A1 and A2 together with the C to which they are bonded join to form (un)substituted-heterocyclyl or -carbocyclyl, or A1 and A2 are independently selected from H, alkyl, alkoxyalkyl, alkenyl, alkynyl, etc.; Rx = H, halo, CN, OH, NO2, alkyl, alkenyl, alkoxy, alkoxyalkyl, heterocyclyl, etc.; Y = N, CH, or CRx; E1 = (un)substituted heteroaryl; E2 = O, CO, C(O)O, OC(O), bond, S, etc.; E3 = halo, CN, (un)substituted- alkyl, -alkenyl, -alkynyl, -heterocyclyl, heterocyclylalkyl, etc.] and their pharmaceutically acceptable salts are prepared and disclosed as protease inhibitors. Thus, e.g., II·HCl was prepared with piperazine ring formation occurring via cyclization of 2,2,2-trifluoroethoxyaniline (preparation given) with N,N-di(2-chloroethyl)methylsulfonamide (preparation given) to provide piperazinyl intermediate III which was converted in five addnl. steps to the desired product. This invention is directed generally to proteinase (also known as 'protease') inhibitors, and more particularly, inhibitors of matrix metalloproteinase (also known as 'matrix metalloprotease' or 'MMP') activity and/or aggrecanase activity. In assays to determine inhibition consts. (Ki) against MMP-1, MMP-2, MMP-9, MMP-13 and MMP-14, I possessed values ranging from 0.13->10,000. This invention also is directed to compns. of such hydroxamic acids, intermediates for the syntheses of such hydroxamic acids, methods for making such hydroxamic acids, and methods for treating conditions (particularly pathol. conditions) associated with MMP activity and/or aggrecanase activity.
IT 622393-44-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compds.; preparation of piperidinyl-and piperazinyl-sulfonylmethyl hydroxamic acids and their use as matrix metalloproteinase inhibitors)
RN 622393-44-6 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[5-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butyl]-2-pyridinyl]-1-piperazinyl]sulfonyl]tetrahydro-N-hydroxy- (CA

INDEX NAME)



IT 622382-00-1P 622382-44-7P 622383-46-9P
622388-47-0P 622388-52-7P 622388-53-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinyl-and piperazinyl-sulfonylmethyl hydroxamic acids and their use as matrix metalloproteinase inhibitors)

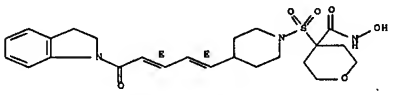
RN 622382-88-1 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[5-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butyl]-2-pyridinyl]-1-piperazinyl]sulfonyl]tetrahydro-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

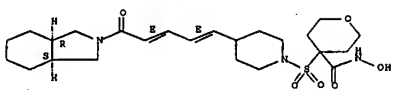
RN 622388-44-7 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[(1E,3E)-5-(2,3-dihydro-1H-indol-1-yl)-5-oxo-1,3-pentadienyl]-1-piperidinyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



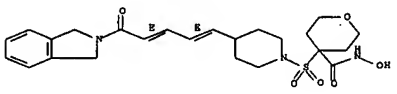
RN 622388-46-9 CAPLUS
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[(1E,3E)-5-[(3aR,7aS)-octahydro-2H-isoindol-2-yl]-5-oxo-1,3-pentadienyl]-1-piperidinyl]sulfonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

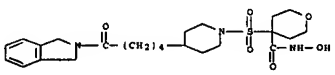


RN 622388-47-0 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[(1E,3E)-5-(1,3-dihydro-2H-isoindol-2-yl)-5-oxo-1,3-pentadienyl]-1-piperidinyl]sulfonyl]tetrahydro-N-hydroxy- (9CI) (CA INDEX NAME)

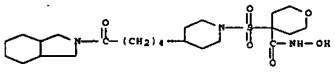
Double bond geometry as shown.



RN 622388-52-7 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-[5-(1,3-dihydro-2H-isoindol-2-yl)-5-oxopentyl]-1-piperidinyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)

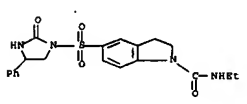


RN 622388-53-8 CAPLUS
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[5-(octahydro-2H-isoindol-2-yl)-5-oxopentyl]-1-piperidinyl]sulfonyl]- (CA INDEX NAME)

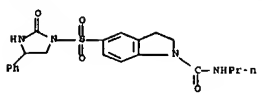


L11 ANSWER 33 OF 80 CAPLUS COPYRIGHT 2007-ACS on STN
AN 2003:766640 CAPLUS Full-text
DN 140:209908
TI The 3D-QSAR study of antitumor arylsulfonylimidazolidinone derivatives by CoMFA and CoMSIA
AU Park Choo, Hea-Young; Choi, Suyoung; Jung, Sang-Hun; Koh, Hun Yeong; Pae, Ae Nim
CS School of Pharmacy, Ewha Womans University, Seoul, 120-750, S. Korea
SO Bioorganic & Medicinal Chemistry (2003), 11(21), 4585-4589
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
AB Three-dimensional quant. structure-activity relation (3D-QSAR) studies for a series of arylsulfonylimidazolidinone derivs. having antitumor activity were conducted using comparative mol. field anal. (CoMFA) and comparative mol. similarity indexes anal. (CoMSIA). The in vitro cytotoxicity against human lung carcinoma (A549) exhibited a strong correlation with steric and electrostatic factors of the mols. Four different types of models have been built using CoMFA and CoMSIA method with AM1 charge or Gasteiger-Huckel charge. By comparison of the statistical results of these models, model I obtained by CoMFA study with AM1 showed the best predictability of the antitumor activities based on the cross-validated value (0.642), conventional r2 (0.981), standard error of estimate (0.106) and PRESS value (0.170).
IT 203860-69-9 203860-70-2 203860-71-3
203860-73-5 203860-74-6 203860-75-7
203860-76-8 203860-84-8 203860-85-9
203860-87-1 203860-89-3 203860-90-6
203860-91-7 203860-92-8 203860-93-9
203860-94-0 203860-95-1 203860-96-2
203860-98-4 203860-99-5 203861-00-1
203861-01-2 203861-02-3 203861-03-4
203861-04-5 210691-35-3
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(3D-QSAR study of antitumor arylsulfonylimidazolidinone derivs. by CoMFA and CoMSIA)

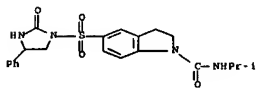
RN 203860-69-9 CAPLUS
CN 1H-Indole-1-carboxamide, N-ethyl-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



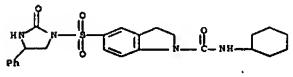
RN 203860-70-2 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-N-propyl- (9CI) (CA INDEX NAME)



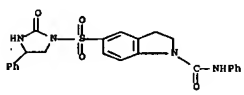
RN 203860-71-3 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-N-(1-methylethyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



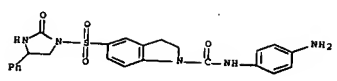
RN 203860-73-5 CAPLUS
CN 1H-Indole-1-carboxamide, N-cyclohexyl-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



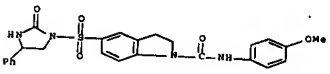
RN 203860-74-6 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-N-phenyl- (9CI) (CA INDEX NAME)



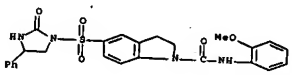
RN 203860-75-7 CAPLUS
CN 1H-Indole-1-carboxamide, N-(4-aminophenyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



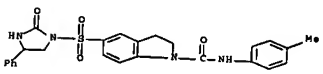
RN 203860-76-8 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-N-(4-methoxyphenyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



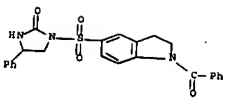
RN 203860-84-8 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-N-(2-methoxyphenyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



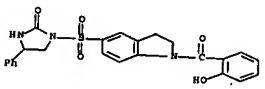
RN 203860-85-9 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-N-(4-methylphenyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



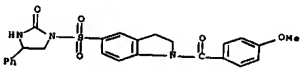
RN 203860-87-1 CAPLUS
CN 1H-Indole, 1-benzoyl-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



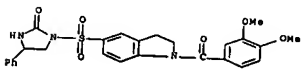
RN 203860-89-3 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(2-hydroxybenzoyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



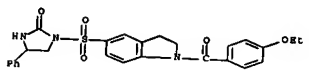
RN 203860-90-6 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(4-methoxybenzoyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



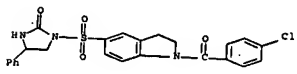
RN 203860-91-7 CAPLUS
CN 1H-Indole, 1-(3,4-dimethoxybenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



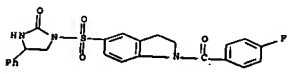
RN 203860-92-8 CAPLUS
CN 1H-Indole, 1-(4-ethoxybenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



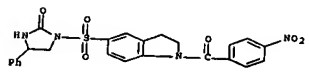
RN 203860-93-9 CAPLUS
CN 1H-Indole, 1-(4-chlorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



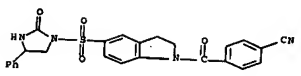
RN 203860-94-0 CAPLUS
CN 1H-Indole, 1-(4-fluorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



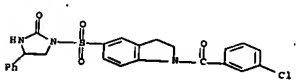
RN 203860-95-1 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(4-nitrobenzoyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



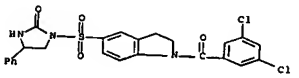
RN 203860-96-2 CAPLUS
CN 1H-Indole, 1-(4-cyanobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



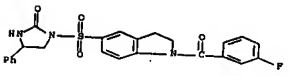
RN 203860-98-4 CAPLUS
CN 1H-Indole, 1-(3-chlorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



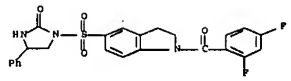
RN 203860-99-5 CAPLUS
CN 1H-Indole, 1-(3,5-dichlorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



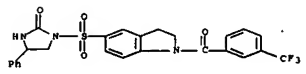
RN 203861-00-1 CAPLUS
CN 1H-Indole, 1-(3-fluorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



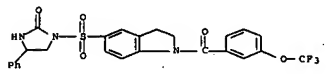
RN 203861-01-2 CAPLUS
CN 1H-Indole, 1-(2,4-difluorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



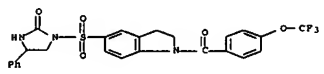
RN 203861-02-3 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1-[3-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



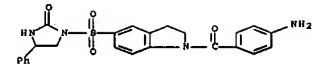
RN 203861-03-4 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1-[3-(trifluoromethoxy)benzoyl]- (9CI) (CA INDEX NAME)



RN 203861-04-5 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1-[4-(trifluoromethoxy)benzoyl]- (9CI) (CA INDEX NAME)



RN 210691-35-3 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)

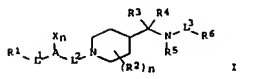


RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

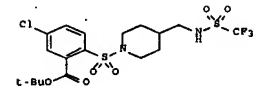
L11 ANSWER 34 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:396851 CAPLUS Full-text
DN 138:401607
TI Preparation of piperidino cannabinoid receptor ligands
IN Friary, Richard J.; Kozlowski, Joseph A.; Shankar, Bandarpalle B.; Wong, Michael K. C.; Zhou, Guowei; Lavey, Brian J.; Shih, Neng-Yang; Tong, Ling; Chen, Lei; Shu, Youheng
PA Schering Corporation, USA
SO PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003042174	A1	20030522	WO 2002-US36185	20021112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2466440	A1	20030522	CA 2002-2466440	20021112
AU 2002346366	A1	20030526	AU 2002-346366	20021112
US 2004010013	A1	20040115	US 2002-292778	20021112
US 7071213	B2	20060704		
EP 1444203	A1	20040811	EP 2002-784433	20021112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014164	A	20040928	BR 2002-14164	20021112
HU 2004001924	A2	20050128	HU 2004-1924	20021112
CN 1585749	A	20050223	CN 2002-822675	20021112
JP 2005509032	T	20050407	JP 2003-544011	20021112
NZ 532291	A	20051125	NZ 2002-532291	20021112
ZA 2004003685	A	20050523	ZA 2004-3685	20040513
IN 2004CN01055	A	20060203	IN 2004-CN1055	20040513
MX 2004PA04674	A	20040812	MX 2004-PA4674	20040514
NO 2004002435	A	20040611	NO 2004-2435	20040611
US 2005282861	A1	20051222	US 2005-197979	20050805
PRAI US 2001-332911P	P	20011114		
CH 2001-2103	A	20011114		
US 2002-292778	A3	20021112		
WO 2002-US36185	W	20021112		

OS MARPAT 138:401607
GI

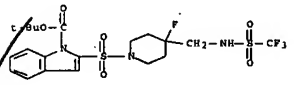


I



II

AB Title compds. I [L1 = bond, CH2, CO, CO2, SO2, etc.; L2 = CH2, CH(alkyl), C(alkyl)2, etc.; L3 = bond, CO, SO2; R1 = H, halo, alkyl, haloalkyl, cycloalkyl, etc.; R2 = H, OH, halo, CF3, alkoxy, etc.; R3-4 = H, alkyl, taken together form a carbonyl group; R5 = H, alkyl; R6 = H, alkyl, haloalkyl, cycloalkyl, amino, etc.; n = 0-3] are prepared For instance, 4-(trifluoroacetamidomethyl)piperidine•TFA salt is reacted with p-chlorobenzenesulfonyl chloride (CH2Cl2, Et3N), the resulting sulfonamide functionalized ortho to the sulfonyl group (THF, n-BuLi, Boc2O), the trifluoroacetyl group removed (MeOH, K2CO3) and the amine refunctionalized with trifluoromethanesulfonic anhydride to give II. Compds. of the invention are found to exhibit cannabinoid CB2 receptor binding activity in the range of 0.1 to 1000 nM and possess anti-inflammatory and immunomodulatory activity.
IT 530115-62-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperidino cannabinoid receptor ligands for treatment of inflammatory disorders)
RN 530115-62-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2-[[4-fluoro-4-[[[(trifluoromethyl)sulfonyl]amino]methyl]-1-piperidinyl]sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



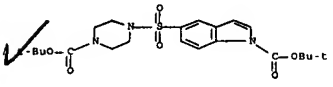
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 35 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

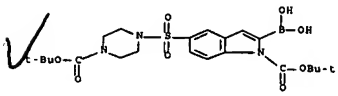
AN 2003:356182 CAPLUS Full-text
DN 138:348759
TI Indolylquinolinone derivative tyrosine kinase inhibitors, preparation thereof, and therapeutic use
IN Arrington, Kenneth L.; Fraley, Mark E.; Hartman, George D.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003037252	A2	20030508	WO 2002-US34379	20021025
WO 2003037252	A3	20040219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002361577	A1	20030512	AU 2002-361577	20021025
US 2004220216	A1	20041104	US 2004-489647	20040315
US 7169788	B2	20070130		
PRAI US 2001-339075P	P	20011030		
WO 2002-US34379	W	20021025		

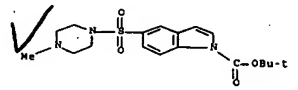
OS MARPAT 138:348759
AB The invention provides indolylquinolinone compds. which inhibit, regulate, and/or modulate tyrosine kinase signal transduction, compns. which contain these compds., and methods of using them to treat tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age-related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals. Preparation of selected compds. is described.
IT 503045-76-9P 503045-77-0P 519148-72-3P
519148-74-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(indolylquinolinone derivative tyrosine kinase inhibitors, preparation, and therapeutic use)
RN 503045-76-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



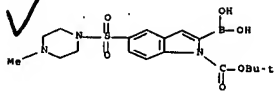
RN 503045-77-0 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2-borono-5-[[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]sulfonyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



RN 519148-73-3 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[(4-methyl-1-piperazinyl)sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 519148-74-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2-borono-5-[(4-methyl-1-piperazinyl)sulfonyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

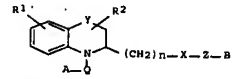


L21 ANSWER 36 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:301077 CAPLUS Full-text
DN 138:304309
TI Preparation of 2-(heterocyclylalkyl)-1,2,3,4-tetrahydroquinolines and analogs as 5-HT1A receptor inhibitors for treatment of urinary tract disorders
IN Leonardi, Amedeo; Motta, Gianni; Riva, Carlo; Testa, Rodolfo; Corbett, Jeff W.
PA Recordati S.A., Switz.; Recordati Industria Chimica e Farmaceutica S.p.A.
SO PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DT Patent

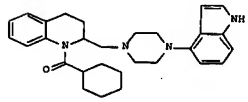
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003031436	A1	20030417	WO 2002-EP11282	20021007
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	IT 2001MI2060	A1	20030407	IT 2001-MI2060	20011005
	CA 2458456	A1	20030417	CA 2002-2458456	20021007
	AU 2002346979	A1	20030422	AU 2002-346979	20021007
	US 2003162777	A1	20030828	US 2002-266104	20021007
	US 2003181446	A1	20030925	US 2002-266088	20021007
	EP 1432701	A1	20040630	EP 2002-782863	20021007
	EP 1432701	B1	20051221		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002013067	A	20040928	BR 2002-13067	20021007
	HU 200401598	A2	20041228	HU 2004-1598	20021007
	CN 1564820	A	20050112	CN 2002-819728	20021007
	JP 2005508952	T	20050407	JP 2003-534419	20021007
	NZ 532511	A	20051028	NZ 2002-532511	20021007
	AT 313540	T	20060115	AT 2002-782863	20021007
	ES 2253568	T3	20060601	ES 2002-2782863	20021007
	AP 1705	A	20070228	AP 2004-2997	20021007
	IN 2004KN00392	A	20060414	IN 2004-KN392	20040324
	MX 2004PA02962	A	20050620	MX 2004-PA2962	20040330
	NO 2004001833	A	20040705	NO 2004-1833	20040504
	ZA 2004003356	A	20041108	ZA 2004-3356	20040504
	HK 1067362	A1	20060804	HK 2004-107812	20041011
PRAI	IT 2001-MI2060	A	20011005		
	US 2002-350680P	P	20020122		
	WO 2002-EP11282	W	20021007		

OS MARPAT 138:304309
GI



I

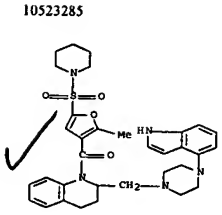


II

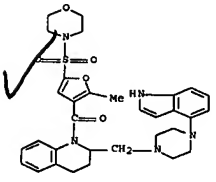
AB Title compds. I (wherein R1 = H, halo, OH, (halo)alkyl, (halo)alkoxy, NO2, NR3R4, or (un)substituted Ph or heterocyclyl; R2 = 1 or 2 substituents selected from H or alkyl; R3 and R4 = independently H, alkyl, acyl, or alkoxycarbonyl; Y = a bond or CH2; Q = CO, CS, or SO2; A = (un)substituted (cyclo)alkyl, (cyclo)alkanyl, aryl, heterocyclyl, (di)alkylamino, arylamino, or arylalkylamino; n = 1 or 2; X = (un)substituted piperidinyl or piperazinyl; Z = a bond, O, S, CH2, CH2CH2, CO, CHOH, OCH2, NH, NHCO, or NHCONHCH2; or ZB = 2,3-dihydrobenzo[1,4]dioxin-2-yl; B = (un)substituted monocyclic or bicyclic (hetero)aryl; with provisos; and enantiomers, diastereomers, N-oxides, crystalline forms, hydrates, solvates, or pharmaceutically acceptable salts thereof) were prepared as serotonergic receptor antagonists. For example, coupling of 2-chloromethylquinoline with 1-(4-indolyl)piperazine in the presence of DIPEA in DMF gave 1-(4-indolyl)-4-(quinolin-2-ylmethyl)piperazine (70%), which was hydrogenated using PtO2/AcOH/H2 to provide the tetrahydroquinoline derivative (76.5%). Amidation with cyclohexanecarbonyl chloride in the presence of TEA in CH2Cl2 afforded II (81%). The (+)- and (-)-enantiomers were separated via chiral column chromatog.. II inhibited the human 5HT1A-serotonergic receptor in transfected HeLa cells with Ki of 3.3 nM, while (+)-II showed a binding affinity with Ki of 0.2 nM. Similarly, (+)-II proved more effective than II in suppressing the frequency of rhythmic bladder-voiding contractions in rats with ED50 values of 24 μg/kg and 64 μg/kg, resp. In addition, (+)-II exhibited significant and long-lasting post-synaptic 5-HT1A-receptor antagonist activity by suppressing forepaw treading induced by 8-OH-DPAT in rats with 100% inhibition after 0.5 h and 98% inhibition after 4 h of administration of a dose of 1 mg/kg p.o. By contrast, (-)-II showed only 19% inhibition after 0.5 h and 5% inhibition after 4 h of administration of a dose of 1 mg/kg p.o.

IT 511232-82-9P, 1-(4-Indolyl)-4-[1-(2-methyl-5-piperidinosulfonyl-3-furoyl)-1,2,3,4-tetrahydroquinolin-2-ylmethyl]piperazine
511232-83-0P, 1-(4-Indolyl)-4-[1-(2-methyl-5-morpholinosulfonyl-3-furoyl)-1,2,3,4-tetrahydroquinolin-2-ylmethyl]piperazine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-HT1A antagonist; preparation of (aminoalkyl)- and (heterocyclylalkyl)tetrahydroquinoline 5-HT1A antagonists from haloalkylquinolines and amines or heterocycles for treatment of urinary tract and CNS disorders)

RN 511232-82-9 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-2-[[4-(1H-indol-4-yl)-1-piperazinyl]methyl]-1-[[2-methyl-5-(1-piperidinylsulfonyl)-3-furanyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 511232-83-0 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-2-[[4-(1H-indol-4-yl)-1-piperazinyl]methyl]-1-[[2-methyl-5-(4-morpholinylsulfonyl)-3-furanyl]carbonyl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 37 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN.
AN 2003:242336 CAPLUS Full-text
DN 138:271678
TI Preparation of substituted 2-(indazolyl)indoles as tyrosine kinase inhibitors
IN Arrington, Kenneth L.; Fraley, Mark E.; Hanney, Barbara; Kim, Yuntae; Spencer, Keith L.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 15

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003024969	A1	20030327	WO 2002-US28779	20020910
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

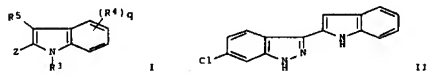
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU	2002326865	A1	20030401	AU 2002-326865	20020910
BR	2002012433	A	20070410	BR 2002-12433	20020910
US	2005070546	A1	20050331	US 2004-489594	20040312
US	7101884	B2	20060905		
PRAI US	2001-322075P	P	20010914		
US	2001-950307	A	20010910		
US	2002-235572	A	20020906		
WO	2002-US28779	W	20020910		

OS MARPAT 138:271678

GI

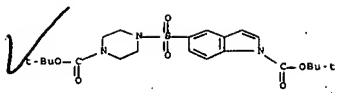


AB Title compds. I [Z = indazolyl, etc.; q = 1-3; R3 = H, (CO)O0-1-alkyl, aryl, etc.; R4 = (CO)O-100-1-alk(en/yn)yl, (CO)O-100-1-aryl, COOH, halo, etc.; R5 = H, (CO)O-100-1-alk(en/yn)yl, (CO)O-100-1-aryl, COOH, halo, OH, etc.] are prepared For instance, 6-chloroindazole (preparation given) is converted to the corresponding 3-iodo derivative (EtOH, Ag2SO4, I2), coupled to 1-(tert-butoxycarbonyl)indole-2-boronic acid (preparation given) (dioxane, Pd(PPh3)4, LiCl, Na2CO3, 80°) and the resulting coupled product deprotected (CH2Cl2, TFA, Me2S). to afford II. I inhibit, regulate and/or modulate tyrosine kinase signal transduction and are useful for the treatment of angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases.

IT 503045-76-9P, tert-Butyl 5-[[4-(tert-butoxycarbonyl)piperazin-1-yl]sulfonyl]-1H-indole-1-carboxylate 503045-77-0P, [1-(tert-Butoxycarbonyl)-5-[[4-(tert-butoxycarbonyl)piperazin-1-yl]sulfonyl]-1H-indol-2-yl]boronic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted 2-(indazolyl)indoles as tyrosine kinase inhibitors)

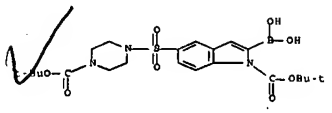
RN 503045-76-9 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-[[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 503045-77-0 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2-borono-5-[[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]sulfonyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:22651 CAPLUS Full-text

DN 138:55878

TI Preparation of bispiperidines as antibacterial agents and inhibitors of phosphopantetheine adenylyl transferase.

IN Lampe, Thomas; Ehlert, Kerstin; Freiberg, Christoph; Schiffer, Guido

PA Bayer Aktiengesellschaft, Germany

SO PCT Int. Appl., 86 pp.
CODEN: PIXXD2

DT Patent

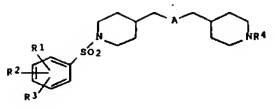
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003002534	A1	20030109	WO 2002-EP6640	20020617
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10138234	A1	20030109	DE 2001-10138234	20010803
	AU 2002314172	A1	20030303	AU 2002-314172	20020617
PRAI	DE 2001-10131134	A	20010628		
	DE 2001-10138234	A	20010803		
	WO 2002-EP6640	W	20020617		

OS MARPAT 138:55878

GI

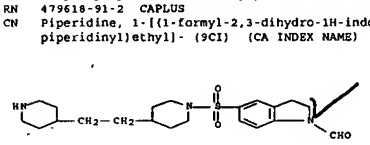


AB Use of title compds. [I; A = O, (CH2)n; n = 0-2; R1-R3 = H, halo, alkyl, cycloalkyl, alkoxy, alkoxycarbonyl, etc.; or R1R2 = C6 aryl, 5-8 membered heterocyclyl; R3 = H, halo, alkyl, cycloalkyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, amino, etc.; R4 = H, alkyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, etc.], for treatment of bacterial infection is claimed. I are useful for the treatment of diseases caused by bacteria requiring phosphopantetheine adenylyl transferase (CoaD) enzyme for CoA synthesis. Tested I (general preparation given) inhibited CoaD activity with IC50 = 0.65-12.5 μM, and showed min. inhibitory concns. of <0.2 μM to 100 μM against B. subtilis Al 796.

IT 479618-91-2P 479619-07-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bispiperidines as antibacterial agents and inhibitors of phosphopantetheine adenylyl transferase)

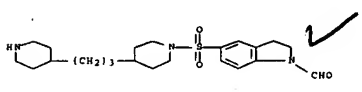
RN 479618-91-2 CAPLUS

CN Piperidine, 1-[(1-formyl-2,3-dihydro-1H-indol-5-yl)sulfonyl]-4-[2-(4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 479619-07-3 CAPLUS

CN Piperidine, 1-[(1-formyl-2,3-dihydro-1H-indol-5-yl)sulfonyl]-4-[3-(4-piperidinyl)propyl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 39 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:689350 CAPLUS Full-text

DN 138:265122

TI Recognition of the importance of imidazolidinone motif for cytotoxicity of 4-phenyl-1-arylsulfonylimidazolidinones using thiadiazolidine-1,1-dioxide analogs

AU Kim, Il-Whan; Jung, Sang-Hun

CS College of Pharmacy, Chungnam National University, Daejeon, 305-764, S. Korea

SO Archives of Pharmacal Research (2002), 25(4), 421-427
CODEN: APHRDQ, ISSN: 0253-6269

PB Pharmaceutical Society of Korea

DT Journal

LA English

OS CASREACT 138:265122

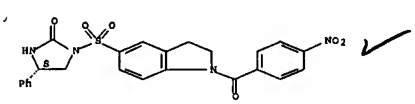
AB For probing the importance of planarity of imidazolidinone motif of 4-phenyl-1-(N-acylindoline-5-sulfonyl)imidazolidinones 1 for their cytotoxicity, 4-phenyl-1-(N-acylindoline-5-sulfonyl)[1,2,5]thiadiazolidine- 1,1-dioxides 2 were prepared and their cytotoxicity were measured against human lung carcinoma (A549), human colon carcinoma (COLO205), human ovarian cancer (SK-OV-3), human leukemic cancer (K562), and murine colon adenocarcinoma (Colon26) cell lines in vitro. Although only carbonyl moiety of imidazolidinone ring was replaced with sulfonyl group, compds. 2 do not show any activity against all five cancer cell lines unlike 1. Therefore the planarity of imidazolidinone ring of 1 should be an important factor for their cytotoxic activity.

IT 203861-20-5P 503439-81-4P 503439-82-5P 503533-19-3P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(imidazolidinone motif impact on arylsulfonylimidazolidinones cytotoxicity using thiadiazolidine dioxide analogs)

RN 203861-20-5 CAPLUS

CN 1H-Indole, 2,3-dihydro-1-(4-nitrobenzoyl)-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

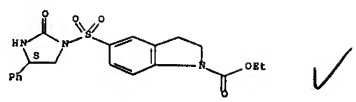
Absolute stereochemistry. Rotation (+).



RN 503439-81-4 CAPLUS

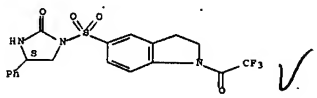
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



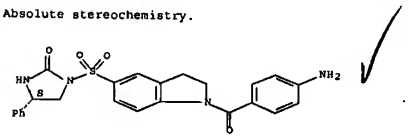
RN 503439-82-5 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-1-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 503539-19-3 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

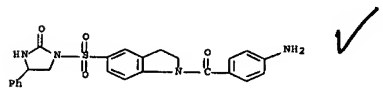
Absolute stereochemistry.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 40 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:581540 CAPLUS Full-text
DN 138:231346
TI A novel stereo-selective sulfonylurea, 1-[1-(4-aminobenzoyl)-2,3-dihydro-1H-indol-6-sulfonyl]-4-phenyl-imidazolidin-2-one, has antitumor efficacy in in vitro and in vivo tumor models
AU Lee, Chang Woo; Hong, Dong Ho; Han, Sang Bae; Jung, Sang-Hun; Kim, Hyung Chin; Fine, Robert L.; Lee, Sang-Han; Kim, Hwan Mook
CS Biopotency Evaluation Laboratory, Korea Research Institute of Bioscience and Biotechnology (KRIBB), Taejon, 305-333, S. Korea
SO Biochemical Pharmacology (2002), 64(3), 473-480
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier Science Inc.
DT Journal

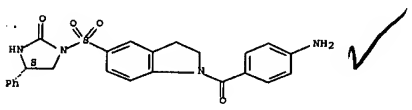
LA English
AB The antitumor activities of novel 1-[1-(4-aminobenzoyl)-2,3-dihydro-1H-indol-6-sulfonyl]-4-phenyl-imidazolidin-2-ones were studied to determine the potential of these compds. as antitumor candidates. The agents studied were: DW2143 (1-[1-(4-aminobenzoyl)-2,3-dihydro-1H-indol-6-sulfonyl]-4-phenyl-imidazolidin-2-one), a racemic mixture, and DW2282 [(4S)-1-[1-(4-aminobenzoyl)-2,3-dihydro-1H-indol-6-sulfonyl]-4-phenyl-imidazolidin-2-one], an S-isomer. DW2143 and DW2282 suppressed the in vitro growth of tumor cells at lower concns. than doxorubicin, but tumor specificity was not observed between the compds. These compds. when administered orally were not active in syngeneic models of murine Colon 26 adenocarcinoma and L1210 leukemia. However, DW2143 suppressed the growth of SW620 (human colon cancer) and NCI-H23 (human lung cancer) cells in nude mice, inhibiting tumor growth by 87 and 67%, resp. DW2282 was a more potent inhibitor of SW620 tumor cell growth in nude mice and was also lower in toxicity than DW2143. Moreover, DW2282 did not produce a series of toxic symptoms caused by the aniline metabolites of sulfonylureas, including hypoglycemia. These results suggest that DW2282, an S-isomer, could be a novel antitumor candidate with higher specificity and lower toxicity than other orally active sulfonylureas.
IT 203860-97-3, DW2143 203861-05-6, DW2282
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel stereo-selective sulfonylurea compds., DW2143 and DW2282 has antitumor efficacy in in vitro and in vivo tumor models)
RN 203860-97-3 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 203861-05-6 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

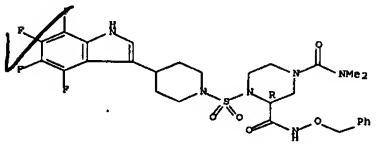
L11 ANSWER 41 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:312012 CAPLUS Full-text
DN 136:340996
TI Preparation of sulfamides as metalloprotease inhibitors
IN Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhano, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray
PA Syntex (U.S.A.) LLC, USA; Agouron Pharmaceuticals, Inc.
SO U.S., 47 pp., Cont.-in-part of U.S. 6,143,744.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6376506	B1	20020423	US 1999-469677	19991222
	CA 2278694	A1	19980730	CA 1998-2278694	19980114
	CA 2278694	C	20060926		
	AU 9866140	A	19980818	AU 1998-66140	19980114
	AU 730127	B2	20010222		
	EP 958287	A1	19991124	EP 1998-907943	19980114
	EP 958287	B1	20020911		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9807508	A	20000321	BR 1998-7508	19980114
	NZ 336625	A	20010427	NZ 1998-336625	19980114
	HU 2000000941	A2	20010428	HU 2000-941	19980114
	HU 200000941	A3	20020628		
	JP 2001523222	T	20011120	JP 1998-531537	19980114
	JP 3563411	B2	20040908		
	AT 223909	T	20020915	AT 1998-907943	19980114
	ZA 9800376	A	19980723	ZA 1998-376	19980116
	US 5998412	A	19991207	US 1998-9951	19980121
	NO 9903587	A	19990922	NO 1999-3587	19990722
	NO 313635	B1	20021104		
	MX 9906822	A	20000131	MX 1999-6822	19990722
	US 6130220	A	20001010	US 1999-369677	19990805
	US 6143744	A	20001107	US 1999-369501	19990805
PRAI	US 1997-36714P	P	19970123		
	US 1997-62209P	P	19971016		
	US 1998-9951	A3	19980121		
	US 1999-369501	A2	19990805		
	WO 1998-EP180	W	19980114		

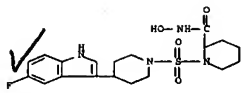
OS MARPAT 136:340996
AB Sulfamides RCOCR1R2NR3SO2NR4R5 [R = OH, NHOH or N/O-alkyl or -aryl derivs.; R1, R2, R3 = H, alkyl, alkenyl, haloalkyl, cycloalkyl, cycloalkylalkyl, (hetero)aryl, acylalkyl, etc.; R1R2C may be a (hetero)carbocycle or R3 together with R1 or R2 form a heterocycloamino group; R4, R5 = H, alkyl, heteroalkyl, cycloalkyl, cycloalkylalkyl, aryl, (hetero)aralkyl or -aralkenyl; R4R5N may be a heterocycloamino group or R4 or R5 together with R3 forms an alkylene group (with provisos)], as individual isomers or mixts. of isomers, or their pharmaceutically- acceptable salts or prodrugs were prepared as inhibitors of metalloproteases. Thus, 2-(R)-[(1,2,3,4-tetrahydro-β-carbolino-2- sulfonyl)amino]propionic acid (claimed compound) was prepared by treating D-alanine Me ester hydrochloride with chlorosulfonyl isocyanate/2- chloroethanol, reaction of the oxazolidone formed

with 1,2,3,4-tetrahydro-β-carboline, and saponification Metalloprotease and TNF-α inhibitory test data are tabulated.
IT 210916-51-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of sulfamides as metalloprotease inhibitors)
RN 210916-51-1 CAPLUS
CN 1,3-Piperazinedicarboxamide, N1,N1-dimethyl-N3-(phenylmethoxy)-4-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (3R)- (9CI) (CA INDEX NAME)

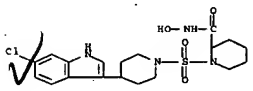
Absolute stereochemistry.



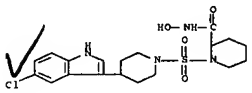
IT 210915-38-1P 210915-40-5P 210915-57-4P
210915-59-6P 210915-60-9P 210915-61-0P
210915-69-8P 210915-71-2P 210915-72-3P
210915-76-7P 210915-78-9P 210915-80-3P
210915-83-6P 210915-86-9P 210915-87-0P
210915-88-1P 210915-89-2P 210915-90-5P
210915-92-6P 210915-92-7P 210915-93-8P
210915-94-9P 210915-95-0P 210915-96-1P
210916-01-1P 210916-05-5P 210916-08-9P
210916-09-9P 210916-14-6P 210916-16-8P
210916-17-9P 210916-46-4P 210916-47-5P
210916-48-6P 210916-49-7P 210916-50-0P
210916-52-2P 210916-53-3P 210916-54-4P
210916-55-5P 210916-57-7P 210917-00-2P
210917-01-4P 210917-04-7P 416846-37-2P
416846-38-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfamides as metalloprotease inhibitors)
RN 210915-38-1 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



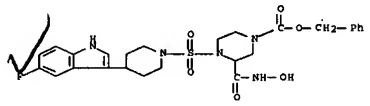
RN 210915-40-5 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(6-chloro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 210915-57-4 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-chloro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)

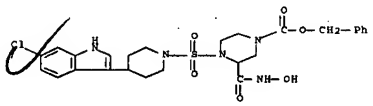


RN 210915-59-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

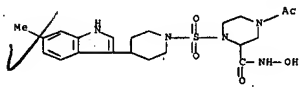


RN 210915-60-9 CAPLUS

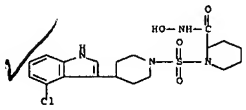
CN 1-Piperazinecarboxylic acid, 4-[[4-(6-chloro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 210915-61-0 CAPLUS
CN 2-Piperazinecarboxamide, 4-acetyl-N-hydroxy-1-[[4-(6-methyl-1H-indol-3-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

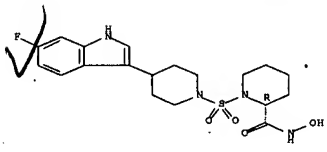


RN 210915-69-8 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(4-chloro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)

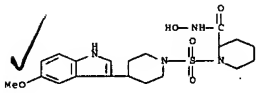


RN 210915-71-2 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(6-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

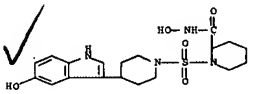
Absolute stereochemistry.



RN 210915-72-3 CAPLUS
CN 2-Piperidinecarboxamide, N-hydroxy-1-[[4-(5-methoxy-1H-indol-3-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

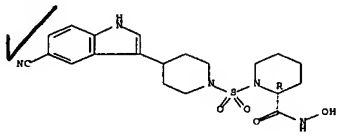


RN 210915-76-7 CAPLUS
CN 2-Piperidinecarboxamide, N-hydroxy-1-[[4-(5-hydroxy-1H-indol-3-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

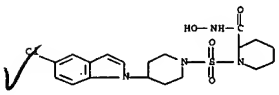


RN 210915-78-9 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

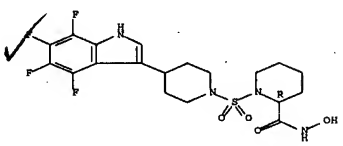


RN 210915-80-3 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-chloro-1H-indol-1-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)

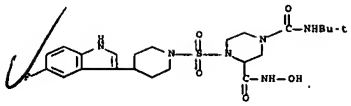


RN 210915-83-6 CAPLUS
CN 2-Piperidinecarboxamide, N-hydroxy-1-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

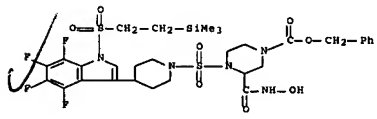
Absolute stereochemistry.



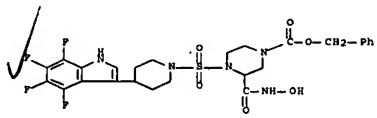
RN 210915-86-9 CAPLUS
CN 1,3-Piperazinedicarboxamide, N1-(1,1-dimethylethyl)-4-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N3-hydroxy- (9CI) (CA INDEX NAME)



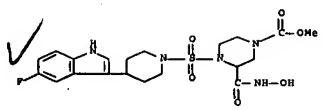
RN 210915-87-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[(hydroxyamino)carbonyl]-4-[[4-[4,5,6,7-tetrafluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



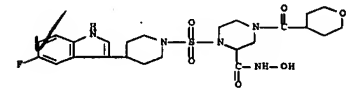
RN 210915-88-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[(hydroxyamino)carbonyl]-4-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 210915-89-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

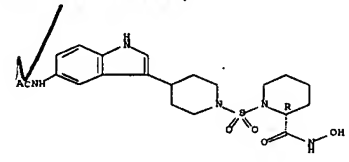


RN 210915-90-5 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-4-[(tetrahydro-2H-pyran-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



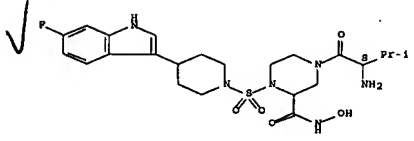
RN 210915-91-6 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-[5-(acetylamino)-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



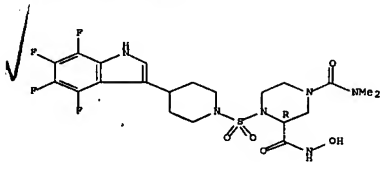
RN 210915-92-7 CAPLUS
CN 2-Piperazinecarboxamide, 4-[(2S)-2-amino-3-methyl-1-oxobutyl]-1-[[4-(6-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



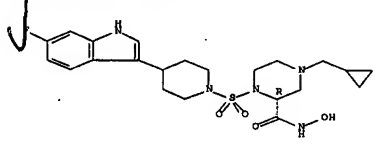
RN 210915-93-8 CAPLUS
CN 1,3-Piperazinedicarboxamide, N3-hydroxy-N1,N1-dimethyl-4-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

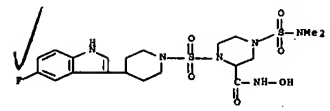


RN 210915-94-9 CAPLUS
CN 2-Piperazinecarboxamide, 4-(cyclopropylmethyl)-1-[[4-(6-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

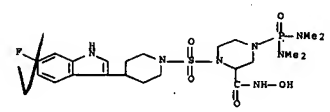
Absolute stereochemistry.



RN 210915-95-0 CAPLUS
CN 2-Piperazinecarboxamide, 4-[(dimethylamino)sulfonyl]-1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)

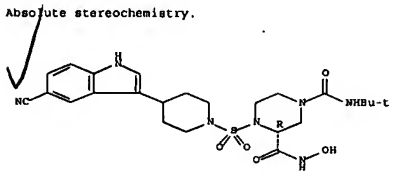


RN 210915-96-1 CAPLUS
CN 2-Piperazinecarboxamide, 4-[bis(dimethylamino)phosphinyl]-1-[[4-(6-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



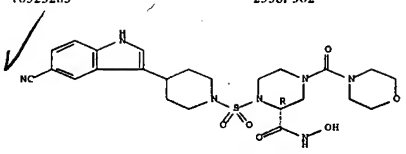
RN 210916-01-1 CAPLUS
CN 1,3-Piperazinedicarboxamide, 4-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N1-(1,1-dimethylethyl)-N3-hydroxy-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



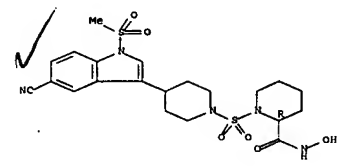
RN 210916-05-5 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-4-(4-morpholinylcarbonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



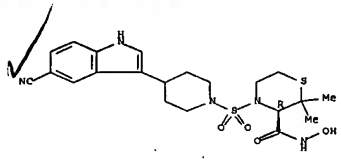
RN 210916-08-8 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-cyano-1-(methylsulfonyl)-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



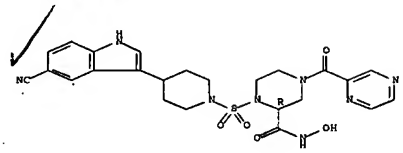
RN 210916-09-9 CAPLUS
CN 3-Thiomorpholinecarboxamide, 4-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-2,2-dimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

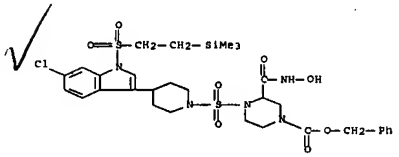


RN 210916-14-6 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-4-(pyrazinylcarbonyl)-, (2R)- (9CI) (CA INDEX NAME)

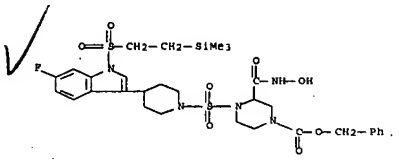
Absolute stereochemistry.



RN 210916-16-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-[6-chloro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

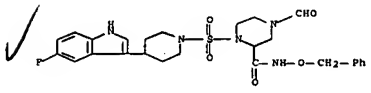


RN 210916-17-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-[6-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



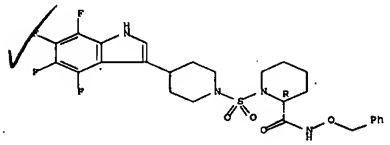
RN 210916-46-4 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-

piperidinyl]sulfonyl]-4-formyl-N-(phenylmethoxy)- (9CI) (CA INDEX NAME)

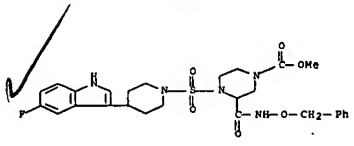


RN 210916-47-5 CAPLUS
CN 2-Piperidinecarboxamide, N-(phenylmethoxy)-1-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

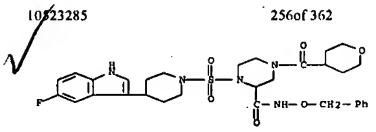
Absolute stereochemistry.



RN 210916-48-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-3-[[(phenylmethoxy)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

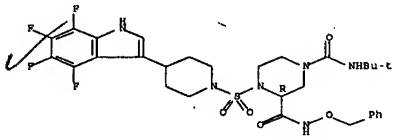


RN 210916-49-7 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-(phenylmethoxy)-4-[(tetrahydro-2H-pyran-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



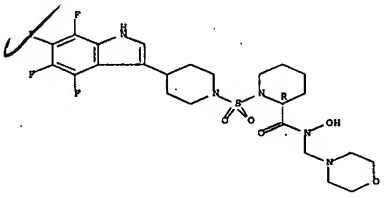
RN 210916-50-0 CAPLUS
CN 1,3-Piperazinedicarboxamide, N1-(1,1-dimethylethyl)-N3-(phenylmethoxy)-4-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



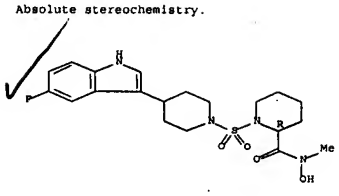
RN 210916-52-2 CAPLUS
CN 2-Piperidinecarboxamide, N-hydroxy-N-(4-morpholinylmethyl)-1-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



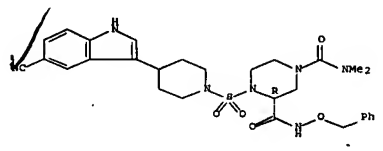
RN 210916-53-3 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-N-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



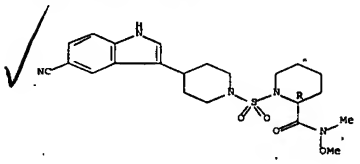
RN 210916-54-4 CAPLUS
CN 1,3-Piperazinedicarboxamide, 4-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N1,N1-dimethyl-N3-(phenylmethoxy)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210916-55-5 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-methoxy-N-methyl-, (2R)- (9CI) (CA INDEX NAME)

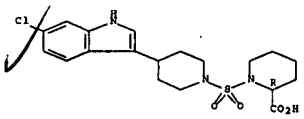
Absolute stereochemistry.



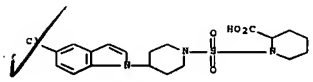
RN 210916-97-5 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-[[4-(6-chloro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

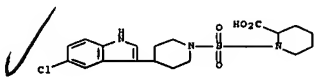
Absolute stereochemistry.



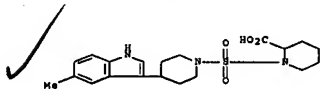
RN 210917-00-3 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-(5-chloro-1H-indol-1-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 210917-01-4 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-(5-chloro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



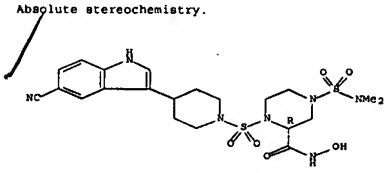
RN 210917-04-7 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-(5-methyl-1H-indol-3-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 416846-37-2 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-(5-cyano-1H-indol-3-yl)-1-

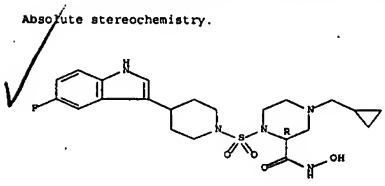
piperidinyl]sulfonyl]-4-[(dimethylamino)sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

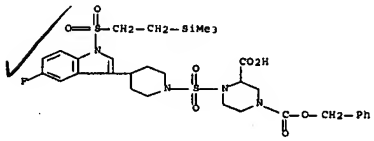


RN 416846-38-3 CAPLUS
CN 2-Piperazinecarboxamide, 4-(cyclopropylmethyl)-1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

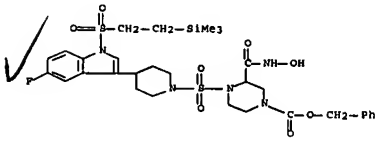
Absolute stereochemistry.



IT 210917-46-7P 210917-47-8P 210917-51-4P
210917-52-5P 210917-53-6P 210917-55-8P
210917-56-9P 210917-57-0P 210917-58-1P
210917-59-2P 210917-60-3P 210917-69-4P
416846-40-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfamides as metalloprotease inhibitors)
RN 210917-46-7 CAPLUS
CN 1,3-Piperazinedicarboxylic acid, 4-[[4-[5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

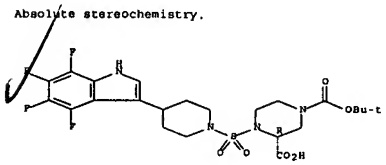


RN 210917-47-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-[5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



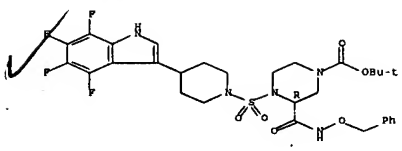
RN 210917-51-4 CAPLUS
CN 1,3-Piperazinedicarboxylic acid, 4-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, 1-(1,1-dimethylethyl) ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



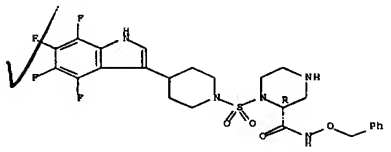
RN 210917-52-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[[(phenylmethoxy)amino]carbonyl]-4-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



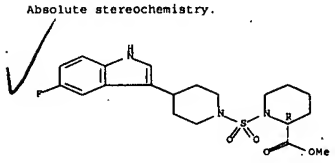
RN 210917-53-6 CAPLUS
CN 2-Piperazinecarboxamide, N-(phenylmethoxy)-1-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



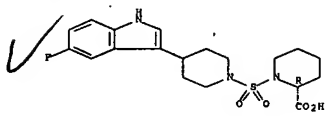
RN 210917-55-8 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



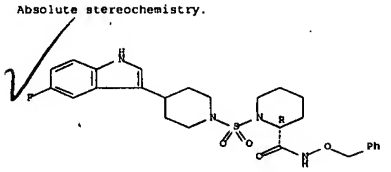
RN 210917-56-9 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



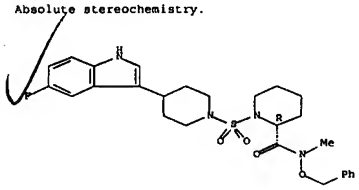
RN 210917-57-0 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

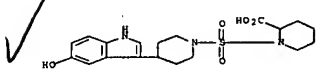


RN 210917-58-1 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-methyl-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

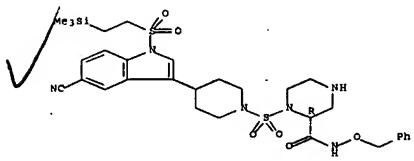


RN 210917-59-2 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-(5-hydroxy-1H-indol-3-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



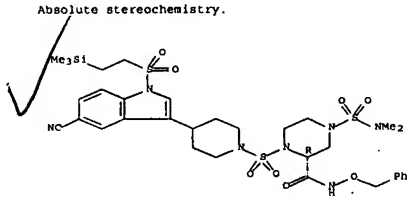
RN 210917-68-3 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[5-cyano-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



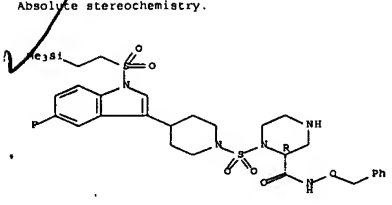
RN 210917-69-4 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[5-cyano-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-4-[(dimethylamino)sulfonyl]-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 416846-40-7 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 42 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:256255 CAPLUS Full-text
DN 136:279479
TI Preparation of piperazin-2-one amides as inhibitors of factor Xa
IN Zhu, Bing-yan; Su, Ting; Li, Wenhao; Goldman, Erick A.; Zhang, Penglie; Jia, Zhaozhong Jon; Scarborough, Robert M.
PA Cor Therapeutics, Inc., USA
SO PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002026734	A1	20020404	WO 2001-US30313	20011001
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2422873	A1	20020404	CA 2001-2422873	20011001
	AU 2002011280	A5	20020408	AU 2002-11280	20011001
	EP 1322643	A1	20030702	EP 2001-979304	20011001
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004509958	T	20040402	JP 2002-531118	20011001
	BR 2001007282	A	20040706	BR 2001-7282	20011001
	US 2004072860	A1	20040415	US 2003-381927	20030808
PRAI	US 2000-236393P	P	20000929		
	WO 2001-US30313	W	20011001		

OS MARPAT 136:279479
GI

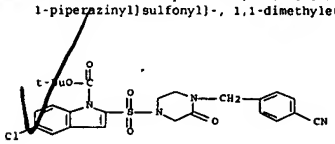
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I or II; A = MeNHC(:NH), 1-methylimidazol-2-yl; PrNMeC(:NH), etc. R = H, alkyl, cycloalkyl, etc.; Q = III-VII; R1 = H, halo, alkyl, etc.; J1 = (un)substituted Ph, pyridyl, pyrimidinyl, furyl, thienyl; J2 = (un)substituted 2-naphthyl, 2-benzothienyl, etc.; n = 0-2; m = 1-2; p = 0-1], having activity against mammalian factor Xa (no data given), and useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis, were prepared E.g., a multi-step synthesis of VIII was given.

IT 406496-46-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazin-2-one amides as inhibitors of factor Xa)

RN 406496-46-6 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[4-[(4-cyanophenyl)methyl]-3-oxo-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RE.CNT THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 43 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:256243 CAPLUS Full-text

DN 136:294851

TI Preparation of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders

IN Zhu, Bing-Yan; Jia, Zhaozhong Jon; Zhang, Penglie; Huang, Wenrong; Wu, Yanhong; Zuckett, Jingmei Fan; Goldman, Erik A.; Wang, Lingyan; Song, Yonghong; Scarborough, Robert M.

PA Cor Therapeutics, Inc., USA

SO PCT Int. Appl., 128 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

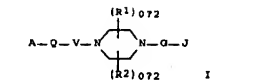
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002026720	A2	20020404	WO 2001-US30315	20011001
	WO 2002026720	A3	20021031		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	EP 1322610	A2	20030702	EP 2001-975505	20011001
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2004082786	A1	20040429	US 2003-381928	20031016
PRAI	US 2000-236161P	P	20000929		
	WO 2001-US30315	W	20011001		

OS MARPAT 136:294851

GI



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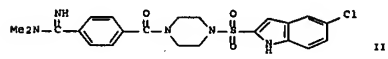


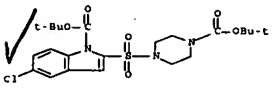
II

AB Title compds. I [wherein A = (un)substituted imidazolinyl, tetrahydropyrimidinyl, tetrahydro-1H-1,3-diazepinyl, imidamido(alkyl), guanidinyl, amino(alkyl), ammoniomethyl, Ph, pyridinyl, etc.; Q = (un)substituted phenylene, pyrimidinediyl, pyridinediyl, pyrazinediyl, pyrroledilyl, furandiyl, thiophenediyl, piperidinediyl, or pyrrolidinediyl; V = CH2 or CO; G = CO or SO2; J = (un)substituted naphthyl, (iso)quinolinyl, quinazolinyl, indolyl, benzothiophenyl, benzofuranyl, benzimidazolyl, benzothiazolyl, benzoxazolyl, etc.; R1 and R2 = independently H, alkyl, hydroxyalkyl, aminoalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, or carbamoylalkyl; and pharmaceutically acceptable isomers, salts, hydrates, solvates, and prodrugs thereof] were prepared For example, 1-Boc-5-chloro-2-indolylsulfonyl chloride was coupled with 1-Boc-piperazine in DCM in the presence of pyridine to give the sulfonamide (95%). Deprotection using HCl gas (99%), followed by acylation with 4-cyanobenzoyl chloride in pyridine in the presence of DMAP (73%) and treatment with HCl and dimethylamine, afforded II. I are highly selective inhibitors of factor Xa and are useful for the treatment of diseases characterized by undesired thrombosis or coagulation disorders (no data).

IT 406719-74-2P 406719-83-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders)

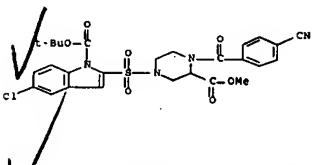
RN 406719-74-2 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 406719-83-3 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[4-(4-cyanobenzoyl)-3-(methoxycarbonyl)-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L1 ANSWER 44 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:185036 CAPLUS Full-text

DN 136:247191

TI Preparation of basic compounds containing tertiary amides with activity on tachykinin receptors

IN Guidi, Antonio; Pasqui, Franco; Altamura, Maria; Maggi, Carlo Alberto

PA Menarini Ricerche S.p.A., Italy

SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2

DT Patent

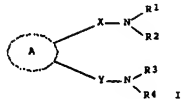
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002020437	A2	20020314	WO 2001-EP10419	20010910
	WO 2002020437	A3	20020510		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	IT 2000FI0190	A1	20020311	IT 2000-FI190	20000911
	IT 1317104	B1	20030526		
	AU 2002010495	A5	20020322	AU 2002-10495	20010910
PRAI	IT 2000-FI190	A	20000911		
	WO 2001-EP10419	W	20010910		

OS MARPAT 136:247191

GI



I

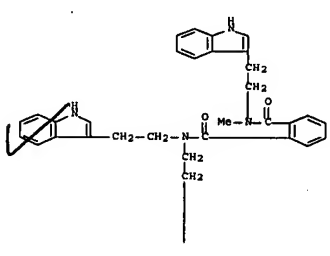
AB Basic compds. containing tertiary amides [I; wherein [(A)(X)(Y)] = (C1-C12)alkenyl group or an aromatic group, with X, Y, independently = CO, SO2, heterocycle, aryl, heteroaryl, etc.; R1, R3, independently = (C2-C5)alkylidene-T-Ar1 (wherein T = a bond, or S, SO, or SO2; Ar1 = benzene, pyridine, pyrimidine, etc.); R2, R4, independently = H, (C1-C5)alkyl, etc.] were prepared Thus, the synthesis of N,N'-bis-[2-(1H-indol-3-yl)-ethyl]-N-methyl-N'-[2-[4-(3- nitrophenylcarbamoyl)-piperazin-1-yl]-ethyl]-phthalamide [I; wherein A = benzene, X = Y = CO, R1 = R3 = 2-(1-H-indol-3-yl)-Et, R2 = Me, R4 = 2-[4-(3-nitrophenylcarbamoyl)-piperazin-1-yl]-ethyl] is described. The prepared compds. present activity on the tachykinin receptors, and, in particular, are useful for the treatment of diseases that require the use of NK2 antagonists. The prepared compds. were evaluated in binding tests using chinese hamster ovary membranes transfected with the NK2 receptor of the human ileum and the radioligand (125I)NKAn at the concentration of 100 pM in competition studies, obtaining pKI values of up to 9.2.

IT 403994-45-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of basic compds. containing tertiary amides with activity on tachykinin receptors)

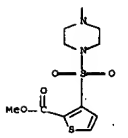
RN 403994-45-6 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[[4-[2-[[2-(1H-indol-3-yl)ethyl][2-[[[2-(1H-indol-3-yl)ethyl]methylamino]carbonyl]benzoyl]amino]ethyl]-1-piperazinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

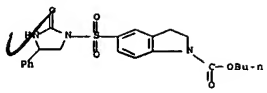
PAGE 1-A



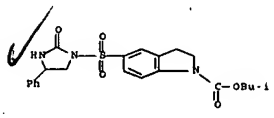
PAGE 2-A



L11 ANSWER 45 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:11548 CAPLUS Full-text
DN 136:303564
TI Evaluation of the role of imidazolidinone motif of antineoplastic 4-phenyl-1-arylsulfonylimidazolidinones using 4-phenyl-2-arylsulfonyloxazolines
AU Jung, Sang-Hun; Park, Kyung-Lae; Lee, Hui-Soon; Whang, Jee-Sun
CS College of Pharmacy, Chungnam National University, Taejon, 305-764, S. Korea
SO Archives of Pharmacal Research (2001), 24(6), 499-502
CODEN: APHRDQ; ISSN: 0253-6269
PB Pharmaceutical Society of Korea
DT Journal
LA English
OS CASREACT 136:303564
AB To evaluate the role of imidazolidinone moiety of potential anticancer 4-phenyl-1-arylsulfonylimidazolidinones (I) for their cytotoxicity, conformationally similar 4-phenyl-2-arylsulfonylaminooxazolines (II) were synthesized and compared their cytotoxicities with those of the corresponding I. II showed much reduced activity compared to I. This result might indicate that the imidazolidinone ring of I have the other roles for the activity as an essential structural motif in addition to conformational contribution.
IT 412024-71-6 412024-72-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation and antitumor structure activity relations of evaluation of arylsulfonylimidazolidinones and arylsulfonyloxazolines and the role of imidazolidinone motif for the activity)
RN 412024-71-6 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-, butyl ester (9CI) (CA INDEX NAME)



RN 412024-72-7 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

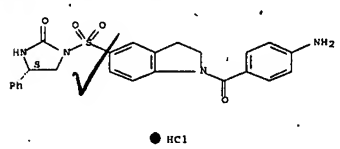


RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 46 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:866465 CAPLUS Full-text
DN 136:193804
TI Induction of G2/M phase arrest and apoptosis by a new synthetic anti-cancer agent, DW2282, in promyelocytic leukemia (HL-60) cells
AU Piao, Wenhua; Yoo, Jeman; Lee, Dug Keun; Hwang, Hyun Jin; Kim, Jeong Hee
CS Department of Biochemistry, College of Dentistry, Kyung Hee University, Seoul, 130-701, S. Korea
SO Biochemical Pharmacology (2001), 62(11), 1439-1447
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier Science Inc.
DT Journal
LA English
AB The authors studied the effect of DW2282-,{(S)-(+)-4-phenyl-1-[N-(4-aminobenzoyl)-indoline-5-sulfonyl-4,5-dihydro-2- imidazolone]·hydrochloride}, a newly developed anti-cancer agent, on cell proliferation, cell cycle progression, and induction of apoptosis in human promyelocytic leukemia (HL-60) cells. DW2282, a diarylsulfonylurea compound, was cytotoxic to HL-60 cells, with an IC50 of 1.0 μg/mL. Treatment with DW2282 fragmented DNA in a concentration- and time-dependent manner, suggesting that these cells underwent apoptosis. Flow cytometric anal. further confirmed that DW2282-treated HL-60 cells were hypodiploid, in terms of DNA content, and were arrested at the G2/M phase. The cell cycle arrest was reversible upon the removal of DW2282. HL-60 cells also underwent distinct morphol. changes in response to DW2282 treatment, including the appearance of elongated cells with conical tails and other apoptotic characteristics. G2/M phase cell cycle arrest was accompanied by a decrease in the levels of cdc2, a protein that plays a critical role for progression through the G2/M phase. Treatment of HL-60 cells with DW2282 was also associated with decreased levels of the anti-apoptotic protein Bcl-2, activation of caspase-3, and proteolytic cleavage of poly(ADP-ribose) polymerase. Taken together, these results demonstrate that

DW2282 dramatically suppressed HL-60 cell growth by inducing apoptosis after G2/M phase arrest. These findings are consistent with the possibility that G2/M phase arrest was mediated by the down-regulation of cdc2 levels in HL-60 cells. The data also suggest that DW2282 triggered apoptosis by decreasing Bcl-2 levels and activating caspase-3 protease. These results provide important new information towards understanding the mechanisms by which DW2282 and other diarylsulfonylureas mediate their therapeutic effects.
IT 203861-05-6, DW2282
RL: DMA (Drug mechanism of action); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(induction of G2/M phase arrest and apoptosis by DW2282)
RN 203861-05-6 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

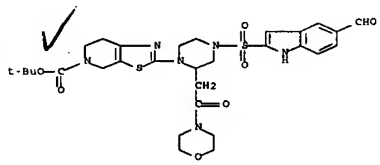
RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 47 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:769282 CAPLUS Full-text
DN 135:313616
TI Heterocyclic sulfonyl compounds and activated blood coagulation factor X (FXa) inhibitors containing them
IN Kobayashi, Shozo; Komoritani, Satoshi; Haginoya, Noriyasu; Suzuki, Masanori; Yoshino, Toshiharu; Nagahara, Takayasu; Yoshikawa, Kenji; Muto, Akira; Ozanai, Takeshi; Nakamoto, Yumi; Mochizuki, Akiyoshi; Nagata, Tsutomu
PA Daiichi Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 304 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

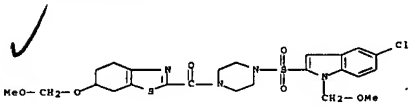
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2001294572	A	20011023	JP 2000-38100	20000209
PRAI JP 2000-38100		20000209		

OS MARPAT 135:313616
AB Pharmaceuticals, useful for prevention and/or treatment of thrombus and embolus, contain Q1Q2T1S02QA [I; Q1 = (un)substituted bicyclic or tricyclic group; Q2 = single bond, O, S, C1-6 alkylene, etc.; Q3 = N-containing cyclic group; QA = (un)substituted (hetero)arylalkenyl, bicyclic or tricyclic group, etc.; T1 = CO, (un)substituted methylene, etc.], their salts, or solvates. (2RS)-2-(N-tert-butoxycarbonylaminomethyl)-6- methoxycarbonyl-1,2,3,4-

tetrahydronaphthalene was treated with NaOH, condensed with 1-[(6-chloronaphthalen-2-yl)sulfonyl]piperazine.HCl, and deprotected to give (RS)-I.HCl (Q1 = 6-aminomethyl-5,6,7,8- tetrahydronaphthalen-2-yl, Q2 = bond, T1 = CO, Q3 = 1,4-piperazinediyl, QA = 6-chloronaphthalen-2-yl). I.HCl (Q1 = 5-methyl-4,5,6,7- tetrahydrothiazolo[5,4-c]pyridin-2-yl, Q2 = bond, T1 = CO, Q3 = 1,4-piperazinediyl, QA = 6-chloronaphthalen-2-yl) in vitro inhibited human FXa with IC50 of 20 nM.
IT 368439-91-2P 368442-21-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic sulfonyl compds. as activated blood coagulation factor X inhibitors)
RN 368439-91-2 CAPLUS
CN Thiazolo[5,4-c]pyridine-5(4H)-carboxylic acid, 2-[4-[(5-formyl-1H-indol-2-yl)sulfonyl]-2-[2-(4-morpholinyl)-2-oxoethyl]-1-piperazinyl]-6,7-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 368442-21-1 CAPLUS
CN Piperazine, 1-[(5-chloro-1-(methoxymethyl)-1H-indol-2-yl)sulfonyl]-4-[[4,5,6,7-tetrahydro-6-(methoxymethoxy)-2-benzothiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

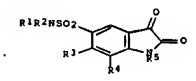


L11 ANSWER 48 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:247181 CAPLUS Full-text
DN 134:280708
TI Preparation of aminosulfonylisatins as inhibitors of caspases for prevention of apoptosis.
IN Lee, Dennis; Long, Scott A.; Elliott, John D.; Gleason, John G.
PA Smithkline Beecham Corp., USA
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001022966	A1	20010405	WO 2000-US27030	20000929
	W: AU, CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1242081	A1	20020925	EP 2000-965555	20000929
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	JP 2003510278	T	20030318	JP 2001-526178	20000929
PRAI	US 1999-156877P	P	19990930		
	WO 2000-US27030	W	20000929		

OS MARPAT 134:280708
GI



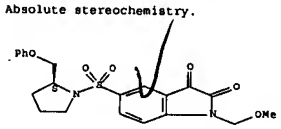
I

AB A method of blocking excess or inappropriate apoptosis of neurons and oligodendroglia comprises administration of title compds. [I; R1 = H, alkyl; R2 = alkyl, (substituted) aralkyl, heteroarylalkyl, cycloalkyl; R1R2N = atoms to form a 3-10 membered ring; R3, R4 = H, alkyl, NO2, halo; R5 = H, alkyl, aralkyl, heteroarylalkyl] (no data). Thus, 5-chlorosulfonylisatin (preparation given) in THF/CHCl3 at 0° was treated with (S)-2-methoxymethylpyrrolidine and (Me2CH)2NEt in CHCl3 to give 31% (S)-5-[1-(2-methoxymethoxypyrrolidinyl)sulfonyl]isatin.

IT 220510-51-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminosulfonylisatins as inhibitors of caspases for prevention of apoptosis)

RN 220510-51-0 CAPLUS
CN Pyrrolidine, 1-[[2,3-dihydro-1-(methoxymethyl)-2,3-dioxo-1H-indol-5-yl]sulfonyl]-2-(phenoxymethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



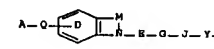
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 49 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

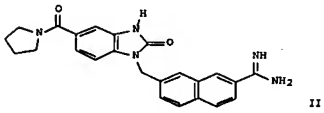
AN 2001:137189 CAPLUS Full-text
DN 134:193446
TI Preparation of heterocyclic compounds as inhibitors of factor Xa
IN Zhu, Bing-Yan; Scarborough, Robert M.; Clizbe, Lane; Doughan, Brandon; Jia, Zhaozhong-Jon; Kane-Maguire, Kim; Marlowe, Charles; Song, Yonghong; Su, Ting; Teng, Willy; Zhang, Penglie
PA Cor Therapeutics, Inc., USA; et al.
SO PCT Int. Appl., 387 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001012600	A1	20010222	WO 2000-US21742	20000810
	WO 2001012600	A9	20020912		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6534535	B1	20030318	US 2000-636804	20000810
PRAI	US 1999-148627P	P	19990812		
	US 2000-202202P	P	20000505		

OS MARPAT 134:193446
GI



I



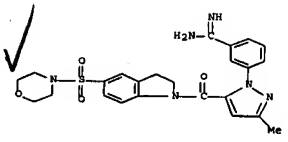
II

AB The title compds. [I; A = alkyl, cycloalkyl, (un)substituted Ph, etc.; Q = a direct link, CH2, CO, etc.; D = (un)substituted Ph, 6-membered heteroaryl having 1-2 ring N atoms; M = NR16CO, NR16CS, CR17R18CO, etc.; R16-R18 = H, halo, alkyl, etc.; E = a direct link, CO, CONR5, etc.; R5 = alkyl, alkenyl,

alkynyl, etc.; G = a direct link, CR7R8, CR7aR8aCR7bR8b, CR7c:CR8c; R7, R8, R7a, R7b, R7c, R8a, R8b, R8c = H, halo, alkyl, etc.; J = a direct link, O, S, etc.; Y = (un)substituted Ph, naphthyl, monocyclic or fused bicyclic heterocyclyl; L = H, CN, CONR12R13; R12, R13 = H, alkyl, OH, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepared and formulated. E.g., a multi-step synthesis of the title compound II was given.

IT 327045-39-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as inhibitors of factor Xa)

RN 327045-89-6 CAPLUS
CN 1H-Indole, 1-[[1-[3-(aminoiminomethyl)phenyl]-3-methyl-1H-pyrazol-5-yl]carbonyl]-2,3-dihydro-5-(4-morpholinylsulfonyl)- (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 50 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:70303 CAPLUS Full-text
DN 134:163059
TI Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa/IIa inhibitors
IN Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PA Aventis Pharmaceuticals Products Inc., USA
SO PCT Int. Appl., 460 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

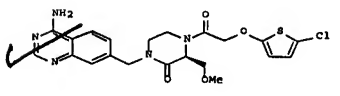
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001007436	A2	20010201	WO 2000-IB1156	20000726
	WO 2001007436	A3	20010823		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

	CA 2382755	A1	20010201	CA 2000-2382755	20000726
	BR 2000013179	A	20020402	BR 2000-13179	20000726
	EP 1208097	A2	20020529	EP 2000-951781	20000726
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	TR 200200225	T2	20020621	TR 2002-225	20000726
	HU 2002003375	A2	20021228	HU 2002-3375	20000726
	JP 2003508353	T	20030304	JP 2001-512520	20000726
	EE 200200045	A	20030616	EE 2002-45	20000726
	AU 773227	B2	20040520	AU 2000-64628	20000726
	NO 2002000214	A	20020402	NO 2002-214	20020115
	BG 106340	A	20021031	BG 2002-106340	20020122
	ZA 2002000543	A	20030623	ZA 2002-543	20020122
	MX 2002PA00888	A	20020730	MX 2002-PA888	20020125
PRAI	US 1999-363196	A	19990728		
	WO 2000-IB1156	W	20000726		

OS MARPAT 134:163059
GI

I



II

AB The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH or N; G1 and G2 = L1Cy1 or L2Cy2; Cy1 and Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.; L1 = null, O, S, SO, SO2, or (un)substituted sulfamoyl, methylene, (alkyl)keto(alkyl), carbamoyl, etc.; L2 = null or linking group; R1, R1a, R2, R2a, R3, R3a, R4, R4a = independently H, carboxy, alkoxycarbonyl, alkyl, (hetero)aryl, aralkyl, heteroarylalkyl, etc.; m and n = independently 0-2]. The compds. inhibit factor Xa (no data) and factor IIa, and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 1600 invention compds. and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone derivative (prepns. given), using DIPEA and TBTU in DMF, gave II.

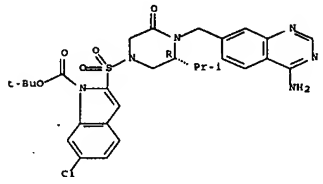
IT 323593-33-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of piperazinone derivs. and other

substituted oxoazaheterocyclyl compds. as factor Xa/IIa inhibitors)

RN 323593-33-5 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2-[[(3R)-4-[(4-amino-7-quinazolinyl)methyl]-3-(1-methylethyl)-5-oxo-1-piperazinyl]sulfonyl]-6-chloro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

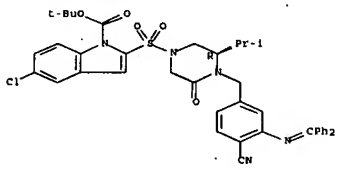


IT 323593-02-8P 323593-03-9P 323593-06-2P
323593-07-3P 323593-10-8P 323593-11-9P
323593-14-2P 323593-15-2P 323593-18-6P
323593-19-7P 323593-20-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of piperazinone deriva. and other substituted oxoazaheterocyclyl compds. as factor Xa/IIa inhibitors)

RN 323593-02-8 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[(3R)-4-[(4-cyano-3-[(diphenylmethylene)amino]phenyl]methyl]-3-(1-methylethyl)-5-oxo-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

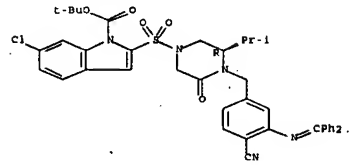


RN 323593-03-9 CAPLUS

CN 1H-Indole-1-carboxylic acid, 6-chloro-2-[[(3R)-4-[(4-cyano-3-[(diphenylmethylene)amino]phenyl]methyl]-3-(1-methylethyl)-5-oxo-1-

piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

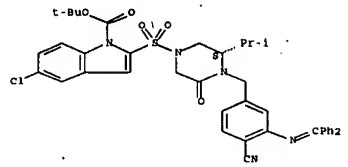
Absolute stereochemistry.



RN 323593-06-2 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[(3S)-4-[[4-cyano-3-[(diphenylmethylene)amino]phenyl]methyl]-3-(1-methylethyl)-5-oxo-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

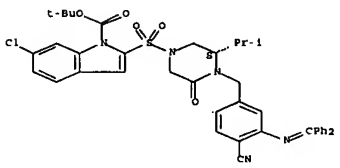
Absolute stereochemistry.



RN 323593-07-3 CAPLUS

CN 1H-Indole-1-carboxylic acid, 6-chloro-2-[[(3S)-4-[[4-cyano-3-[(diphenylmethylene)amino]phenyl]methyl]-3-(1-methylethyl)-5-oxo-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

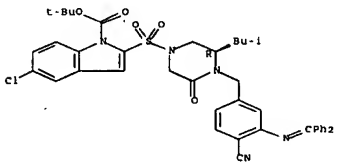
Absolute stereochemistry.



RN 323593-10-8 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[(3R)-4-[[4-cyano-3-[(diphenylmethylene)amino]phenyl]methyl]-3-(2-methylpropyl)-5-oxo-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

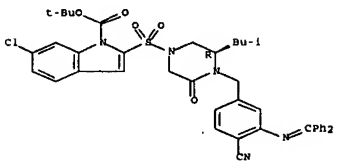
Absolute stereochemistry.



RN 323593-11-9 CAPLUS

CN 1H-Indole-1-carboxylic acid, 6-chloro-2-[[(3R)-4-[[4-cyano-3-[(diphenylmethylene)amino]phenyl]methyl]-3-(2-methylpropyl)-5-oxo-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

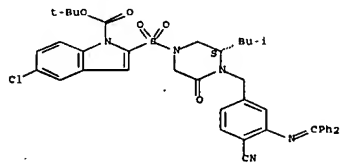
Absolute stereochemistry.



RN 323593-14-2 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[(3S)-4-[[4-cyano-3-[(diphenylmethylene)amino]phenyl]methyl]-3-(2-methylpropyl)-5-oxo-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

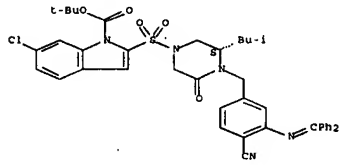
Absolute stereochemistry..



RN 323593-15-3 CAPLUS

CN 1H-Indole-1-carboxylic acid, 6-chloro-2-[[(3S)-4-[[4-cyano-3-[(diphenylmethylene)amino]phenyl]methyl]-3-(2-methylpropyl)-5-oxo-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

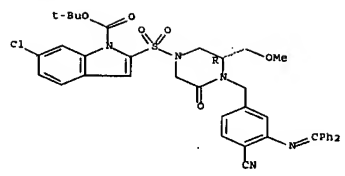
Absolute stereochemistry.



RN 323593-18-6 CAPLUS

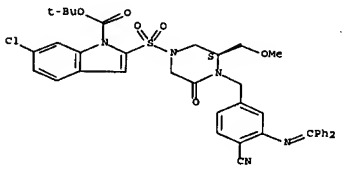
CN 1H-Indole-1-carboxylic acid, 6-chloro-2-[[(3R)-4-[[4-cyano-3-[(diphenylmethylene)amino]phenyl]methyl]-3-(methoxymethyl)-5-oxo-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



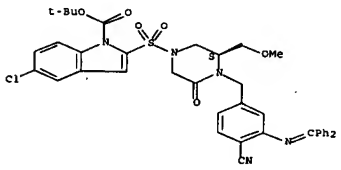
RN 323593-19-7 CAPLUS
CN 1H-Indole-1-carboxylic acid, 6-chloro-2-[[(3S)-4-[[4-cyano-3-[(diphenylmethylene)amino]phenyl]methyl]-3-(methoxymethyl)-5-oxo-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

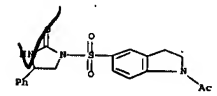


RN 323593-20-0 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[(3S)-4-[[4-cyano-3-[(diphenylmethylene)amino]phenyl]methyl]-3-(methoxymethyl)-5-oxo-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L27 ANSWER 51 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
2001:11065 CAPLUS Full-text
DN 134:231502
TI Effect of substituents on benzenesulfonyl motif of 4-phenyl-1-arylsulfonylimidazolidinones for their cytotoxicity
AU Lee, Hui-Soon; Park, Kyung-Lae; Choi, Sang-Un; Lee, Chong-Ock; Jung, Sang-Hun
CS College of Pharmacy, Chung-Nam National University, Taejon, 305-764, S. Korea
SO Archives of Pharmacal Research (2000), 23(6), 579-584
CODEN: APHRDQ; ISSN: 0253-6269
PB Pharmaceutical Society of Korea
DT Journal
LA English
AB To explore the effect of substituents on Ph motif on sulfonyl function of novel anticancer 4-phenyl-1-benzenesulfonylimidazolidinones, electron donating or withdrawing substituents were introduced at 3 or 4-position and the analogs were tested against human lung (A549) and colon (HCT-15) cancer cell lines. Quant. structure activity relation of the 4-substituted series shows that only STERIMOL L values are well correlated. The increment of substituent's volume enhances the activity against both cell lines. The small substituent at 3-position addnl. increases the activity. However naphthyl group in place of Ph reduces the activity. Therefore the Ph motif with sterically large substituent at 4-position and small substituent at 3-position may be important for their activity. Integration of these substituents' effects into the structural design led to discover the more potent analog, 4-phenyl-1-(N-acetylindoline-5-sulfonyl)imidazolidinone.
IT 330446-96-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor QSAR of phenylarylsulfonylimidazolidinones)
RN 330446-96-3 CAPLUS
CN 1H-Indole, 1-acetyl-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



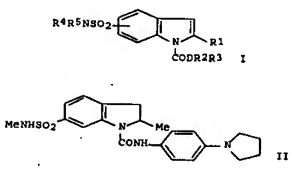
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 52 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:900609 CAPLUS Full-text
DN 134:56564
TI Indolinylsulfonamide derivatives as virucides
IN Handke, Gabriele; Baumeister, Judith; Bender, Wolfgang; Betz, Ulrich; Branda, Michael; Eckenberg, Peter; Fischer, Rudiger; Hendrix, Martin; Henninger, Kerstin; Jensen, Axel; Keldenich, Jorg; Kleymann, Gerald; Massen, Jutta; Schneider, Udo; Weber, Olaf
PA Bayer Aktiengesellschaft, Germany

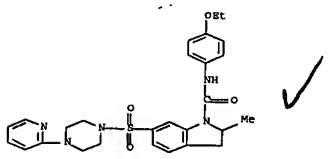
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000076966	A2	20001221	WO 2000-EP5114	20000605
WO 2000076966	A3	20010719		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19927415	A1	20001221	DE 1999-19927415	19990616
PRAI DE 1999-19927415	A	19990616		

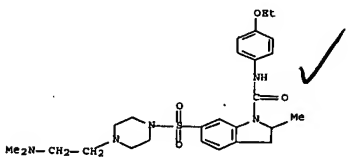
OS MARPAT 134:56564
GI



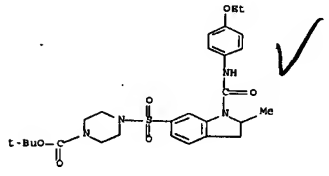
AB Title compds. I [D = N, CH; R1, R2, R5 = H, alkyl; R3 = (un)substituted Ph, alkyl, benzodioxolylmethyl; R4 = H, (un)substituted alkyl; NR4R5 = heterocyclic] were prepared for use as virucides, especially in the treatment of Herpes simplex. Thus, 1-acetyl-2-methyl-6-indolinesulfonyl chloride is converted to the N-methylamide, deacetylated, and converted to the 1-acetyl chloride which is aminated with 4-pyrrolidinoaniline to give the amide II. II had an IC50 against HSV-1 F/Vero of 25 nM, cf. Zovirax 1μM.
IT 313689-80-4P 313689-81-5P 313689-82-6P
313689-87-1P 313689-92-8P 313690-10-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolinylsulfonamides as virucides)
RN 313689-80-4 CAPLUS
CN 1H-Indole-1-carboxamide, N-(4-ethoxyphenyl)-2,3-dihydro-2-methyl-6-[[4-(2-pyridinyl)-1-piperazinyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 313689-81-5 CAPLUS
CN 1H-Indole-1-carboxamide, 6-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]sulfonyl]-N-(4-ethoxyphenyl)-2,3-dihydro-2-methyl- (9CI) (CA INDEX NAME)

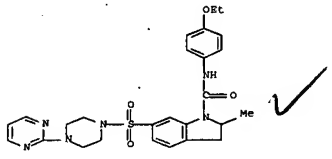


RN 313689-82-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[1-[[(4-ethoxyphenyl)amino]carbonyl]-2,3-dihydro-2-methyl-1H-indol-6-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

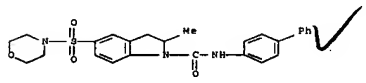


RN 313689-87-1 CAPLUS

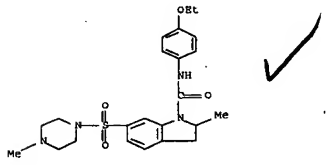
CN 1H-Indole-1-carboxamide, N-(4-ethoxyphenyl)-2,3-dihydro-2-methyl-6-[[4-(2-pyrimidinyl)-1-piperazinyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 313689-92-8 CAPLUS
CN 1H-Indole-1-carboxamide, N-[1,1'-biphenyl]-4-yl-2,3-dihydro-2-methyl-5-(4-morpholinylsulfonyl)- (9CI) (CA INDEX NAME)



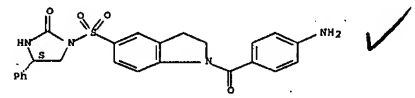
RN 313690-10-7 CAPLUS
CN 1H-Indole-1-carboxamide, N-(4-ethoxyphenyl)-2,3-dihydro-2-methyl-6-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 53 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:787779 CAPLUS Full-text
DN 133:327871
TI Multicentre hydrogen bonds in a 2:1 arylsulfonylimidazolone hydrochloride salt

AU Park, Kyung-Lae; Moon, Byoung-Gi; Jung, Sang-Hun; Kim, Jin-Gyu; Suh, Il-Hwan
CS College of Pharmacy, Chungnam National University, Taejeon, 305-764, S. Korea
SO Acta Crystallographica, Section C: Crystal Structure Communications (2000), C56(10), 1247-1250
CODEN: ACSCEE; ISSN: 0108-2701
PB Munksgaard International Publishers Ltd.
DT Journal
LA English
AB The title compound, (S)-(+)-4-[5-(2-oxo-4-phenyl-4,5-dihydroimidazol-1-ylsulfonyl)indolin-1-ylcarbonyl]anilinium chloride (S)-(+)-1-(1-(4-aminobenzoyl)indoline-5-sulfonyl]-4-phenyl-4,5-dihydroimidazol-2-one, $C_{24}H_{23}N_4O_4S^+\cdot Cl^-\cdot C_{24}H_{22}N_4O_4S$, crystallizes in space group C2 from a MeOH/CH_2Cl_2 solution Crystallog. data are given. In the crystal structure, there are two different conformers with their terminal C6 aromatic rings mutually oriented at angles of 67.69(14) and 61.16(15)°. The distances of the terminal N atoms (of the two conformers) from the chloride ion are 3.110(4) and 3.502(4) Å. There are eight distinct H bonds, i.e. four N-H...Cl, three N-H...O and one N-H...N, with one N-H group involved in a bifurcated H bond with two acceptors sharing the H atom. C-H...O contacts assist in the overall H-bonding process.
IT 303143-33-1
RL: PRP (Properties)
(crystal structure and multicenter hydrogen bonds in)
RN 303143-33-1 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-, hydrochloride (2:1) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



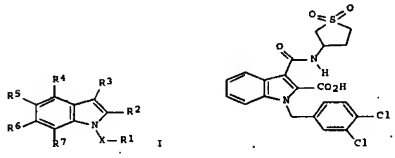
●1/2 HCl

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 54 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:553556 CAPLUS Full-text
DN 133:150463
TI Preparation of 3-substituted indole-2-carboxylic acids for the inhibition of monocyte chemoattractant protein-1 and/or RANTES induced chemotaxis
IN Faull, Alan Wellington; Kettle, Jason
PA Astrazeneca UK Limited, UK
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

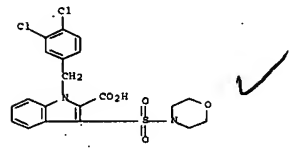
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000046199	A2	20000810	WO 2000-GB284	20000131
	WO 2000046199	A3	20001130		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2355734	A1	20000810	CA 2000-2355734	20000131
	BR 2000008015	A	20011106	BR 2000-8015	20000131
	EP 1173421	A2	20020123	EP 2000-901747	20000131
	EP 1173421	B1	20071003		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, AL, MK				
	JP 2002536362	T	20021029	JP 2000-597270	20000131
	ZA 2001005017	A	20020919	ZA 2001-5017	20010619
	NO 2001003768	A	20011001	NO 2001-3768	20010801
	MX 2001PA07904	A	20011101	MX 2001-PA7904	20010803
	US 6833387	B1	20041221	US 2001-889516	20011002
PRAI	GB 1999-2455	A	19990205		
	WO 2000-GB284	W	20000131		

OS MARPAT 133:150463
GI

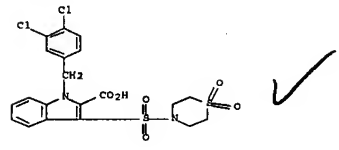


AB The title compds. [I; X = CH2, SO2; R1 = (un)substituted aryl, heteroaryl; R2 = CO2H, CN, COCH2OH, etc.; R3 = OR15 (wherein R15 = substituted alkyl or cycloalkyl, (un)substituted heteroaryl), S(O)qR15 (q = 0-2), (CH2)sCO2H (s = 0-4), etc.; R4-R7 = H, (un)substituted hydrocarbyl, heterocyclyl, etc.] and their pharmaceutically acceptable salts, amides or esters, useful in the preparation of a medicament for the inhibition of monocyte chemoattractant protein-1 and/or RANTES induced chemotaxis, were prepared and formulated. Thus, hydrolysis of the corresponding ester afforded 93% II which showed IC50 of 6.86 μM against hMCP-1 receptor binding.
IT 287725-10-4P 287725-11-5P 287725-13-7P
287725-37-7P 287725-42-2P 287725-46-6P
287725-50-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

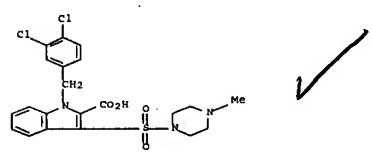
(preparation of 3-substituted indole-2-carboxylic acids for the inhibition of monocyte chemoattractant protein-1 and/or RANTES induced chemotaxis)
RN 287725-10-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-(4-morpholinylsulfonyl)- (9CI) (CA INDEX NAME)



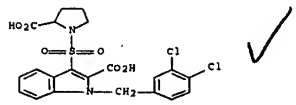
RN 287725-11-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[(1,1-dioxido-4-thiomorpholinyl)sulfonyl]- (9CI) (CA INDEX NAME)



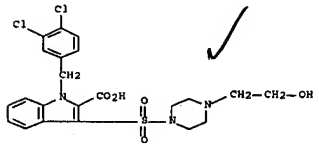
RN 287725-13-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME)



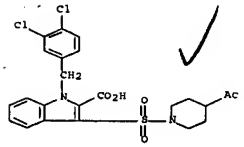
RN 287725-39-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(2-carboxy-1-pyrrolidinyl)sulfonyl]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



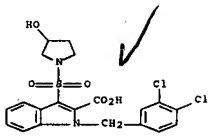
RN 287725-42-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[[4-(2-hydroxyethyl)-1-piperazinyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 287725-48-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(4-acetyl-1-piperidinyl)sulfonyl]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

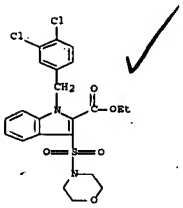


RN 287725-50-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[(3-hydroxy-1-pyrrolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)

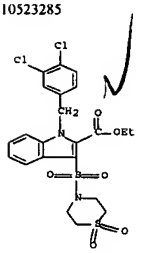


IT 287726-24-3P 287726-25-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-substituted indole-2-carboxylic acids for the inhibition of monocyte chemoattractant protein-1 and/or RANTES induced chemotaxis)

RN 287726-24-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-(4-morpholinylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



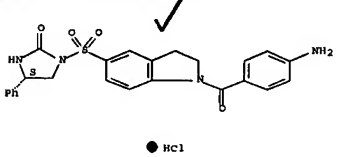
RN 287726-25-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[(1,1-dioxido-4-thiomorpholinyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 55 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:152125 CAPLUS Full-text
DN 133:53260
TI Characterization of the anticancer activity of DW2282, a new anticancer agent
AU Hwang, Hyun Sook; Moon, Eun Yi; Seong, Seung Kyoo; Choi, Chung Ha; Chung, Yong Ho; Jung, Sang Hun; Lee, Dug Keun; Yoon, Sung June
CS Central Research Laboratories, Dong Wha Pharm. Ind. Co., Ltd., Anyang, 430-010, S. Korea
SO Anticancer Research (1999), 19(6B), 5087-5093
CODEN: ANTRD4; ISSN: 0250-7005
PB International Institute of Anticancer Research
DT Journal
LA English
AB DW2282 [(S)-(+)-4-phenyl-1-[N-(4-aminobenzoyl) indoline-5-sulfonyl]-4,5-dihydro-2-imidazolone] · hydrochloride was derived from diarylsulfonylurea and was identified as a prominent new anticancer agent. We examined the characteristics of DW2282 activity on the proliferation of human lung carcinoma cells, A549 and human leukemic cells, K562. DW2282 effectively inhibited cancer cell proliferation in vitro. Colony forming assay and viability tests demonstrated that DW2282 is a cytotoxic agent rather than a cytostatic agent. The isotope uptake test exhibited that DW2282 inhibited or inactivated protein synthesis. Also, under conditions which cause RNA or protein synthesis inhibition, by co-treatment with actinomycin D or cycloheximide, reduced the anticancer effects of DW2282. This means that the cytotoxicity of DW2282 depends partially on RNA or protein synthesis and proteins affected by DW2282 may inactivate or alter the process of the synthesis of another protein. DW2282 activity was highly diminished in the presence of colcemid, a metaphase spindle blocker. This result suggests that DW2282 may be related to the cell cycle. After exposure to DW2282, morphol. apoptotic cells appeared in A549 cells and fragmented DNA was detected in K562 cells. It demonstrated that apoptosis is one of the mechanisms by which DW2282 inhibits the proliferation of A549 and K562 cells.
IT 203861-05-6, DW 2282
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mechanism of anticancer activity of DW2282)
RN 203861-05-6 CAPLUS

CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

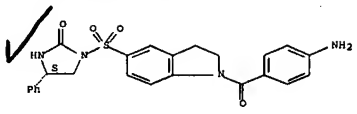


● HCl

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 56 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:26714 CAPLUS Full-text
DN 132:202740
TI Effect of DW2282 on the induction of methemoglobinemia, hypoglycemia or WBC count and hematological changes
AU Moon, Eun-Yi; Hwang, Hyun-Sook; Choi, Chung-Ha; Jung, Sang-Hun; Yoon, Sung-June
CS Central Research Laboratories, Dong-Wha Pharm. Ind. Co. Ltd., Anyang City, 430-017, S. Korea
SO Archives of Pharmacal Research (1999), 22(6), 565-570
CODEN: APHRDQ; ISSN: 0253-6269
PB Pharmaceutical Society of Korea
DT Journal
LA English
AB DW2282,(S)-(+)-4-phenyl-1-[1-(4-aminobenzoyl)-indoline-5-sulfonyl]-4,5- dihydro-2-imidazolone hydrochloride, is a new anticancer agent which is thought to exhibit a characteristic mechanism of action in the inhibition of tumor growth. In this study, we estimated the toxicities of DW2282 in mice. When mice were orally dosed for five consecutive days at the dosages of 50, 100 and 150 mg/kg, DW2282 did not induce methemoglobinemia and hypoglycemia at any of these doses. However, increased ALT and AST values were observed in the 150 mg/kg dosing group, and white blood cells (WBC) were significantly decreased at all doses. However, the changes in WBC count, ALT and AST immediately reversed after the cessation of drug administration. In addition, we found that DW2282 did not cause an increase in hemolysis in human blood. Taken together, these data suggested that DW2282 may have a relatively low level of toxicity, and that there may be a quick recovery from any toxicity it does produce.
IT 203861-05-6, DW 2282
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effect of DW2282 on the induction of methemoglobinemia, hypoglycemia or WBC count and hematol. changes)
RN 203861-05-6 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

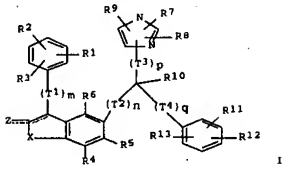
L1 ANSWER 57 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:811234 CAPLUS Full-text
DN 132:35705
TI Preparation of imidazole derivatives as prenyl transferase inhibitors
IN Dong, Zheng Xin; Shen, Yeelana
PA Societe de Conseils de Recherches et d'Applications Scientifiques (SCRAS), Fr.
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9965898	A1	19991223	WO 1999-US13303	19990611
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2335435	A1	19991223	CA 1999-2335435	19990611
	AU 9948222	A	20000105	AU 1999-48222	19990611
	EP 1097150	A1	20010509	EP 1999-931792	19990611
	EP 1097150	B1	20040324		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2002518387	T	20020625	JP 2000-554723	19990611
	AT 262520	T	20040415	AT 1999-931792	19990611
	EP 1420015	A1	20040519	EP 2003-78986	19990611
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	ES 2216535	T3	20041016	ES 1999-931792	19990611
	TW 577885	B	20040301	TW 1999-88110018	19990819
	NO 2000006401	A	20001215	NO 2000-6401	20001215
	NO 320835	B1	20060130		
	US 6420555	B1	20020716	US 2001-719720	20010522
	US 2003004342	A1	20030102	US 2002-151265	20020520
	US 6509336	B2	20030121		
	US 2004002531	A1	20040101	US 2003-348206	20030121
PRAI	US 1998-89483P	P	19980616		

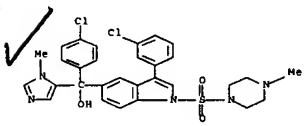
	US 1998-98141	A	19980616
	EP 1999-931792	A3	19990611
	WO 1999-US13303	W	19990611
	US 2001-719720	A3	20010522
	US 2002-151265	A1	20020520

OS MARPAT 132:35705
GI

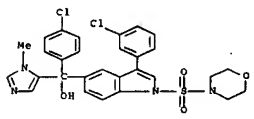


I

AB The title compds. I [m, n, p, q = 0, 1; T = CR26R27, S, O, CO, etc.; X = NY, S, O; Z = H, halo, etc.; R1-R6, R11-R13 = H, halo, OH, alkyl, etc.; R7, R8, R9 = H, aryl, aryloxy, etc.], useful as prenyl transferase inhibitors (no data), were prepared E.g., 3-(3-chlorophenyl)-5-[(4- chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]indole was prepared
IT 252668-94-3P 252669-26-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazole derivs. as prenyl transferase inhibitors)
RN 252668-94-3 CAPLUS
CN 1H-Indole-5-methanol, 3-(3-chlorophenyl)-α-(4-chlorophenyl)-α-(1-methyl-1H-imidazol-5-yl)-1-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 252669-26-4 CAPLUS
CN 1H-Indole-5-methanol, 3-(3-chlorophenyl)-α-(4-chlorophenyl)-α-(1-methyl-1H-imidazol-5-yl)-1-(4-morpholinylsulfonyl)- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

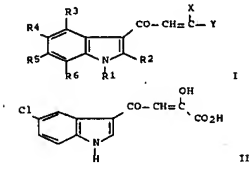
L1 ANSWER 58 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:640835 CAPLUS Full-text
DN 131:271806
TI Preparation of indole derivatives with antiviral activity
IN Fujishita, Toshio; Yoshinaga, Tomokazu
PA Shionogi & Co.,,Ltd., Japan
SO PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9950245	A1	19991007	WO 1999-JP1547	19990326
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2326166	A1	19991007	CA 1999-2326166	19990326
	AU 9929581	A	19991018	AU 1999-29581	19990326
	AU 752005	B2	20020905		
	BR 9909146	A	20001205	BR 1999-9146	19990326
	TR 200002757	T2	20001221	TR 2000-2757	19990326
	EP 1069111	A1	20010117	EP 1999-910719	19990326
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	HU 2001003460	A2	20020128	HU 2001-3460	19990326
	NZ 506435	A	20020828	NZ 1999-506435	19990326
	RU 2217421	C2	20031127	RU 2000-126474	19990326
	JP 3794469	B2	20060705	JP 2000-541150	19990326
	ZA 2000004047	A	20010212	ZA 2000-4047	20000808
	US 6333323	B1	20011225	US 2000-622543	20000818
	IN 2000CN00325	A	20050304	IN 2000-CN325	20000828
	MX 2000PA09214	A	20010419	MX 2000-PA9214	20000920
	NO 2000004787	A	20001127	NO 2000-4787	20000925
	NO 317423	B1	20041025		
	US 2002019434	A1	20020214	US 2001-929486	20010815
	US 6506787	B2	20030114		
	US 2003181499	A1	20030925	US 2002-259903	20020930

	US 6716605	B2	20040406
PRAI	JP 1998-78203	A	19980326
	WO 1999-JP1547	W	19990326
	US 2000-622543	A3	20000818
	US 2001-929486	A3	20010815

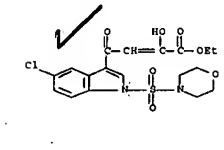
OS MARPAT 131:271806
GI



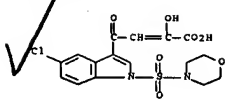
I

II

AB The title compds. I [R1 represents hydrogen, lower alkyl, optionally substituted arylsulfonyl, etc., R2 represents hydrogen, lower alkyl, optionally substituted aralkyl, etc.; R3, R4, R5, and R6 each independently represents hydrogen, halogeno, lower trihaloalkyl, etc.; X represents hydroxy or optionally substituted amino; and Y represents CO2R (R is hydrogen or an ester residue), optionally substituted aryl, or optionally substituted heteroaryl] are prepared They have integrase inhibitory activity and are useful as anti-HIV agents. The title compound II in vitro showed IC50 of 0.31 μg/mL against integrase.
IT 245425-85-8P 245426-42-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. with antiviral activity)
RN 245425-85-8 CAPLUS
CN 2-Butenoic acid, 4-[5-chloro-1-(4-morpholinylsulfonyl)-1H-indol-3-yl]-2-hydroxy-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

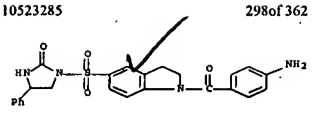


RN 245426-42-0 CAPLUS
CN 2-Butenoic acid, 4-[5-chloro-1-(4-morpholinylsulfonyl)-1H-indol-3-yl]-2-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 59 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:339966 CAPLUS Full-text
DN 131:193857
TI Antitumor activity of 4-phenyl-1-arylsulfonylimidazolidinone, DW2143
AU Moon, Eun-Yi; Seong, Seung-Kyoo; Jung, Sang-Hun; Lee, Moonsun; Lee, Dug-Keun; Rhee, Dong-Kwon; Pyo, Suhkneung; Yoon, Sung-June
CS Central Research Laboratories, Dong Wha Pharm. Ind. Co. Ltd., Kyunggido, S. Korea
SO Cancer Letters (Shannon, Ireland) (1999), 140(1,2), 177-187
CODEN: CALEDQ; ISSN: 0304-3835
PB Elsevier Science Ireland Ltd.
DT Journal
LA English
AB The authors examined the ability of the sulfonylurea derivative, DW2143 (4-phenyl-1-[1-(4-aminobenzoyl)-indoline-5-sulfonyl]-4,5-dihydro-2- imidazolone hydrochloride), to inhibit the growth of tumor cells in vitro and in vivo. When its anti-proliferative activities were tested on 5 murine tumor (B16, Colon26, EL4, 3LL, and P388) and 9 human tumor (BxPC-3, Hep G2, LoVo, MCF-7, NCI-H69, SW480, WiDR, KB, and KBV20C) cells of diverse tissue origins, the in vitro antitumor activities of DW2143 were comparable to those of doxorubicin against all tumor cell lines. In addition, the anti-proliferative activities of DW2143 against KBV20C, a vincristine-resistant cell line, are similar or superior to those of doxorubicin. When the in vivo antitumor activities using 3 murine tumor cells were tested after oral administration of DW2143, a wide range of tumor growth inhibition was observed Tumor growth inhibition against 3LL at doses of 50 and 100 mg/kg DW2143 was 84.3% and 47.2%, resp., which was comparable or superior to those of doxorubicin (5 mg/kg). Tumor growth inhibition of B16 at a dose of 100 mg/kg in the DW2143-treated group was 42% as compared to 54% for doxorubicin (5 mg/kg). When mice implanted with Colon26 were tested, tumor growth inhibition at a dose of 80 mg/kg DW2143 was 36% as compared with 37% for doxorubicin (5 mg/kg). Taken together, these results indicate that the novel sulfonylurea derivative, DW2143, is an attractive candidate for further development as a useful oral anticancer drug.
IT 203860-97-3, DW 2143
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antitumor activity of DW2143 in murine and human tumor cells)
RN 203860-97-3 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 60 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:113647 CAPLUS Full-text
DN 130:182353
TI Preparation of 5-sulfamoylisatins as caspase inhibitors
IN Lee, Dennis; Long, Scott A.
PA SmithKline Beecham Corporation, USA
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9906367	A1	19990211	WO 1998-US15935	19980730
	W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2297757	A1	19990211	CA 1998-2297757	19980730
	AU 9887632	A	19990222	AU 1998-87632	19980730
	EP 1001933	A1	20000524	EP 1998-939143	19980730
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	JP 2001512100	T	20010821	JP 2000-505126	19980730
	US 6403792	B1	20020611	US 1999-445616	19991209
PRAI	US 1997-54255P	P	19970730		
	WO 1998-US15935	W	19980730		

OS MARPAT 130:182353
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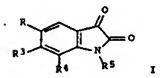
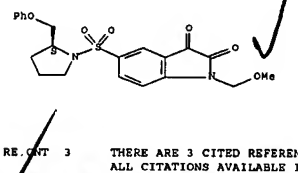


I

AB Title compds. [I; R = SO2NR1R2; R1 = H or alkyl; R2 = (cyclo)alkyl, (hetero)arylalkyl, etc.; NR1R2 = heterocyclyl; R3,R4 = H, halo, NO2, alkyl; R5 = H, alkyl, (hetero)arylalkyl] were prepared Thus, 5-chlorosulfonylisatin was amidated by (S)-2-methoxymethylpyrrolidine to give I [R = (S)-2-methoxymethyl-1-pyrrolidinylsulfonyl, R3-R5 = H]. Data for biol. activity of I were given.
IT 220510-51-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-sulfamoylisatins as caspase inhibitors)
RN 220510-51-0 CAPLUS
CN Pyrrolidine, 1-[[2,3-dihydro-1-(methoxymethyl)-2,3-dioxo-1H-indol-5-yl]sulfonyl]-2-(phenoxymethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 61 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:498326 CAPLUS Full-text
DN 129:148991
TI Preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors
IN Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhano, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray
PA F. Hoffmann-La Roche A.-G., Switz.; Agouron Pharmaceuticals, Inc.
SO Ger. Offen., 84 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19802350	A1	19980730	DE 1998-19802350	19980122
	CA 2278694	A1	19980730	CA 1998-2278694	19980114
	CA 2278694	C	20060926		
	WO 9832748	A1	19980730	WO 1998-EP180	19980114
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9866140	A	19980818	AU 1998-66140	19980114
	AU 730127	B2	20010222		

	EP 958287	A1	19991124	EP 1998-907943	19980114
	EP 958287	B1	20020911		
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	BR 9807508	A	20000321	BR 1998-7508	19980114
	NZ 336625	A	20010427	NZ 1998-336625	19980114
	HU 2000000941	A2	20010428	HU 2000-941	19980114
	HU 200000941	A3	20020628		
	JP 2001523222	T	20011120	JP 1998-531537	19980114
	JP 3563411	B2	20040908		
	AT 223909	T	20020915	AT 1998-907943	19980114
	CN 1093125	B	20021023	CN 1998-803233	19980114
	PT 958287	T	20021231	PT 1998-907943	19980114
	ES 2183331	T3	20030316	ES 1998-907943	19980114
	ZA 9800376	A	19980723	ZA 1998-376	19980116
	IN 1998MA00105	A	20050304	IN 1998-MA105	19980116
	IT 1298163	B1	19991220	IT 1998-MI91	19980120
	FR 2758559	A1	19980724	FR 1998-601	19980121
	GB 2321641	A	19980805	GB 1998-1393	19980122
	GB 2321641	B	20010401		
	ES 2136037	A1	19991101	ES 1998-113	19980122
	ES 2136037	B1	20001116		
	NO 9903587	A	19990922	NO 1999-3587	19990722
	NO 313635	B1	20021104		
	MX 9906822	A	20000131	MX 1999-6822	19990722
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	US 1997-62209P	P	19971016		
	WO 1998-EP180	W	19980114		

OS MARPAT 129:148991
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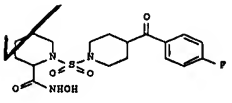

II

AB R10COCR1R2NR3SO2NR20R21 [I; R1-R3 = H, (CO-interrupted) alkyl, heterocyclyl(alkyl), (hetero)aryl(alkyl), etc.; R1R2, R1R3, R2R3 = atoms to complete a ring; R10 = NR11OR12; R11,R12 = H or (ar)alkyl; R20,R21 = H, alkyl, (hetero)aryl(alk(en)yl], etc.; NR20R21heterocyclyl] were prepared Thus, (R)-1-[4-(4-chlorobenzoyl)piperidine-1-sulfonyl]piperidine-2- carboxylic acid was amidated by H2NOCMe3 and the product deprotected to give title compound (R)-II. Data for biol. activity of I were given.
IT 210915-38-1P 210915-40-5P 210915-57-6P
210915-59-8P 210915-60-9P 210915-61-0P
210915-69-8P 210915-71-2P 210915-72-3P
210915-76-7P 210915-78-9P 210915-80-3P
210915-83-6P 210915-86-9P 210915-87-0P
210915-88-1P 210915-89-2P 210915-90-5P
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210915-94-9P 210915-95-0P 210915-96-1P
210916-01-1P 210916-05-5P 210916-08-8P

210916-09-9P 210916-14-6P 210916-16-8P
210916-17-9P 210916-45-4P 210916-47-6P
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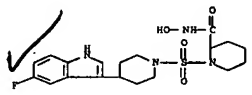
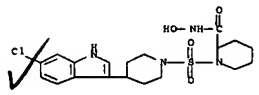
210916-54-5P 210916-55-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors)

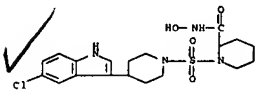
RN 210915-38-1 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



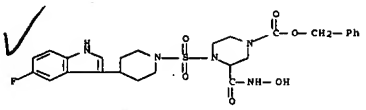
RN 210915-40-5 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(6-chloro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



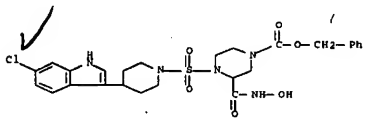
RN 210915-57-4 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-chloro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



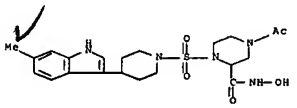
RN 210915-59-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



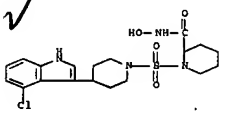
RN 210915-60-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-(6-chloro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 210915-61-0 CAPLUS
CN 2-Piperazinecarboxamide, 4-acetyl-N-hydroxy-1-[[4-(6-methyl-1H-indol-3-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

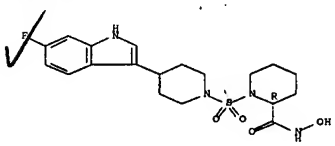


RN 210915-69-8 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(4-chloro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)

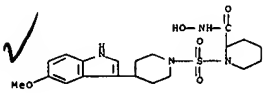


RN 210915-71-2 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(6-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

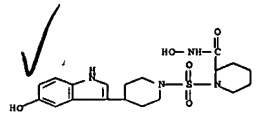
Absolute stereochemistry.



RN 210915-72-3 CAPLUS
CN 2-Piperidinecarboxamide, N-hydroxy-1-[[4-(5-methoxy-1H-indol-3-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

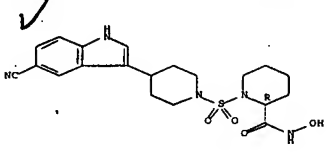


RN 210915-76-7 CAPLUS
CN 2-Piperidinecarboxamide, N-hydroxy-1-[[4-(5-hydroxy-1H-indol-3-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

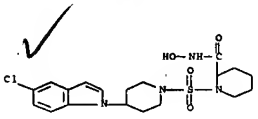


RN 210915-78-9 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

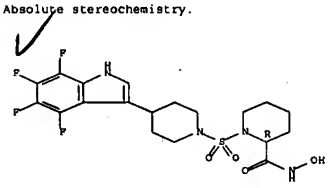


RN 210915-80-3 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-chloro-1H-indol-1-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)

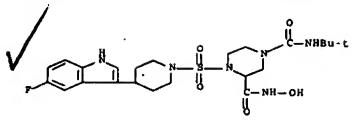


RN 210915-83-6 CAPLUS
CN 2-Piperidinecarboxamide, N-hydroxy-1-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

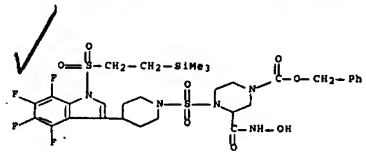
Absolute stereochemistry.



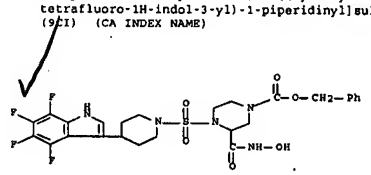
RN 210915-86-9 CAPLUS
CN 1,3-Piperazinedicarboxamide, N1-(1,1-dimethylethyl)-4-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N3-hydroxy- (9CI) (CA INDEX NAME)



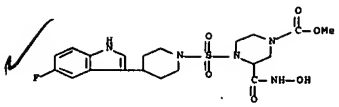
RN 210915-87-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[(hydroxyamino)carbonyl]-4-[[4-[4,5,6,7-tetrafluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



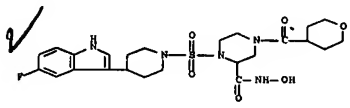
RN 210915-88-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[(hydroxyamino)carbonyl]-4-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 210915-89-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

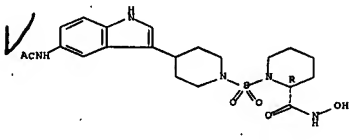


RN 210915-90-5 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-4-[(tetrahydro-2H-pyran-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



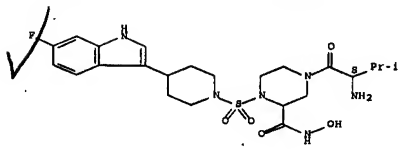
RN 210915-91-6 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-(acetylamino)-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



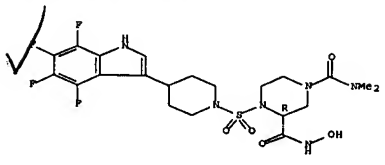
RN 210915-92-7 CAPLUS
CN 2-Piperazinecarboxamide, 4-[(2S)-2-amino-3-methyl-1-oxobutyl]-1-[[4-(6-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



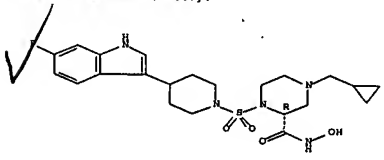
RN 210915-93-8 CAPLUS
CN 1,3-Piperazinedicarboxamide, N3-hydroxy-N1,N1-dimethyl-4-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

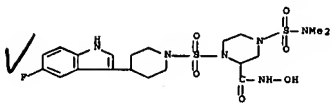


RN 210915-94-9 CAPLUS
CN 2-Piperazinecarboxamide, 4-(cyclopropylmethyl)-1-[[4-(6-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

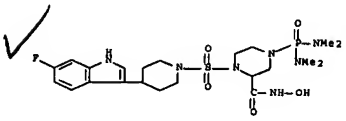
Absolute stereochemistry.



RN 210915-95-0 CAPLUS
CN 2-Piperazinecarboxamide, 4-[(dimethylamino)sulfonyl]-1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)

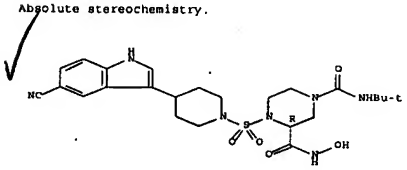


RN 210915-96-1 CAPLUS
CN 2-Piperazinecarboxamide, 4-[bis(dimethylamino)phosphinyl]-1-[[4-(6-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



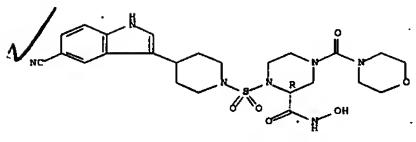
RN 210916-01-1 CAPLUS
CN 1,3-Piperazinedicarboxamide, 4-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N1-(1,1-dimethylethyl)-N3-hydroxy-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



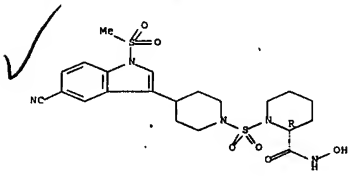
RN 210916-05-5 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-4-(4-morpholinylcarbonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



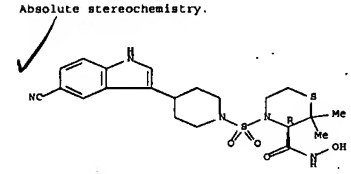
RN 210916-08-8 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-cyano-1-(methylsulfonyl)-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



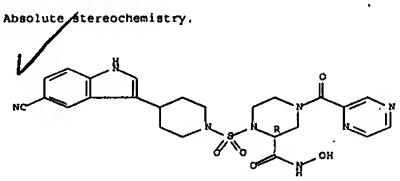
RN 210916-09-9 CAPLUS
CN 3-Thiomorpholinecarboxamide, 4-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-2,2-dimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

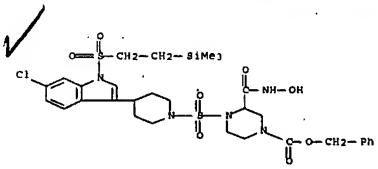


RN 210916-14-6 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-4-(pyrazinylcarbonyl)-, (2R)- (9CI) (CA INDEX NAME)

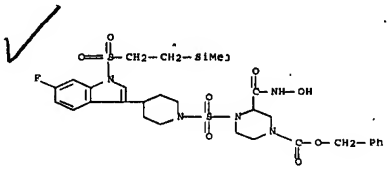
Absolute stereochemistry.



RN 210916-16-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-[6-chloro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

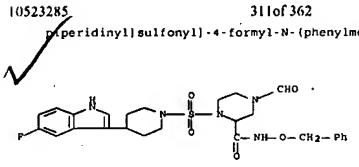


RN 210916-17-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-[6-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



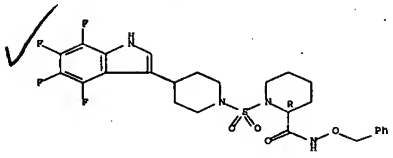
RN 210916-46-4 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-

piperidinyl]sulfonyl]-4-formyl-N-(phenylmethoxy)- (9CI) (CA INDEX NAME)

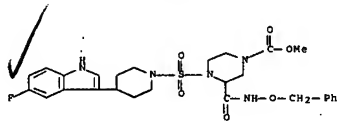


RN 210916-47-5 CAPLUS
CN 2-Piperidinecarboxamide, N-(phenylmethoxy)-1-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

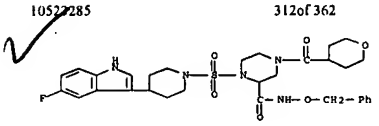
Absolute stereochemistry.



RN 210916-48-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-3-[[(phenylmethoxy)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

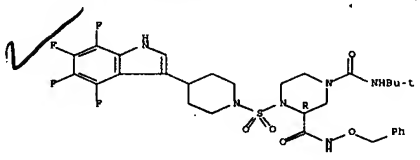


RN 210916-49-7 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-(phenylmethoxy)-4-[(tetrahydro-2H-pyran-4-yl)carbonyl]- (9CI) (CA INDEX NAME)



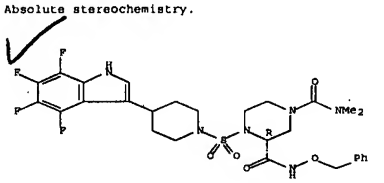
RN 210916-50-0 CAPLUS
CN 1,3-Piperazinedicarboxamide, N1-(1,1-dimethylethyl)-N3-(phenylmethoxy)-4-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



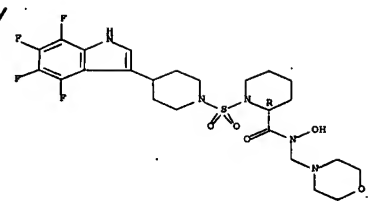
RN 210916-51-1 CAPLUS
CN 1,3-Piperazinedicarboxamide, N1,N1-dimethyl-N3-(phenylmethoxy)-4-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



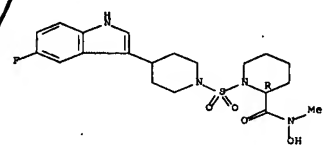
RN 210916-52-2 CAPLUS
CN 2-Piperidinecarboxamide, N-hydroxy-N-(4-morpholinylmethyl)-1-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



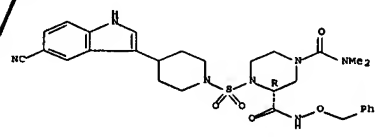
RN 210916-53-3 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-hydroxy-N-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



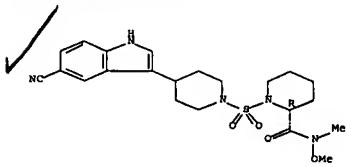
RN 210916-54-4 CAPLUS
CN 1,3-Piperazinedicarboxamide, 4-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N1,N1-dimethyl-N3-(phenylmethoxy)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210916-55-5 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-methoxy-N-methyl-, (2R)- (9CI) (CA INDEX NAME)

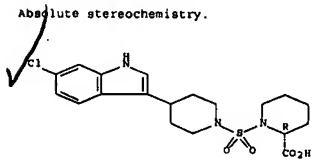
Absolute stereochemistry.



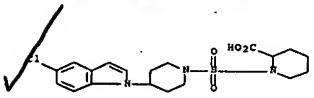
IT 210916-97-5P 210917-00-3P 210917-01-4P
210917-04-7P 210917-46-7P 210917-47-8P
210917-51-4P 210917-52-5P 210917-53-6P
210917-55-8P 210917-56-9P 210917-57-0P
210917-58-1P 210917-59-2P 210917-67-2P
210917-68-3P 210917-69-4P 210917-70-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors)

RN 210916-97-5 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-(6-chloro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

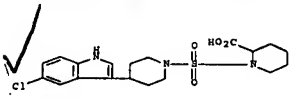
Absolute stereochemistry.



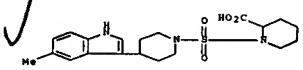
RN 210917-00-3 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-(5-chloro-1H-indol-1-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



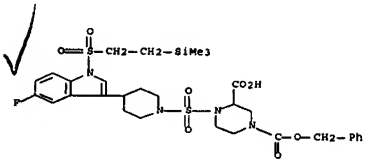
RN 210917-01-4 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-(5-chloro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



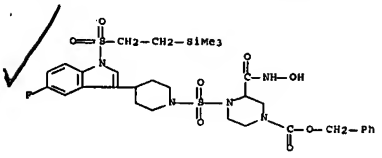
RN 210917-04-7 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-(5-methyl-1H-indol-3-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 210917-46-7 CAPLUS
CN 1,3-Piperazinedicarboxylic acid, 4-[[4-[5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

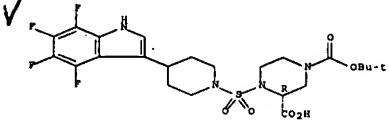


RN 210917-47-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-(5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



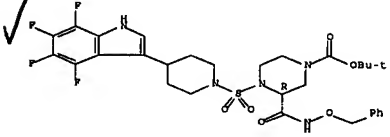
RN 210917-51-4 CAPLUS
CN 1,3-Piperazinedicarboxylic acid, 4-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, 1-(1,1-dimethylethyl) ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



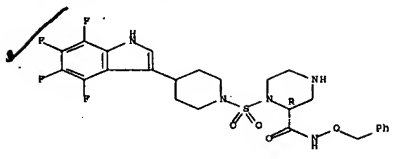
RN 210917-52-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[[(phenylmethoxy)amino]carbonyl]-4-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



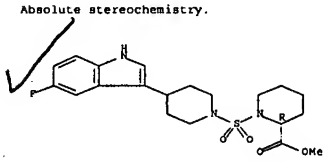
RN 210917-53-6 CAPLUS
CN 2-Piperazinecarboxamide, N-(phenylmethoxy)-1-[[4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



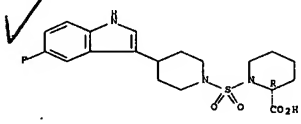
RN 210917-55-8 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



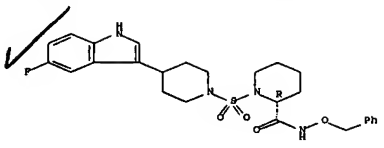
RN 210917-56-9 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



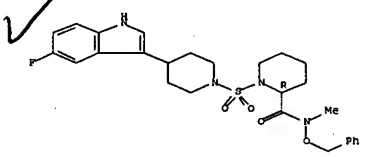
RN 210917-57-0 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

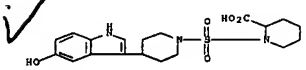


RN 210917-58-1 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-N-methyl-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

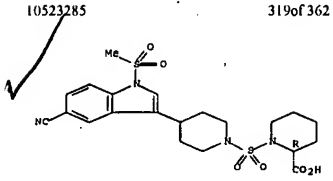


RN 210917-59-2 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-(5-hydroxy-1H-indol-3-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



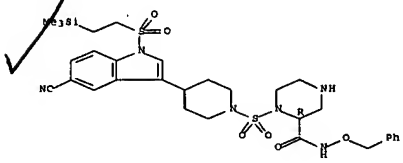
RN 210917-67-2 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-[5-cyano-1-(methylsulfonyl)-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



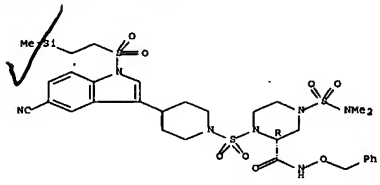
RN 210917-68-3 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[5-cyano-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



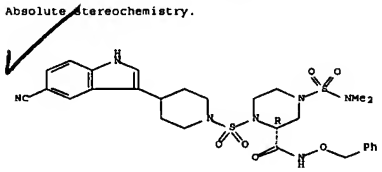
RN 210917-69-4 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[5-cyano-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-4-[(dimethylamino)sulfonyl]-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210917-70-7 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-(5-cyano-1H-indol-3-yl)-1-piperidinyl]sulfonyl]-4-[(dimethylamino)sulfonyl]-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

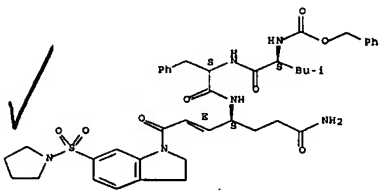
Absolute stereochemistry.



CL ANSWER 62 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:447012 CAPLUS Full-text
DN 129:189656
TI Structure-Based Design, Synthesis, and Biological Evaluation of Irreversible Human Rhinovirus 3C Protease Inhibitors. 1. Michael Acceptor Structure-Activity Studies
AU Dragovich, Peter S.; Webber, Stephen E.; Babine, Robert E.; Fuhrman, Shella A.; Patick, Amy K.; Matthews, David A.; Lee, Caroline A.; Reich, Siegfried H.; Prins, Thomas J.; Marakovits, Joseph T.; Littlefield, Ethel S.; Zhou, Ru; Tikhe, Jayashree; Ford, Clifford E.; Wallace, Michael B.; Meador, James W., III; Ferre, Rose Ann; Brown, Edward L.; Binford, Susan L.; Harr, James E. V.; DeLisle, Dorothy M.; Worland, Stephen T.
CS Agouron Pharmaceuticals Inc., San Diego, CA, 92121, USA
SO Journal of Medicinal Chemistry (1998), 41(15), 2806-2818
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB The structure-based design, chemical synthesis, and biol. evaluation of peptide-derived human rhinovirus (HRV) 3C protease (3CP) inhibitors are described. These compds. incorporate various Michael acceptor moieties and are shown to irreversibly bind to HRV serotype 14 3CP with inhibition activities (kobs/[I]) ranging from 100 to 600 000 M-1 s-1. These inhibitors are also shown to exhibit antiviral activity when tested against HRV-14-infected H1-HeLa cells with EC50's approaching 0.50 μM. Extensive structure-activity relationships developed by Michael acceptor alteration are reported along with the evaluation of several compds. against HRV serotypes other than 14. A 2.0 Å crystal structure of a peptide-derived inhibitor complexed with HRV-2 3CP is also detailed.
IT 199004-86-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(structure-based design, synthesis, and biol. evaluation of irreversible human rhinovirus 3C protease inhibitors which incorporate Michael acceptor moieties)
RN 199004-86-9 CAPLUS
CN L-Phenylalaninamide, N-[(phenylmethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-

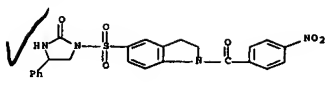
amino-3-oxopropyl)-4-[2,3-dihydro-6-(1-pyrrolidinylsulfonyl)-1H-indol-1-yl]-4-oxo-2-butenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

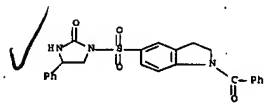


RE.CNT 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

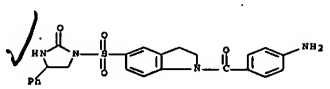
L3 ANSWER 63 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:427363 CAPLUS Full-text
DN 129:136131
TI Synthesis and antitumor activity of 4-phenyl-1-arylsulfonylimidazolidinones
AU Jung, Sang-Hun; Lee, Hui-Soon; Song, Jae-Shin; Kim, Hwan-Mook; Han, Sang-Bae; Lee, Chang-Woo; Lee, Moonsun; Choi, Dong-Rack; Lee, Jung-Ah; Chung, Yong-Ho; Yoon, Sung-June; Moon, Eun-Yi; Hwang, Hyun-Sook; Seong, Seung-Kyoo; Lee, Dug-Keun
CS College of Pharmacy, Chungnam National University, Taejon, 305-764, S. Korea
SO Bioorganic & Medicinal Chemistry Letters (1998), 8(12), 1547-1550
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB Novel 1-(1-benzoylindoline-5-sulfonyl)-4-phenyl-4,5-dihydroimidazolones were prepared and show highly potent and broad cytotoxicities. The 4-aminobenzoyl derivative (DW2143) exhibits much more potent cytotoxicities than doxorubicin and highly effective antitumor activities against murine (3LL, Colon 26) and human xenograft (NCI-H23, SW620) tumor models.
IT 203860-95-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antitumor activity of benzoylindolinesulfonylimidazolones)
RN 203860-95-1 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(4-nitrobenzoyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT 203860-87-1P 210691-35-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antitumor activity of benzoylindolinesulfonylimidazolones)
RN 203860-87-1 CAPLUS
CN 1H-Indole, 1-benzoyl-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 210691-35-3 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)

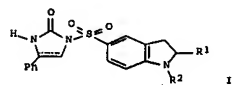


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

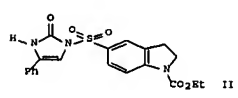
L3 ANSWER 64 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:147327 CAPLUS Full-text
DN 128:204885
TI Preparation of arylsulfonylimidazolones as antitumor agent
IN Yoon, Sung June; Chung, Yong Ho; Lee, Moon Sun; Choi, Dong Rack; Lee, Jung A.; Lee, Hee Soon; Yun, Hae Ran; Lee, Dug Keun; Moon, Eun Yi; Hwang, Hyun Sook; Choi, Chung Ha; Jung, Sang Hun
PA Dong Wha Pharm. Ind. Co., Ltd., S. Korea
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9807719	A1	19980226	WO 1997-KR154	19970820
	W: AU, CA, CN, JP				
	RM: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2263353	A1	19980226	CA 1997-2263353	19970820
	CA 2263353	C	20020423		
	AU 9739529	A	19980306	AU 1997-39529	19970820
	AU 709107	B2	19990819		
	CN 1228088	A	19990908	CN 1997-197359	19970820
	CN 1079096	B	20020213		
	JP 2000505096	T	20000425	JP 1998-510608	19970820
	JP 3226100	B2	20011105		
	EP 1021437	A1	20000726	EP 1997-936869	19970820
	EP 1021437	B1	20011114		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	AT 208774	T	20011115	AT 1997-936869	19970820
	US 5929103	A	19990727	US 1997-915726	19970821
	US 5932742	A	19990803	US 1998-212396	19981216
PRAI	KR 1996-34920	A	19960822		
	KR 1996-51939	A	19961105		
	KR 1996-53450	A	19961112		
	KR 1997-19365	A	19970519		
	WO 1997-KR154	W	19970820		
	US 1997-915726	A3	19970821		

OS MARPAT 128:204885
GI



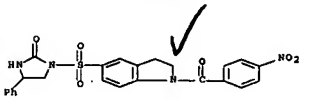
I



II

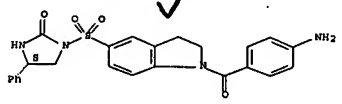
AB The title compds. [I; R1 = H, Me; R2 = chloroacetyl, allylaminoacetyl, C1-5 alkylaminoacetyl, etc.] and their pharmaceutically acceptable salts and stereoisomers, which show a superior antineoplastic activity in contrast to the known sulfonylurea antitumor agents as well as little side effect, were prepared Thus, reaction of 4-phenyl-1-(indoline-5-sulfonyl)-2- imidazolone with ethylchloroformate in the presence of pyridine in CH2Cl2 afforded 96% the title compound II which showed IC50 of 0.374 μg/mL against human lung carcinoma (A549) cell line growth.
IT 203860-95-1P 203861-05-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of arylsulfonylimidazolones as antitumor agent)
RN 203860-95-1 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(4-nitrobenzoyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 203861-05-6 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

IT 203860-69-9P 203860-70-2P 203860-71-3P
203860-72-4P 203860-73-5P 203860-74-6P
203860-75-7P 203860-76-8P 203860-77-9P
203860-84-8P 203860-85-9P 203860-87-1P
203860-88-2P 203860-89-3P 203860-90-6P
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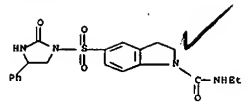
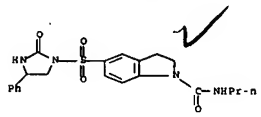
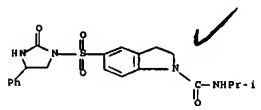
203860-94-0P 203860-96-2P 203860-97-3P
203860-98-4P 203860-99-5P 203861-00-1P
203861-01-2P 203861-02-3P 203861-03-4P
203861-04-5P 203861-06-7P 203861-07-8P
203861-08-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylsulfonylimidazolones as antitumor agent)
RN 203860-69-9 CAPLUS
CN 1H-Indole-1-carboxamide, N-ethyl-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



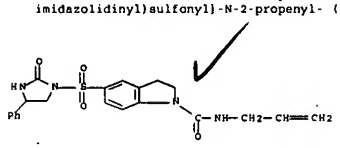
RN 203860-70-2 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-N-propyl- (9CI) (CA INDEX NAME)



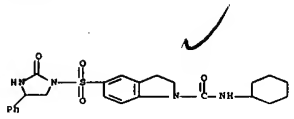
RN 203860-71-3 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-N-(1-methylethyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



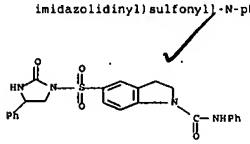
RN 203860-72-4 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-N-2-propenyl- (9CI) (CA INDEX NAME)



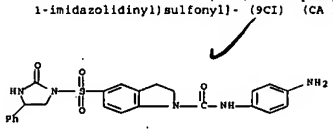
RN 203860-73-5 CAPLUS
CN 1H-Indole-1-carboxamide, N-cyclohexyl-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



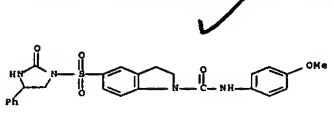
RN 203860-74-6 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-N-phenyl- (9CI) (CA INDEX NAME)



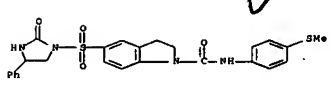
RN 203860-75-7 CAPLUS
CN 1H-Indole-1-carboxamide, N-(4-aminophenyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



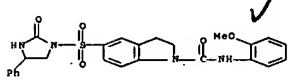
RN 203860-76-8 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-N-(4-methoxyphenyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



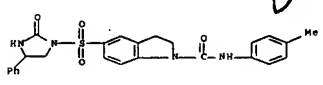
RN 203860-77-9 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-N-[4-(methylthio)phenyl]-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



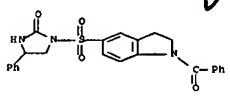
RN 203860-84-8 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-N-(2-methoxyphenyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



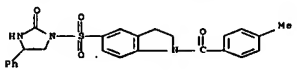
RN 203860-85-9 CAPLUS
CN 1H-Indole-1-carboxamide, 2,3-dihydro-N-(4-methylphenyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



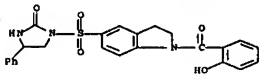
RN 203860-87-1 CAPLUS
CN 1H-Indole, 1-benzoyl-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



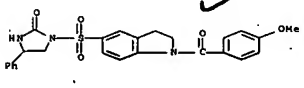
RN 203860-88-2 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(4-methylbenzoyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



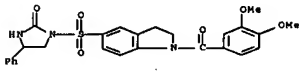
RN 203860-89-3 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(2-hydroxybenzoyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



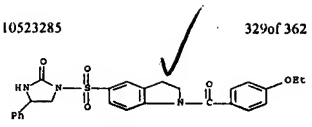
RN 203860-90-6 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-(4-methoxybenzoyl)-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



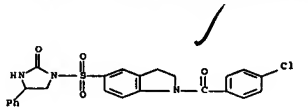
RN 203860-91-7 CAPLUS
CN 1H-Indole, 1-(3,4-dimethoxybenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



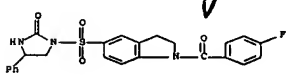
RN 203860-92-8 CAPLUS
CN 1H-Indole, 1-(4-ethoxybenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



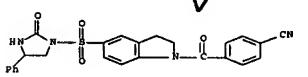
RN 203860-93-9 CAPLUS
CN 1H-Indole, 1-(4-chlorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



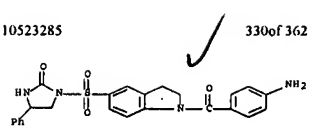
RN 203860-94-0 CAPLUS
CN 1H-Indole, 1-(4-fluorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 203860-96-2 CAPLUS
CN 1H-Indole, 1-(4-cyanobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)

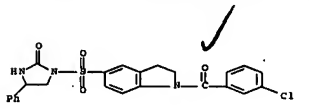


RN 203860-97-3 CAPLUS
CN 1H-Indole, 1-(4-aminobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

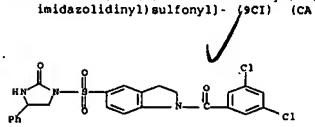


● HCl

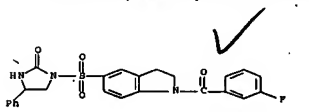
RN 203860-98-4 CAPLUS
CN 1H-Indole, 1-(3-chlorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



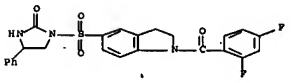
RN 203860-99-5 CAPLUS
CN 1H-Indole, 1-(3,5-dichlorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



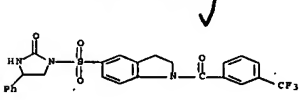
RN 203861-00-1 CAPLUS
CN 1H-Indole, 1-(3-fluorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



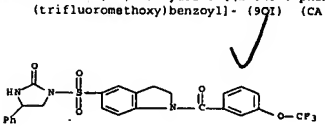
RN 203861-01-2 CAPLUS
CN 1H-Indole, 1-(2,4-difluorobenzoyl)-2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]- (9CI) (CA INDEX NAME)



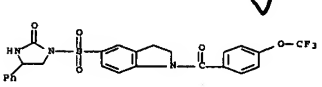
RN 203861-02-3 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1-[3-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 203861-03-4 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1-[3-(trifluoromethoxy)benzoyl]- (9CI) (CA INDEX NAME)

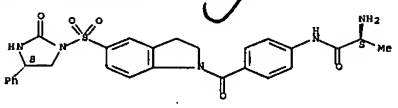


RN 203861-04-5 CAPLUS
CN 1H-Indole, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1-[4-(trifluoromethoxy)benzoyl]- (9CI) (CA INDEX NAME)



RN 203861-06-7 CAPLUS
CN Propanamide, 2-amino-N-[4-[[2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1H-indol-1-yl]carbonyl]phenyl]-, monohydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

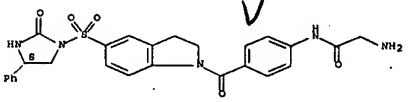
Absolute stereochemistry. Rotation (+).



● HCl

RN 203861-07-8 CAPLUS
CN Acetamide, 2-amino-N-[4-[[2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1H-indol-1-yl]carbonyl]phenyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

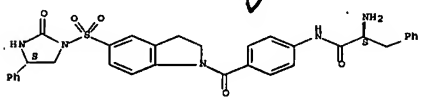
Absolute stereochemistry. Rotation (+).



● HCl

RN 203861-08-9 CAPLUS
CN Benzenepropanamide, α-amino-N-[4-[[2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1H-indol-1-yl]carbonyl]phenyl]-, monohydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



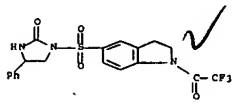
● HCl

IT 203861-15-8P 203861-29-5P 203861-21-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylsulfonylimidazolones as antitumor agent)

RN 203861-15-8 CAPLUS

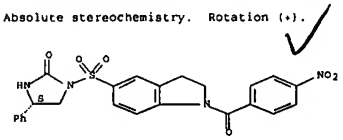
CN 1H-Indole, 2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



RN 203861-20-5 CAPLUS

CN 1H-Indole, 2,3-dihydro-1-(4-nitrobenzoyl)-5-[[(4S)-2-oxo-4-phenyl-1-imidazolidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

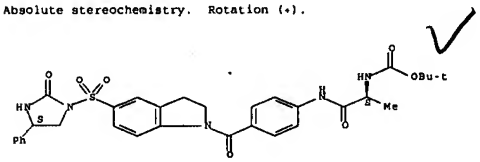
Absolute stereochemistry. Rotation (+).



RN 203861-21-6 CAPLUS

CN Carbamic acid, [2-[[4-[[2,3-dihydro-5-[(2-oxo-4-phenyl-1-imidazolidinyl)sulfonyl]-1H-indol-1-yl]carbonyl]phenyl]amino]-1-methyl-2-oxoethyl]-, 1,1-dimethylethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 65 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:58 CAPLUS Full-text

DN 128:57082

TI Discovery and Evaluation of a Series of 3-Acylindole Imidazopyridine Platelet-Activating Factor Antagonists

AU Curtin, Michael L.; Davidsen, Steven K.; Heyman, H. Robin; Garland, Robert B.; Sheppard, George S.; Florjancic, Alan S.; Xu, Lianhong; Carrera, George M.,Jr.; Steinman, Douglas H.; Trautmann, Jeff A.; Albert, Daniel H.; Magoc, Terrance J.; Tapang, Paul; Rhein, David A.; Conway, Richard G.; Luo, Gongjin; Denissen, Jon F.; Marsh, Kennan C.; Morgan, Douglas W.; Summers, James B.

CS Immunosciences Research Area, Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-3500, USA

SO Journal of Medicinal Chemistry (1998), 41(1), 74-95
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB Studies conducted with the goal of discovering a second-generation platelet-activating factor (PAF) antagonist have identified a novel class of potent and orally active antagonists which have high aqueous solubility and long duration of action in animal models. The compds. arose from the combination of the lipophilic indole portion of Abbott's first-generation PAF antagonist ABT-299 with the methylimidazopyridine heterocycle moiety of British Biotechnol.'s BB-882 and possess the pos. attributes of both of these clin. candidates. Structure-activity relationship (SAR) studies indicated that modification of the indole and benzoyl spacer of lead compound 1-(N,N-Dimethylcarbamoyl)-6-(4-fluorophenyl)-3-{4-[(1H-2- methylimidazo[4,5-c]pyrid-1-yl)methyl]benzoyl}indole gave analogs that were more potent, longer-lived, and bioavailable and resulted in the identification of 1-(N,N-dimethylcarbamoyl)-4-ethynyl-3-{3-fluoro-4-[(1H-2- methylimidazo[4,5-c]pyrid-1-yl)methyl]benzoyl}indole hydrochloride (ABT-491) which has been evaluated extensively and is currently in clin. development.

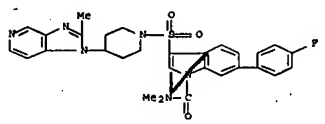
IT 183283-59-2P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(acylindole imidazopyridine PAF antagonist preparation and evaluation)

RN 183283-59-2 CAPLUS

CN 1H-Indole-1-carboxamide, 6-(4-fluorophenyl)-N,N-dimethyl-3-[(4-(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)-1-piperidinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

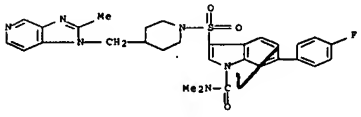


● HCl

(acylindole imidazopyridine PAF antagonist preparation and evaluation)

RN 183283-63-8 CAPLUS

CN 1H-Indole-1-carboxamide, 6-(4-fluorophenyl)-N,N-dimethyl-3-[[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



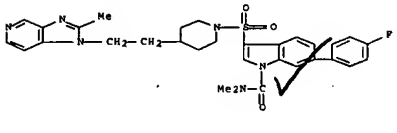
IT 200418-11-7

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(eacylindole imidazopyridine PAF antagonist preparation and evaluation)

RN 200418-11-7 CAPLUS

CN 1H-Indole-1-carboxamide, 6-(4-fluorophenyl)-N,N-dimethyl-3-[[4-[2-(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)ethyl]-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



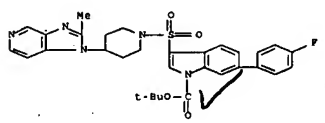
IT 183283-57-0P 183283-60-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction; acylindole imidazopyridine PAF antagonist preparation and evaluation)

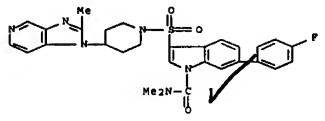
RN 183283-57-0 CAPLUS

CN 1H-Indole-1-carboxylic acid, 6-(4-fluorophenyl)-3-[[4-(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)-1-piperidinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 183283-60-5 CAPLUS

CN 1H-Indole-1-carboxamide, 6-(4-fluorophenyl)-N,N-dimethyl-3-[[4-(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 66 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:757024 CAPLUS Full-text

DN 128:13442

TI Preparation of alkene pseudopeptides as picornavirus 3C protease inhibitors

IN Webber, Stephen E.; Dragovich, Peter S.; Prins, Thomas J.; Reich, Siegfried H.; Little, Thomas L., Jr.; Littlefield, Ethel S.; Marakovits, Joseph T.; Babine, Robert E.; Bleckman, Ted M.

PA Agouron Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 222 pp.
CODEN: PIXXD2

DT Patent

LA English

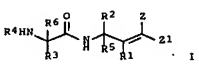
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9743305	A1	19971120	WO 1997-US8112	19970513
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5856530	A	19990105	US 1997-850398	19970502
	CA 2254343	A1	19971120	CA 1997-2254343	19970513

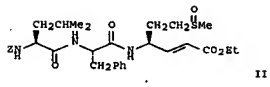
	AU 9730059	A	19971205	AU 1997-30059	19970513
	AU 722704	B2	20000810		
	ZA 9704108	A	19980820	ZA 1997-4108	19970513
	EP 910572	A1	19990428	EP 1997-924707	19970513
	EP 910572	B1	20050427		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2000506903	T	20000606	JP 1997-541076	19970513
	TW 574226	B	20040201	TW 1997-86106355	19970513
	AT 294187	T	20050515	AT 1997-924707	19970513
	ES 2242225	T3	20051101	ES 1997-924707	19970513
	KR 2000011019	A	20000225	KR 1998-709169	19981113
	US 6214799	B1	20010410	US 1999-226205	19990107
	US 6362166	B1	20020326	US 2000-689717	20001013
PRAI	US 1996-17666P	P	19960514		
	US 1996-645687	A	19960514		
	US 1997-850398	A	19970502		
	WO 1997-US8112	W	19970513		
	US 1999-226205	A3	19990107		

OS. CASREACT 128:13442; MARPAT 128:13442

GI



I



II

AB Picornaviral 3C protease inhibitors I [R1 = H, F, alkyl, OH, SH, O-alkyl, S-alkyl; R2, R5 = independently H, XY1A1(B1)D1, alkyl group different from XY1A1(B1)D1, with the proviso that both R2 and R5 ≠ H and when R2 or R5 = XY1A1(B1)D1, X = CH or CF and Y1 = CH or CF;R3, R6 = independently H, F, alkyl; ZR4 = H, OH, suitable organic group; Z, Z1 = independently H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc; XY1 form 3-membered ring with Q1, Q1 = CR10R11, O, X = CH, CF, Y = CH, CF, C-alkyl; R10, R11 = independently H, halo, alkyl; CR10R11 = cycloalkyl, heterocycloalkyl; X = CH2, CF2, CHF, S; Y1 = O, S, NR12,, CR12R14, CO, CS, C(CR13R14); R12 = H, alkyl; R13, R14 = independently H, F, alkyl; CR13R14 = cycloalkyl, heterocycloalkyl; A1 = C, CH, CF, S, P, Se, N, NR15, S(O), Se(O), P(OR15), P(NR15R16); R15, R16 = independently alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; D1 = moiety containing electron lone pair capable of forming hydrogen bond; B1 = H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, OR17, SR17, NR1718, NR19NR17R18, NR17OR18; R17-R19 = H, any group R15; with provisos], and pharmaceutically acceptable salts thereof and prodrugs thereof, obtainable by chemical synthesis, inhibit or block the biol. activity of picornaviral 3C proteases. These compds., as well as pharmaceutical compns. that contain these compds., are suitable for treating patients or hosts infected with one or more picornaviruses. Several novel methods and intermediates can be used

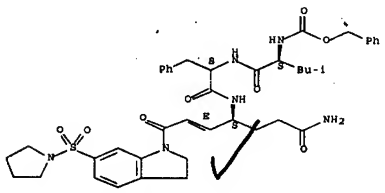
to prepare the novel picornaviral 3C protease inhibitors of the present invention. Thus, olefination of protected peptide aldehyde Z-L-Leu-L-Phe-L-Met(O)-H (Z = PhCH2O2C), prepared in 3 steps from L-methioninol and Z-L-Leu-L-Phe-OH, with (carbethoxymethylene)triphenylpho sphorane gave 74% title compound II. II and related alkene pseudopeptides were tested for inhibition of rhinovirus protease, with II showing Ki = 4.3 μM.

IT 199004-86-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of alkene pseudopeptides as picornavirus 3C protease inhibitors)

RN 199004-86-9 CAPLUS

CN L-Phenylalaninamide, N-[(phenylmethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-[2,3-dihydro-6-(1-pyrrolidinylsulfonyl)-1H-indol-1-yl]-4-oxo-2-butenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L11 ANSWER 67 OF 180 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:687576 CAPLUS Full-text

DN 127:346549

TI Synthesis of vinca alkaloids and related compounds. Part 84. Sulfonamide derivatives of some vinca alkaloids with cardiovascular activity

AU Moldvai, Istvan; Temesvari-Major, Eszter; Szantay, Csaba, Jr.; Toth, Gabor; Karpati, Egon; Szantay, Csaba

CS Central Research Institute Chemistry, Hungarian Academy Sciences, Budapest, H-1525, Hung.

SO Archiv der Pharmazie (Weinheim, Germany) (1997), 330(6), 190-198
CODEN: ARPMAS; ISSN: 0365-6233

PB Wiley-VCH

DT Journal

LA English

OS CASREACT 127:346549

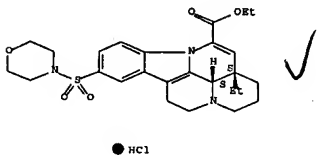
AB (+)-Vincamine and (-)-vinpocetine were chlorosulfonylated and the resulting sulfonyl chloride isomers were transformed into sulfonamides. The ester group of the sulfonamides was modified by selective hydrolysis and transesterification. Apovincaminol derivs. were also prepared by reduction In addition to the known cerebrovascular effects of the unsubstituted compds., the sulfonamides also show a peripheral significant vasodilator effect.

IT 198214-86-7P 198214-88-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of vinca alkaloid sulfonamides with cardiovascular activity)

RN 198214-86-7 CAPLUS

CN Eburnamenine-14-carboxylic acid, 10-(4-morpholinylsulfonyl)-, ethyl ester, monohydrochloride, (3α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

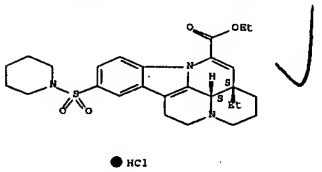


● HCl

RN 198214-88-9 CAPLUS

CN Eburnamenine-14-carboxylic acid, 10-(1-piperidinylsulfonyl)-, ethyl ester, monohydrochloride, (3α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



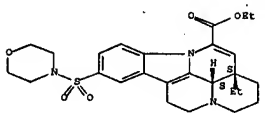
● HCl

IT 198214-85-6P 198214-87-8P 198214-89-0P
198214-90-3P 198214-91-4P 198215-03-1P
198215-09-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of vinca alkaloid sulfonamides with cardiovascular activity)

RN 198214-85-6 CAPLUS

CN Eburnamenine-14-carboxylic acid, 10-(4-morpholinylsulfonyl)-, ethyl ester, (3α,16α)- (9CI) (CA INDEX NAME)

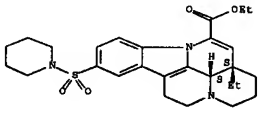
Absolute stereochemistry. Rotation (+).



RN 198214-87-8 CAPLUS

CN Eburnamenine-14-carboxylic acid, 10-(1-piperidinylsulfonyl)-, ethyl ester, (3α,16α)- (9CI) (CA INDEX NAME)

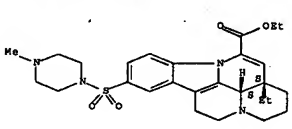
Absolute stereochemistry. Rotation (+).



RN 198214-89-0 CAPLUS

CN Eburnamenine-14-carboxylic acid, 10-[(4-methyl-1-piperazinyl)sulfonyl]-, ethyl ester, (3α,16α)- (9CI) (CA INDEX NAME)

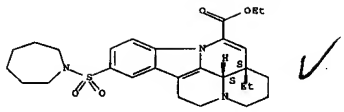
Absolute stereochemistry. Rotation (+).



RN 198214-90-3 CAPLUS

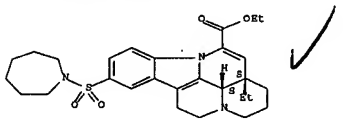
CN Eburnamenine-14-carboxylic acid, 10-[(hexahydro-1H-azepin-1-yl)sulfonyl]-, ethyl ester, (3α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 198214-91-4 CAPLUS
CN Eburnamenine-14-carboxylic acid, 10-[(hexahydro-1H-azepin-1-yl)sulfonyl]-, ethyl ester, monohydrochloride, (3α,16α)- (9CI) (CA INDEX NAME)

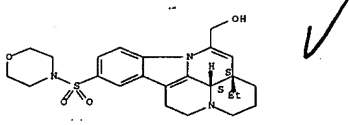
Absolute stereochemistry. Rotation (+).



● HCl

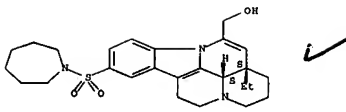
RN 198215-03-1 CAPLUS
CN Morpholine, 4-[[(3α,16α)-14-(hydroxymethyl)eburnamenin-10-yl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 198215-09-7 CAPLUS
CN 1H-Azepine, hexahydro-1-[[(3α,16α)-14-(hydroxymethyl)eburnamenin-10-yl]sulfonyl]- (9CI) (CA INDEX NAME)

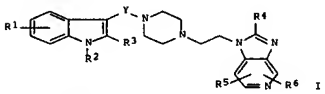
Absolute stereochemistry. Rotation (-).



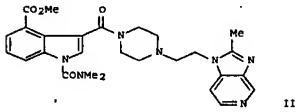
L11 ANSWER 68 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:527766 CAPLUS Full-text
DN 127:205591
TI Indole piperazine platelet activating factor antagonists
IN Sheppard, George S.; Davidsen, Steven K.; Summers, James B.; Carrera, George M., Jr.
PA Abbott Laboratories, USA
SO U.S., 14 pp., Cont.-in-part of U.S. 5,567,711.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5654305	A	19970805	US 1996-674367	19960702
	US 5567711	A	19961022	US 1995-424911	19950419
PRAI	US 1995-424911	A2	19950419		
OS	MARPAT 127:205591				

GI



I



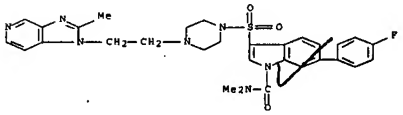
II

AB The invention provides compds. I [Y = CO, S(O)t; t = 1, 2; R1 = H, halo, OH, cyano, alk(en/yn)yl, alkoxy, alkanoyl, CO2H or esters, (un)substituted Ph, etc.; R2 = H, alkyl, phenylalkyl, (CH2)pX where p = 0-4 and X = various functional groups, etc.; R3 = H, alkyl; R4 = alk(en/yn)yl, alkoxy, alkylthio, phenylalkyl, etc.; R5, R6 = H, alkyl, halo, haloalkyl, alkoxy] and their

pharmaceutically acceptable salts. The compds. are potent antagonists of PAF and are useful in the treatment of PAF-related disorders including asthma, rhinitis, shock, respiratory distress syndrome, acute inflammation, transplanted organ rejection, gastrointestinal ulceration, allergic skin diseases, delayed cellular immunity, parturition, fetal lung maturation, and cellular differentiation. For instance, indole-1,3,4-tricarboxylic acid 1-dimethylamide 4-Me ester was coupled with 1-[2-(piperazin-1-yl)ethyl]-2-methyl-1H-imidazo[4.5-c]pyridine using BOP-Cl and DIPEA in THF, to give title compound II, isolated as the HCl salt. In a PAF receptor binding assay, 3 compds. I had Ki values of 30-120 nM.

IT 183283-66-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole piperazines as PAF antagonists)

RN 183283-66-1 CAPLUS
CN 1H-Indole-1-carboxamide, 6-(4-fluorophenyl)-N,N-dimethyl-3-[[4-[2-(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)ethyl]-1-piperazinyl]sulfonyl]- (9CI) (CA INDEX NAME)

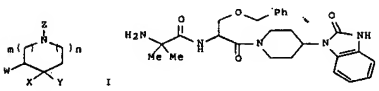


L11 ANSWER 69 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:94071 CAPLUS Full-text
DN 126:104431
TI Preparation of heterocyclic dipeptide derivatives which promote release of growth hormone
IN Carpino, Philip A.; Jardine DaSilva, Paul A.; Lefker, Bruce A.; Ragan, John A.
PA Pfizer Inc., USA
SO PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9638471	A1	19961205	WO 1995-IB410	19950529
	W: CA, FI, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2220055	A1	19961205	CA 1995-2220055	19950529
	CA 2220055	C	20010424		
	EP 828754	A1	19980318	EP 1995-918123	19950529
	EP 828754	B1	20050202		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	JP 10510511	T	19981013	JP 1995-511175	19950529
	JP 3133073	B2	20010205	JP 1996-511175	19950529

	AT 288444	T	20050215	AT 1995-918123	19950529
	ES 2235171	T3	20050701	ES 1995-918123	19950529
	NO 9602162	A	19961202	NO 1996-2162	19960528
	AU 9654554	A	19961212	AU 1996-54554	19960528
	CN 1143647	A	19970226	CN 1996-107637	19960528
	US 5936089	A	19990810	US 1997-973268	19971126
	FI 9704368	A	19971128	FI 1997-4368	19971128
PRAI	WO 1995-IB333	A	19950508		
	WO 1995-IB410	W	19950529		
OS	MARPAT 126:104431				

GI



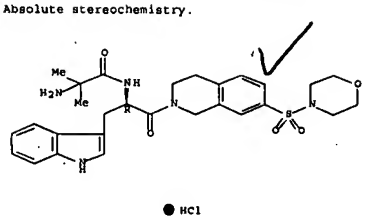
I II

AB Title compds. I [Z = COCR1R2cLCOANR4R5; L = NR6, O, CH2; W = H; W and X = benzo fusion substituted with 0-3 R3a, TR3b, or R12; Y = H, C1-6 alkyl, C4-10 cycloalkyl, aryl-K, phenyl-(C1-6alkyl)-K, thienyl-(C1-6 alkyl)-K substituted with 0-3 R3a, R3b, or R12; K = bond, O, S(O)m, NR2a; X = OR2, R50MN(Aryl), R8R9NCO, R2bO2C, (un)substituted carbo- or heterobicyclic ring; R1 = (un)substituted C1-10 alkyl, aryl, etc.; R2c = H, C1-6 alkyl, C3-7 cycloalkyl; CR1R3c = (un)substituted C3-8 ring; R2 = H, C1-6 alkyl, C3-7 cycloalkyl; R2a = H, C1-6 alkyl; R2b = H, C1-8 alkyl, C1-8 halogenated alkyl, C3-8 cycloalkyl, alkylaryl, aryl; R3a, R12 = independently H, halo, Me, OMe, CF3; T = bond, phenylene, 5- or 6-membered heterocycle containing 1-3 hetero atoms; R3b = H, CONR8R9, SO2R8R9, CO2H, CO2(C1-6 alkyl), NR2SO2R9, NR2CONR8R9, NR2SO2NR8R9, NR2COR9, imidazolyl, thiazolyl, tetrazolyl; R4, R5 = independently H, (un)substituted C1-6 alkyl; R6 = H, C1-6 alkyl; R6CR2c = C3-8 ring; R50 = (un)substituted morpholino, piperazino, C3-7 cycloalkyl, C1-6 alkyl; M = CO, SO2; A = bond, Z1(CH2)xCR7R7a(CH2)y; Z1 = NR2, O, bond; R7, R7a = independently H, CF3, Ph, (un)substituted C1-6 alkyl; R8 = H, (un)substituted C1-6 alkyl; R9 = H, (un)substituted C1-6 alkyl, Ph, thiazolyl, imidazolyl, furyl, thienyl), are growth hormone releasing peptide mimics. Heterocyclic dipeptide derivs. I are useful for the treatment and prevention of osteoporosis (no data). Thus, condensation of Boc-D-Ser(CH2Ph)-OH (Boc = Me3CO2C) with 4-(2-oxo-1-benzimidazolinyl)piperidine, followed by deprotection, coupling with BocNHCMe2CO2H, and deprotection with HCl gave dipeptide amide salt II.

IT 185056-60-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of growth hormone-releasing dipeptides)

RN 185056-60-4 CAPLUS
CN Propanamide, 2-amino-N-[2-[3,4-dihydro-7-(4-morpholinylsulfonyl)-2(1H)-isoquinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-methyl-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

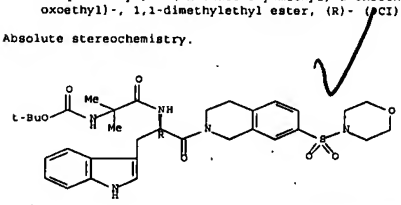
Absolute stereochemistry.



● HCl

IT 185059-06-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of growth hormone-releasing dipeptides)
RN 185059-06-7 CAPLUS
CN Carbamic acid, [2-[[2-[3,4-dihydro-7-(4-morpholinylsulfonyl)-2(1H)-isoquinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



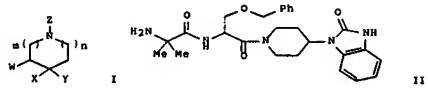
L11 ANSWER 70 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:26293 CAPLUS Full-text
DN 126:60362
TI Preparation of heterocyclic dipeptide derivatives which promote release of growth hormone
IN Carpino, Philip A.; Jardine DaSilva, Paul A.; Lefker, Bruce A.; Ragan, John A.
PA Pfizer, Inc., USA
SO PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9635713	A1	19961114	WO 1995-IB333	19950508

W: CA, FI, JP, MX, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

AU 9654554	A	19961212	AU 1996-54554	19960528
PRAI WO 1995-IB333	A	19950508		
WO 1995-IB410	A	19950529		

OS MARPAT 126:60362
GI

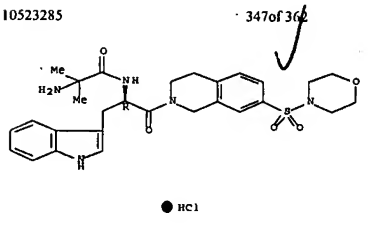


I II

AB Title compds. I [Z = COCR1R2cLCOANR4R5; L = NR6, O, CH2; W = H; W and X = benzo fusion optionally substituted with 1-3 R3a, TR3b, or R12; Y = H, C1-6 alkyl, C3-10 cycloalkyl, aryl optionally substituted with 1-3 R3a, R3b, or R12; X = OR2, R50MN(Aryl), R8R9NCO, R2bO2C, optionally substituted carbobicyclic or heterobicyclic ring; R1 = optionally substituted C1-10 alkyl, aryl, etc.; R2c = H, C1-6 alkyl, C3-7 cycloalkyl; CR1R3c = optionally substituted C3-8 ring; R2 = H, C1-6 alkyl, C3-7 cycloalkyl; R2a = H, C1-6 alkyl; R2b = H, C1-8 alkyl, C1-8 halogenated alkyl, C3-8 cycloalkyl, alkylaryl, aryl; R3a, R12 = independently H, halo, Me, OMe, CF3; T = bond, phenylene, 5- or 6-membered heterocycle containing 1-3 hetero atoms; R3b = H, CONR8R9, SO2R8R9, CO2H, CO2(C1-6 alkyl), NR2SO2R9, NR2CONR8R9, NR2SO2NR8R9, NR2COR9, imidazolyl, thiazolyl, tetrazolyl; R4, R5 = independently H, optionally substituted C1-6 alkyl; R6 = H, C1-6 alkyl; R6CR2c = C3-8 ring; R50 = optionally substituted morpholino, piperazino, C3-7 cycloalkyl, C1-6 alkyl; M = CO, SO2; A = bond, Z1(CH2)xCR7R7a(CH2)y; Z1 = NR2, O, bond; R7, R7a = independently H, CF3, Ph, optionally substituted C1-6 alkyl; R8 = H, optionally substituted C1-6 alkyl; R9 = H, optionally substituted C1-6 alkyl, Ph, thiazolyl, imidazolyl, furyl, thienyl], are growth hormone releasing peptide mimics. Heterocyclic dipeptide derivs. I are useful for the treatment and prevention of osteoporosis. Thus, condensation of Boc-D-Ser(CH2Ph)-OH (Boc = Me3CO2C) with 4-(2-oxo-1-benzimidazolinyl)piperidine, followed by deprotection, coupling with BocNHCMe2CO2H, and deprotection with HCl gave dipeptide amide salt II.

IT 185056-60-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and growth hormone releasing activity of heterocyclic dipeptide derivs.)
RN 185056-60-4 CAPLUS
CN Propanamide, 2-amino-N-[2-[3,4-dihydro-7-(4-morpholinylsulfonyl)-2(1H)-isoquinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-methyl-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

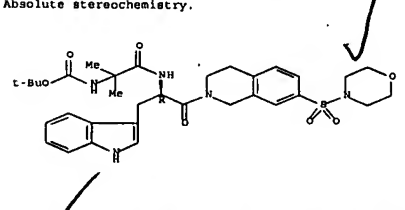
Absolute stereochemistry.



● HCl

IT 185059-06-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and growth hormone releasing activity of heterocyclic dipeptide derivs.)
RN 185059-06-7 CAPLUS
CN Carbamic acid, [2-[[2-[3,4-dihydro-7-(4-morpholinylsulfonyl)-2(1H)-isoquinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 71 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1996:657032 CAPLUS Full-text
DN 125:328713
TI Indole-3-carbonyl and indole-3-sulfonyl imidazo[4,5-c]pyridine derivatives as platelet activating factor antagonists
IN Sheppard, George S.; Davidsen, Steven K.; Summers, James B.; Carrera, George M., Jr.
PA Abbott Laboratories, USA
SO U.S., 24 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5567711	A	19961022	US 1995-424911	19950419

CA 2218020	A1	19961024	CA 1996-2218020	19960325
WO 9633196	A1	19961024	WO 1996-US4010	19960325

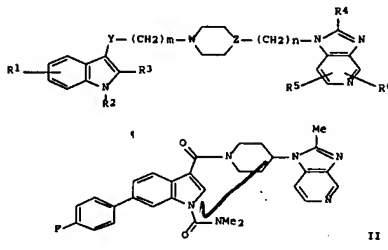
W: AU, CA, JP, KR, MX
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

AU 9654295	A	19961107	AU 1996-54295	19960325
AU 705237	B2	19990520		
EP 821685	A1	19980204	EP 1996-911396	19960325
EP 821685	B1	20011004		

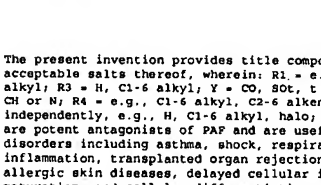
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE

JP 11503758	T	19990330	JP 1996-531740	19960325
AT 206425	T	20011015	AT 1996-911396	19960325
ES 2164240	T3	20020216	ES 1996-911396	19960325
PT 821685	T	20020328	PT 1996-911396	19960325
IL 117723	A	20000726	IL 1996-117723	19960329
US 5643922	A	19970701	US 1996-677462	19960702
US 5654305	A	19970805	US 1996-674367	19960702
PRAI US 1995-424911	A	19950419		
WO 1996-US4010	W	19960325		

OS MARPAT 125:328713
GI



I



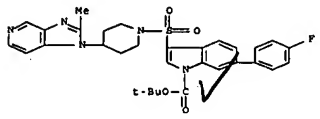
II

AB The present invention provides title compds. I and the pharmaceutically acceptable salts thereof, wherein: R1 = e.g., H, halo, OH; R2 = e.g., H, C1-6 alkyl; R3 = H, C1-6 alkyl; Y = CO, SOt, t is 1 or 2; W and Z are independently CH or N; R4 = e.g., C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; R5 and R6 are independently, e.g., H, C1-6 alkyl, halo; m is 0 or 1; n is 0, 1, or 2, which are potent antagonists of PAF and are useful in the treatment of PAF-related disorders including asthma, shock, respiratory distress syndrome, acute inflammation, transplanted organ rejection, gastrointestinal ulceration, allergic skin diseases, delayed cellular immunity, parturition, fetal lung maturation, and cellular differentiation. Thus, e.g., coupling of 6-(4-fluorophenyl)indole-1,3- dicarboxylic acid 1-dimethylamide with 1H-1-(piperidin-4-yl)-2-methyl[4,5- c]imidazopyridine (both prepns. given) afforded 6-(4-fluorophenyl)-3-{[4- (1H-2-methylimidazo[4,5-c]pyrid-1-yl)piperidin-1-

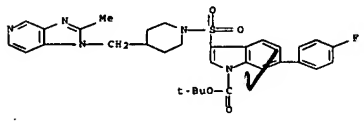
yl]carbonyl}indole-1- carboxylic acid dimethylamide (II) which exhibited Ki = 3.3 nM in the PAF receptor binding assay.

IT 183282-57-0P 183283-61-6P 183283-64-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(indole-3-carbonyl and indole-3-sulfonyl imidazo[4,5-c]pyridine derivs. as platelet activating factor antagonists)

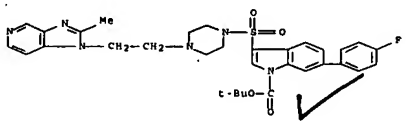
RN 183283-57-0 CAPLUS
CN 1H-Indole-1-carboxylic acid, 6-(4-fluorophenyl)-3-[[4-(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)-1-piperidinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 183283-61-6 CAPLUS
CN 1H-Indole-1-carboxylic acid, 6-(4-fluorophenyl)-3-[[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]-1-piperidinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

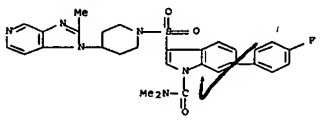


RN 183283-64-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 6-(4-fluorophenyl)-3-[[4-[2-(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)ethyl]-1-piperazinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



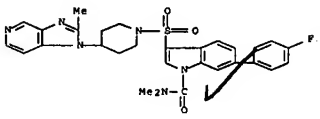
IT 183282-59-2P 183283-60-5P 183283-62-8P
183283-66-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(indole-3-carbonyl and indole-3-sulfonyl imidazo[4,5-c]pyridine derivs. as platelet activating factor antagonists)

RN 183283-59-2 CAPLUS
CN 1H-Indole-1-carboxamide, 6-(4-fluorophenyl)-N,N-dimethyl-3-[[4-(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)-1-piperidinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

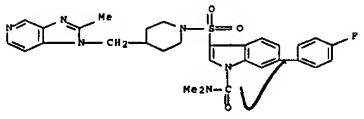


● HCl

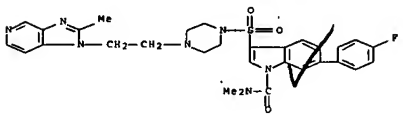
RN 183283-60-5 CAPLUS
CN 1H-Indole-1-carboxamide, 6-(4-fluorophenyl)-N,N-dimethyl-3-[[4-(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 183283-63-8 CAPLUS
CN 1H-Indole-1-carboxamide, 6-(4-fluorophenyl)-N,N-dimethyl-3-[[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 183283-66-1 CAPLUS
CN 1H-Indole-1-carboxamide, 6-(4-fluorophenyl)-N,N-dimethyl-3-[[4-[2-(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)ethyl]-1-piperazinyl]sulfonyl]- (9CI) (CA INDEX NAME)

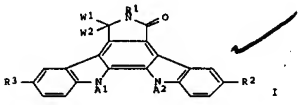


L1 ANSWER 72 OF 80 - CAPLUS. COPYRIGHT 2007 ACS on STN
AN 1995:216587 CAPLUS Full-text
DN 122:10020
TI preparation of benzodiindole derivatives as antithrombotics
IN Tamaoki, Tatsuya; Shiotsu, Yukimasa; Murakata, Chikara; Akinaga, Shiro; Okabe, Masami; Saitoh, Yutaka; Watanabe, Junichi; Shiraki, Takako
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9406799	A1	19940331	WO 1993-JP1346	19930920
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 630898	A1	19941228	EP 1993-919687	19930920
EP 630898	B1	20011128		
R: DE, ES, FR, GB, IT				
ES 2171416	T3	20020916	ES 1993-919687	19930920
JP 3411280	B2	20030526	JP 1994-507976	19930920

US 5674867	A	19971007	US 1994-244111	19940518
PRAI JP 1992-250941	A	19920921		
WO 1993-JP1346	W	19930920		

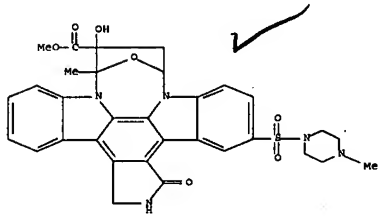
OS MARPAT 122:10020
GI



AB Title compds. I [R1 = H, alkyl, alkanoyl, benzyl, amino; R2 = H, OH, alkoxy, alkanoyl, halo, etc.; R3 = H, alkanoyl, halo, OH, alkoxy; W1, W2 = H, OH, alkylthio, etc.; A1, A2 = H, or together = 4-(methylamino)-2-methyl- 3-methoxytetrahydro-2,6-pyrandiyl, etc.] are prepared and their blood platelet aggregation inhibiting activities were evaluated. E.g., I [R1-R3 = H, W1 = H, W2 = OH, A1A2 = O] at 1 nM showed 127% inhibition of blood platelet aggregation compared with 100% for the control.

IT 159404-51-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antithrombotic)

RN 159404-51-0 CAPLUS
CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid, 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-16-[(4-methyl-1-piperazinyl)sulfonyl]-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

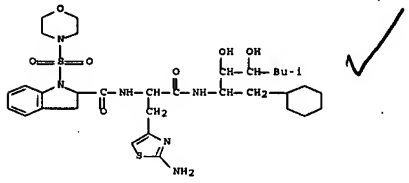


L1 ANSWER 73 OF 80 CAPLUS. COPYRIGHT 2007 ACS on STN
AN 1993:552120 CAPLUS Full-text

DN 119:152120
TI Tetrahydroisoquinoline-type renin inhibiting peptides
IN Hamilton, Harriet W.; Patt, William C.
PA Warner-Lambert Co., USA
SO U.S., 11 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

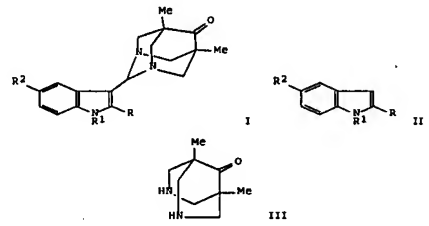
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5219851	A	19930615	US 1991-664916	19910305
PRAI US 1991-664916		19910305		

OS MARPAT 119:152120
AB The title compds. (Markush included) contain a tetrahydroisoquinoline or similar heterocycle at the P3 position. The compds. are useful for treatment of hypertension, congestive heart failure, glaucoma, hyperaldosteronism, and diseases caused by retroviruses, including HTLV-i, -II, and -III. Processes for preparing the compds., compns. containing them, and methods of using them are included. Also included is a diagnostic method which uses the compds. to determine the presence of renin-associated hypertension or hyperaldosteronism. Preparation and renin-inhibitory activity of several of the compds. are presented, as is the in vivo blood pressure lowering effect.
IT 150145-75-8
RL: BIOL (Biological study)
(renin-inhibiting peptide)
RN 150145-75-8 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[(2-amino-4-thiazolyl)methyl]-2-[[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]amino]-2-oxoethyl]-2,3-dihydro-1-(4-morpholinylsulfonyl)- (9CI) (CA INDEX NAME)

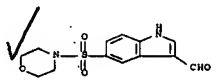


L11 ANSWER 74 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1991:449662 CAPLUS Full-text
DN 115:49662
TI Synthesis and transformation of polyhedric compounds. XIII. Search for antitumor agents among indolyl-1,3-diazaadamantanes
AU Chachoyan, A. A.; Shkulev, V. A.; Pisarskii, Yu. B.; Saakyan, G. S.; Agadzhanyan, Ts. E.; Garibdzhanyan, B. T.
CS Inst. Tonkoi Org. Khim., Yerevan, USSR
SO Khimiko-Farmatsevticheskii Zhurnal (1991), 25(4), 45-8
CODEN: KHFZAN; ISSN: 0023-1134

DT Journal
LA Russian
OS CASREACT 115:49662
GI



AB The title compds. I (R, R1 = H, Me; R2 = H, OMe, dialkylaminosulfonyl) were prepared by cyclocondensation of formylindoles II with diazabicyclononanone III in 52-67% yield. Their antitumor activity was examined
IT 120729-87-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with diazabicyclononanone)
RN 120729-87-5 CAPLUS
CN Morpholine, 4-[(3-formyl-1H-indol-5-yl)sulfonyl]- (9CI) (CA INDEX NAME)

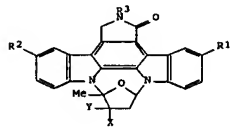


L11 ANSWER 75 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1989:477750 CAPLUS Full-text
DN 111:77750
TI K-252 derivatives as protein kinase C inhibitors, their preparation, and formulations containing them
IN Hirata, Tadashi; Mochida, Kenichi; Muragata, Tsutomu; Takahashi, Mitsuru; Kase, Hiroshi; Yamada, Koji; Iwahashi, Kazuyuki; Sato, Akira; Kasai, Masaji; et al.
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF
DT Patent

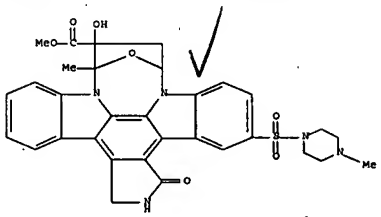
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 63295588	A	19881201	JP 1987-327858	19871224
JP 08026036	B	19960313		
PRAI JP 1987-12719	A1	19870122		

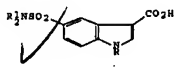
OS MARPAT 111:77750
GI



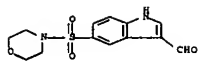
AB The title compds. I [R1,R2 = H, Me, hydroxymethyl, lower alkoxymethyl, alkylthiomethyl, etc.; R3 = H, Cl, lower alkanoyl, carbamoyl, etc.; X = hydroxymethyl, CO2H, lower alkoxycarbonyl, etc.; Y = OH, lower alkanoyloxy, etc., or YX = OCMe2OCH2, OCSNHCH2, etc.; provisos are given (for example, when X = hydroxymethyl, CO2H, lower alkoxycarbonyl, at least one of R1-R3 must be other than H)], useful as protein kinase C inhibitors, were prepared Treatment of I (R1 = NH2, R2 = H, R3 = Ac, X = CO2Me, Y = OAc) (preparation given) with MeONa, followed by workup and acidification, gave I.HCl (R1 = NH2, R2 = R3 = H, X = CO2Me, Y = OH) (II). II in vitro exhibited an IC50 of 0.175 μg/mL against protein kinase C. A tablet formulation containing I (R1 = R2 = R3 = H, X = CH:NOH, Y = OH) 100, starch 18, lactose 40, Ca CM-cellulose 10 g, hydroxypropylcellulose, and Mg stearate (amount unspecified) is given.
IT 121665-07-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as protein kinase C inhibitor)
RN 121665-07-4 CAPLUS
CN 9,12-Epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocine-10-carboxylic acid, 2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-16-[(4-methyl-1-piperazinyl)sulfonyl]-1-oxo-, methyl ester, [9S-(9α,10α,12α)]- (9CI) (CA INDEX NAME)



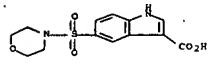
L11 ANSWER 76 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1989:224995 CAPLUS Full-text
DN 110:224995
TI Search for antitumor agents among indolesulfonamide derivatives
AU Chachoyan, A. A.; Shkulev, V. A.; Samvelyan, K. G.; Garibdzhanyan, B. T.; Papayan, G. L.
CS Inst. Tonk. Org. Khim., Yerevan, USSR
SO Khimiko-Farmatsevticheskii Zhurnal (1989), 23(2), 166-9
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
OS CASREACT 110:224995
GI



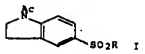
AB Indolesulfonamides (I, R = H, Me or Et, R1 = Me, Et, CH2CH2Cl or NR12 = morpholino) were prepared by the chlorosulfonation of 2-formylindole followed by reaction with dialkylamines or morpholine, alkylation and/or oxidation with KMnO4. The antitumor activity of I was dependent on the nature of the substituent. I (R = H and R1 = Me) (II) (LD100 > 5000 kg/mg) was practically nontoxic and showed antitumor activity against Sarcoma 45 and Pliss lymphosarcoma. Replacement of H in II by a Me group did not lead to a substantial change in activity of the compds., whereas the replacement by a Et group led to a complete loss of toxicity as well as some loss in antitumor activity. Structure activity relations are discussed.
IT 120729-87-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation and oxidation of)
RN 120729-87-5 CAPLUS
CN Morpholine, 4-[(3-formyl-1H-indol-5-yl)sulfonyl]- (9CI) (CA INDEX NAME)



IT 120729-96-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of)
RN 120729-96-6 CAPLUS
CN 1H-Indole-3-carboxylic acid, 5-(4-morpholinylsulfonyl)- (9CI) (CA INDEX NAME)



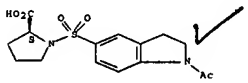
L11 ANSWER 77 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1987:407583 CAPLUS Full-text
DN 107:7583
TI Synthesis of some 1-acetylindoline-5-sulfonyl amino acid derivatives and studies of their antimicrobial activities
AU Zaher, M. R.; Kora, F. A.; Hussein, M. E.; El-Sayed, R. A.; El-Naggar, A. M.
CS Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SO Farmaco, Edizione Scientifica (1986), 41(9), 729-36
CODEN: FRPSAX; ISSN: 0430-0920
DT Journal
LA English
GI



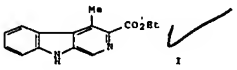
AB Title amino acid derivs. I (R = amino acid residue or corresponding Me ester or hydrazide, dipeptide Me ester residue) were prepared from 1-acetylindoline-5-sulfonyl chloride and amino acids or esters and optional hydrazinolysis or

peptide coupling. Most I (27 compds.) showed antimicrobial activity against a variety of microorganisms.
IT 108583-97-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of)
RN 108583-97-7 CAPLUS
CN L-Proline, 1-[(1-acetyl-2,3-dihydro-1H-indol-5-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

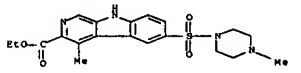


L11 ANSWER 78 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1983:594831 CAPLUS Full-text
DN 99:194831
TI Synthesis of 4-substituted β-carbolines
AU Neef, Gunter; Eder, Ulrich; Huth, Andreas; Rahtz, Dieter; Schmiechen, Ralph; Seidelmann, Dieter
CS Res. Lab., Schering A.-G., Berlin, D-1000/65, Fed. Rep. Ger.
SO Heterocycles (1983), 20(7), 1295-313
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
OS CASREACT 99:194831
GI



AB 4-Substituted β-carbolines, e.g. I, were prepared by 2 methods from indole derivs. and further modified by electrophilic substitution reactions. The regioselectivity of electrophilic attack is demonstrated for a number of different reactions and strategies are outlined to achieve substitution at positions unaccessible by electrophilic attack.
IT 78539-75-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 78539-75-0 CAPLUS

CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 4-methyl-6-[(4-methyl-1-piperazinyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

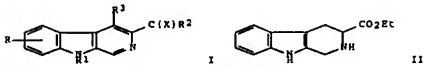


L11 ANSWER 79 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1981:515508 CAPLUS Full-text
DN 95:115508
TI Psychotropic β-carboline-3-carboxylates
PA Schering A.-G., Fed. Rep. Ger.
SO Jpn. Kokai Tokkyo Koho, 39 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

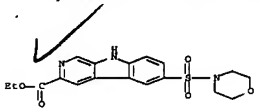
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 56043283	A	19810421	JP 1980-119662	19800829
	JP 02034952	B	19900807		
	DK 8000889	A	19810830	DK 1980-889	19800229
	DE 3015816	A1	19811029	DE 1980-3015816	19800422
	DE 3023567	A1	19820121	DE 1980-3023567	19800620
	AU 8061864	A	19810416	AU 1980-61864	19800819
	AU 544731	B2	19850613		
	EP 30254	A1	19810617	EP 1980-105019	19800823
	EP 30254	B1	19841031		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AT 10098	T	19841115	AT 1980-105019	19800823
	IL 60906	A	19851129	IL 1980-60906	19800825
	RO 80265	A1	19830429	RO 1980-102050	19800827
	FI 8002720	A	19810301	FI 1980-2720	19800828
	FI 68829	B	19850731		
	FI 68829	C	19851111		
	NO 8002546	A	19810302	NO 1980-2546	19800828
	NO 155055	B	19861027		
	NO 155055	C	19870204		
	US 4371536	A	19830201	US 1980-182244	19800828
	CA 1150246	A1	19830719	CA 1980-359184	19800828
	HU 28753	A2	19831228	HU 1980-2129	19800828
	HU 186744	B	19850930		
	SU 1114335	A3	19840915	SU 1980-2969305	19800828
	DK 8003703	A	19810301	DK 1980-3703	19800829
	DK 168292	B1	19940307		
	ES 494590	A1	19810816	ES 1980-494590	19800829
	ZA 8005383	A	19810826	ZA 1980-5383	19800829
	DD 152935	A5	19811216	DD 1980-223673	19800829
	US 5010077	A	19910423	US 1988-188145	19880425
PRAI	DK 1979-3622	A	19790829		
	DK 1980-889	A	19800229		
	DE 1980-3015816	A	19800422		

	DE 1980-3023567	A	19800620
	DK 1979-6322	A	19790829
	EP 1980-105019	A	19800823
	US 1980-182244	A3	19800828
	US 1982-433308	B1	19821007
	US 1985-731244	B1	19850507

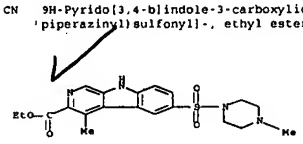
OS CASREACT 95:115508
GI



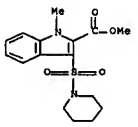
AB Psychotropics I (R = H, halo, amino, amido, NO2, cyano, carboxyl, alkoxycarbonyl, OH, alkoxy, SMe, sulfonamido; R1 = H, alkyl, alkoxycarbonyl; R2 = alkoxy, aryloxy, aralkoxy, amino; R3 = H, alkyl, cycloalkyl, aralkyl, Ph, alkoxyphenyl; X = S, O, NR4; R4 = H, alkyl, cycloalkyl) were prepared. Thus, heating 15.0 g L-tryptophan with 6.07 mL 40% CH2O in 0.6 N NaOH at 53° 25 h followed by esterification gave 7.25 g II, which (7 g) was refluxed with 10 g chloranil in C12CHCHC12 to give 1.5 g I (R = R1 = R3 = H, R2 = OEt, X = O) (III). III had an ED50 of 60 mg/kg s.c. in rats for inhibition of Flunitrazepam binding.
IT 78539-70-5P 78539-75-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 78539-70-5 CAPLUS
CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 6-(4-morpholinylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 78539-75-0 CAPLUS
CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 4-methyl-6-[(4-methyl-1-piperazinyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 80 OF 80 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1964:23245 CAPLUS Full-text
DN 60:23245
OREF 60:4088h,4089a-c
TI Reaction of indole derivatives with thionyl and sulfuryl chlorides
AU Szmuszkovicz, Jacob
CS Upjohn Co., Kalamazoo, MI
SO Journal of Organic Chemistry (1964), 29(1), 178-84
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA Unavailable
OS CASREACT 60:23245
GI For diagram(s), see printed CA Issue.
AB Reaction of 1-methylindole-2-carboxylic acid, the corresponding methyl ester (I), and of Et indole-2-carboxylate with thionyl chloride afforded sulfinyl chlorides (II, III, and IV, resp.). Thionyl chloride and N,1-dimethylindole-2-carboxamide led to sulfide (V, R = CONHMe) and imide sulfoxide (VI). III was converted to several sulfinamides (VII) on treatment with amines. VII were oxidized with permanganate to sulfonamides (VIII). Treatment of III with hydrazine in the cold gave disulfide (IX, R = CO2Me) (X), which was transformed to IX (R = CONHNH2) on heating with hydrazine. Monosulfide (V, R = CO2Me), disulfide X, and trisulfide XI were obtained from the reaction of I with sulfur monochloride. Reaction of 1-methylindole-2-carboxylic acid hydrazide with sulfuryl chloride led to the dichloro compound (XII), and I with sulfuryl chloride afforded the tetrachloro compound (XIII) and the hexachloro compound (XIV).
IT 93538-46-6P, Indole-2-carboxylic acid, 1-methyl-3-(piperidinosulfonyl)-, methyl ester
RL: PREP (Preparation)
(preparation of)
RN 93538-46-6 CAPLUS
CN Indole-2-carboxylic acid, 1-methyl-3-(piperidinosulfonyl)-, methyl ester (7CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	422.54	673.50
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-62.40	-65.52

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